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=> file hcaplus
COST IN U.S. DOLLARS                                SINCE FILE      TOTAL
                                                       ENTRY    SESSION
FULL ESTIMATED COST                                     1.05       1.05

FILE 'HCAPLUS' ENTERED AT 14:55:49 ON 19 MAR 2008
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FILE COVERS 1907 - 19 Mar 2008  VOL 148 ISS 12
FILE LAST UPDATED: 18 Mar 2008  (20080318/ED)

New CAS Information Use Policies, enter HELP USAGETERMS for details.

 This file contains CAS Registry Numbers for easy and accurate
 substance identification.

=> s (acyl or acetyl or propionoyl or succinoyl or benzoyl)(3a)(pyrimidine or
cytosine or thymidine or uracil)

        111143 ACYL
        166335 ACETYL
            24 PROPIONOYL
           453 SUCCINOYL
         81665 BENZOYL
         57529 PYRIMIDINE
         27021 CYTOSINE
         55580 THYMIDINE
         27504 URACIL
L1         605 (ACYL OR ACETYL OR PROPIONOYL OR SUCCINOYL OR BENZOYL)(3A)(PYRIM
               IDINE OR CYTOSINE OR THYMIDINE OR URACIL)

=> s prodrug or chemotherap? or antiviral

         12677 PRODRUG
        103509 CHEMOTHERAP?
         65497 ANTIVIRAL
L2      175511 PRODRUG OR CHEMOTHERAP? OR ANTIVIRAL

=> s toxicity or (side effect) or (adverse effect)

        360225 TOXICITY
        642918 SIDE
       4882201 EFFECT
         13999 SIDE EFFECT
                (SIDE(W)EFFECT)
         98873 ADVERSE
       4882201 EFFECT
         17727 ADVERSE EFFECT
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                (ADVERSE(W)EFFECT)
L3      386993 TOXICITY OR (SIDE EFFECT) OR (ADVERSE EFFECT)

=> s l1 and l2

L4          61 L1 AND L2

=> s l1 and l3

L5          11 L1 AND L3

=> s l1 and l2 and l3

L6           8 L1 AND L2 AND L3

=> s l4 and (PY<2000 or AY<2000 or PRY<2000)

      20070727 PY<2000
       3684850 AY<2000
       3154293 PRY<2000
L7          42 L4 AND (PY<2000 OR AY<2000 OR PRY<2000)

=> s l5 and (PY<2000 or AY<2000 or PRY<2000)

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       3154293 PRY<2000
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=> s l6 and (PY<2000 or AY<2000 or PRY<2000)

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       3684850 AY<2000
       3154293 PRY<2000
L9           6 L6 AND (PY<2000 OR AY<2000 OR PRY<2000)

=> file stnguide

COST IN U.S. DOLLARS                            SINCE FILE      TOTAL
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FILE CONTAINS CURRENT INFORMATION.
LAST RELOADED: Mar 14, 2008 (20080314/UP).

=> d 19 1-6 ti abs bib
YOU HAVE REQUESTED DATA FROM FILE 'HCAPLUS' - CONTINUE? (Y)/N:y



L9   ANSWER 1 OF 6  HCAPLUS  COPYRIGHT 2008 ACS on STN
TI   Treatment of chemotherapeutic agent and antiviral
     agent toxicity with acylated pyrimidine nucleosides
AB   Compds., compns., and methods are disclosed for treatment and prevention
     of toxicity due to chemotherapeutic agents and
     antiviral agents.  Disclosed are acylated derivs. of nonmethylated
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pyrimidine nucleosides. These compds. are capable of attenuating damage to the hematopoietic system in animals receiving antiviral or antineoplastic chemotherapy.

AN 1999:670113 HCAPLUS <<LOGINID::20080319>>

DN 131:281604

TI Treatment of chemotherapeutic agent and antiviral agent toxicity with acylated pyrimidine nucleosides

IN Von Borstel, Reid; Bamat, Michael K.

PA Pro-Neuron, Inc., USA

SO U.S., 31 pp., Cont.-in-part U. S. Ser. 176,485.
CODEN: USXXAM

DT Patent

LA English

FAN.CNT 13

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     US 2000-494242       A3    20000131
     AU 2002-320811       A3    20021223
     JP 2005-380457       A3    20051228
RE.CNT  30    THERE ARE 30 CITED REFERENCES AVAILABLE FOR THIS RECORD
              ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9   ANSWER 2 OF 6  HCAPLUS  COPYRIGHT 2008 ACS on STN
TI   Methods of reducing toxicity of chemotherapeutic and
     antiviral agents with acylated non-methylated pyrimidine
     nucleosides
AB   Compds., compns. and methods are disclosed for the treatment and
     prevention of toxicity due to chemotherapeutic agents
     and antiviral agents.  Disclosed are acylated derivs. of
     non-methylated pyrimidine nucleosides.  These compds. are capable of
     attenuating damage to the hematopoietic system in animals receiving
     antiviral or antineoplastic chemotherapy.  Oral
     administration of triacetyluridine ameliorated the hematol.
     toxicity of 5-fluorouracil.  Triacetyluridine and uridine
     increased the therapeutic index of 5-fluorouracil in tumor-bearing mice.
     Amelioration of the adverse effects of e.g. AZT is also described.
AN   1997:141015  HCAPLUS <<LOGINID::20080319>>
DN   126:139905
TI   Methods of reducing toxicity of chemotherapeutic and
     antiviral agents with acylated non-methylated pyrimidine
     nucleosides
IN   Vonborstel, Reid W.; Bamat, Michael K.
PA   Pro-Neuron, Inc., USA
SO   PCT Int. Appl., 142 pp.
     CODEN: PIXXD2
DT   Patent
LA   English
FAN.CNT 13
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PI   WO 9640165           A1     19961219    WO 1996-US10067        19960606 <--
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             LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD,
             SE, SG
         RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR,
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     IN 177670             A1     19970215    IN 1994-CA701          19940902 <--
     US 5968914            A      19991019    US 1995-472210         19950607 <--
     AU 9661114            A      19961230    AU 1996-61114          19960606 <--
     AU 724805             B2     20000928
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     AU 2005232288         A1     20051201    AU 2005-232288         20051110
PRAI US 1995-472210        A      19950607  <--
     US 1987-115923        B2     19871028  <--
     US 1987-115929        B2     19871028  <--
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     US 1991-724340        B2     19910705  <--
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     IN 1992-CA473         A1     19920706  <--
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     US 1993-176485       A2    19931230  <--
     AU 1995-29150        A3    19950630  <--
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     AU 1999-52624        A3    19991001  <--
     AU 2002-320811       A3    20021223

L9   ANSWER 3 OF 6  HCAPLUS  COPYRIGHT 2008 ACS on STN
TI   Acylated pyrimidine nucleosides for treatment of toxicity from
     chemotherapeutic and antiviral agents
AB   The subject invention discloses compds., compns. and methods for treatment
     and prevention of toxicity due to chemotherapeutic
     agents and antiviral agents.  Disclosed are acylated derivs. of
     non-methylated pyrimidine nucleosides.  These compds. are capable of
     attenuating damage to the hematopoietic system in animals receiving
     antiviral or antineoplastic chemotherapy.  Oral
     administration of triacetyluridine ameliorated the hematol.
     toxicity of 5-fluorouracil.  Effects of other derivs. are also
     presented.  Synthesis of ethoxycarbonyluridine is included.
AN   1995:756200  HCAPLUS <<LOGINID::20080319>>
DN   123:160865
TI   Acylated pyrimidine nucleosides for treatment of toxicity from
     chemotherapeutic and antiviral agents
IN   Von Borstel, Reid Warren; Bamat, Michael Kevin
PA   Pro-Neuron, Inc., USA
SO   PCT Int. Appl., 143 pp.
     CODEN: PIXXD2
DT   Patent
LA   English
FAN.CNT 13
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     AU 2002-320811       A3     20021223
OS   MARPAT 123:160865

L9   ANSWER 4 OF 6  HCAPLUS  COPYRIGHT 2008 ACS on STN
TI   Treatment of chemotherapeutic agent and antiviral
     agent toxicity with acylated pyrimidine nucleosides
AB   The toxicity of antiviral and antineoplastic agents,
     resulting from their damage to the hematopoietic system or mucosal tissue,
     is prevented or treated with acylated derivs. of nonmethylated pyrimidine
     nucleosides.  These derivs. may themselves be antineoplastic,
     antiviral, or antimalarial agents; they may be administered
     together with inhibitors of uridine phosphorylase, of cytidine deaminase,
     or of nucleotide transport.  Thus, oral administration of triacetyluridine
     (500 mg/kg 8 times in 2 days) rescued mice from the hematol.
     toxicity of 5-fluorouracil (150 mg/kg i.p.), as shown by leukocyte
     and platelet counts.
AN   1993:205218  HCAPLUS <<LOGINID::20080319>>
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DN 118:205218
TI Treatment of chemotherapeutic agent and antiviral agent toxicity with acylated pyrimidine nucleosides
IN Von Borstel, Reid W.; Bamat, Michael K.
PA Pro-Neuron, Inc., USA
SO PCT Int. Appl., 130 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 13

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	CA 2504078	C	20070828			
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	AU 667676	B2	19960404			
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	EP 594667	B1	20010919			
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	JP 2584947	B2	19970226			
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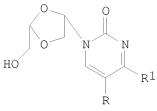
	AU 2002-320811	A3	20021223			

OS MARPAT 118:205218

L9 ANSWER 5 OF 6 HCAPLUS COPYRIGHT 2008 ACS on STN
TI Potent anti-HIV and anti-HBV activities of (-)-L-β-dioxolane-C and (+)-L-β-dioxolane-T and their asymmetric syntheses
GI

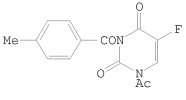


I

II

AB The asym. syntheses of (+)-L-β-dioxolane-T (I; R = Me, R1 = OH) and (-)-L-β-dioxolane-C (I; R= H, R1 = NH2) were accomplished starting from 1,6-anhydro-L-β-gulopyranose (II), and their anti-HIV and anti-HBV activities were evaluated in human PBM cells, CEM cells and 2.2.15 cells, resp.
AN 1993:60030 HCAPLUS <<LOGINID::20080319>>
DN 118:60030
TI Potent anti-HIV and anti-HBV activities of (-)-L-β-dioxolane-C and (+)-L-β-dioxolane-T and their asymmetric syntheses
AU Kim, Hea O.; Shanmuganathan, Kirupathevy; Alves, Antonio J.; Jeong, Lak S.; Beach, J. Warren; Schinazi, Raymond F.; Chang, Chien Neng; Cheng, Yung Chi; Chu, Chung K.
CS Coll. Pharm., Univ. Georgia, Athens, GA, 30602, USA
SO Tetrahedron Letters (1992), 33(46), 6899-902
CODEN: TELEAY; ISSN: 0040-4039
DT Journal
LA English
OS CASREACT 118:60030

L9 ANSWER 6 OF 6 HCAPLUS COPYRIGHT 2008 ACS on STN
TI Studies on the syntheses of heterocyclic compounds. 845. Studies on the synthesis of chemotherapeutics. 10. Synthesis and antitumor activity of N-acyl- and N-(alkoxycarbonyl)-5-fluorouracil derivatives
GI



II

AB A number of N-acyl and N-(alkoxycarbonyl)-5-fluorouracil derivs. possessing, e.g. Bz, o-toluoyl, Ac, MeCH2CO, heptanoyl, EtO2C, PhO2C, and PhCH2O2C groups as N1 and/or N3 substituents were prepared, and their antitumor activities were evaluated. Direct and two-step acylation of 5-fluorouracil (I) and by selective deacetylation of 3-substituted 1-acetyl-5-fluorouracil gave the desired compds. Several 3-benzoyl- and 3-o-toluoyl-5-fluorouracil derivs. showed significant activity against exptl. tumors. II retained higher activity toward various tumors, with lower toxicity and good blood level, than I or 1-(2-tetrahydrofuryl)-5-fluorourocil even for oral administration.
AN 1980:620691 HCAPLUS <<LOGINID::20080319>>
DN 93:220691
OREF 93:35239a,35242a
TI Studies on the syntheses of heterocyclic compounds. 845. Studies on the

synthesis of chemotherapeutics. 10. Synthesis and antitumor activity of N-acyl- and N-(alkoxycarbonyl)-5-fluorouracil derivatives
AU Kametani, Tetsuji; Kigasawa, Kazuo; Hiiragi, Mineharu; Wakisaka, Kikuo; Haga, Seiji; Nagamatsu, Yasuo; Sugi, Hideo; Fukawa, Kazunaga; Irino, Osamu; et al.
CS Pharm. Inst., Tohoku Univ., Sendai, 980, Japan
SO Journal of Medicinal Chemistry (1980), 23(12), 1324-9
CODEN: JMCMAR; ISSN: 0022-2623
DT Journal
LA English
OS CASREACT 93:220691

=> d his

(FILE 'HOME' ENTERED AT 14:52:42 ON 19 MAR 2008)

FILE 'HCAPLUS' ENTERED AT 14:55:49 ON 19 MAR 2008
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L2 175511 S PRODRUG OR CHEMOTHERAP? OR ANTIVIRAL
L3 386993 S TOXICITY OR (SIDE EFFECT) OR (ADVERSE EFFECT)
L4 61 S L1 AND L2
L5 11 S L1 AND L3
L6 8 S L1 AND L2 AND L3
L7 42 S L4 AND (PY<2000 OR AY<2000 OR PRY<2000)
L8 9 S L5 AND (PY<2000 OR AY<2000 OR PRY<2000)
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FILE 'STNGUIDE' ENTERED AT 14:56:06 ON 19 MAR 2008

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FILE 'STNGUIDE' ENTERED AT 14:56:17 ON 19 MAR 2008

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FULL ESTIMATED COST 0.06 24.01

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS) SINCE FILE ENTRY TOTAL SESSION
CA SUBSCRIBER PRICE 0.00 -4.80

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STN INTERNATIONAL SESSION SUSPENDED AT 14:56:41 ON 19 MAR 2008

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PASSWORD:
* * * * * * RECONNECTED TO STN INTERNATIONAL * * * * * *
SESSION RESUMED IN FILE 'STNGUIDE' AT 15:00:28 ON 19 MAR 2008
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CA SUBSCRIBER PRICE                                0.00      -4.80

FILE 'CAPLUS' ENTERED AT 15:01:32 ON 19 MAR 2008
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FILE COVERS 1907 - 19 Mar 2008  VOL 148 ISS 12
FILE LAST UPDATED: 18 Mar 2008  (20080318/ED)

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         57529 PYRIMIDINE
         27021 CYTOSINE
         55580 THYMIDINE
         27504 URACIL
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L10  ANSWER 1 OF 23  CAPLUS  COPYRIGHT 2008 ACS on STN
TI   Treatment of chemotherapeutic agent and antiviral
     agent toxicity with acylated pyrimidine nucleosides
AB   Compds., comps., and methods are disclosed for treatment and prevention
     of toxicity due to chemotherapeutic agents and antiviral
     agents.  Disclosed are acylated derivs. of nonmethylated pyrimidine
     nucleosides.  These compds. are capable of attenuating damage to the
     hematopoietic system in animals receiving antiviral or
     antineoplastic chemotherapy.
AN   1999:670113  CAPLUS <<LOGINID::20080319>>
DN   131:281604
TI   Treatment of chemotherapeutic agent and antiviral
     agent toxicity with acylated pyrimidine nucleosides
IN   Von Borstel, Reid; Bamat, Michael K.
PA   Pro-Neuron, Inc., USA
SO   U.S., 31 pp., Cont.-in-part U. S. Ser. 176,485.
     CODEN: USXXAM
DT   Patent
LA   English
FAN.CNT 13
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PI   US 5968914          A      19991019    US 1995-472210           19950607 <--
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     JP 10001436         A      19980106    JP 1997-36734            19881027 <--
     JP 3474073          B2     20031208
     JP 2001192335       A      20010717    JP 2000-379524           19881027 <--
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     US 5246708          A      19930921    US 1992-911379           19920713 <--
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     IN 177670           A1     19970215    IN 1994-CA701            19940902 <--
     US 5770582          A      19980623    US 1995-419767           19950410 <--
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     US 6054441          A      20000425    US 1995-463790           19950605 <--
     US 6060459          A      20000509    US 1995-465016           19950605 <--
     US 7307166          B1     20071211    US 1995-463771           19950605 <--
     US 6258795          B1     20010710    US 1995-466145           19950606 <--
     US 6316426          B1     20011113    US 1995-466144           19950606 <--
     US 6232298          B1     20010515    US 1995-479519           19950607 <--
     US 6274563          B1     20010814    US 1995-479349           19950607 <--
     US 6348451          B1     20020219    US 1995-478736           19950607 <--
     US 6919320          B1     20050719    US 1995-473331           19950607 <--
     CA 2223640          A1     19961219    CA 1996-2223640          19960606 <--
     WO 9640165          A1     19961219    WO 1996-US10067          19960606 <--
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RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN

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US	2004192635	A1	20040930	US 2004-824501	20040415	<--
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JP	2006137772	A	20060601	JP 2005-380457	20051228	<--
JP	2008019268	A	20080131	JP 2007-233452	20070907	<--

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	US 1993-61381	B2	19930514	<--	
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	US 1992-911379	A3	19920713	<--	
	US 1992-925931	B2	19920807	<--	
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     JP 1997-502184        A3    19960606  <--
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     AU 1999-52624         A3    19991001  <--
     US 2000-494242        A3    20000131
     AU 2002-320811        A3    20021223
     JP 2005-380457        A3    20051228
RE.CNT  30    THERE ARE 30 CITED REFERENCES AVAILABLE FOR THIS RECORD
              ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10  ANSWER 2 OF 23  CAPLUS  COPYRIGHT 2008 ACS on STN
TI   Methods of reducing toxicity of chemotherapeutic and
     antiviral agents with acylated non-methylated pyrimidine
     nucleosides
AB   Compds., compns. and methods are disclosed for the treatment and
     prevention of toxicity due to chemotherapeutic agents and
     antiviral agents.  Disclosed are acylated derivs. of
     non-methylated pyrimidine nucleosides.  These compds. are capable of
     attenuating damage to the hematopoietic system in animals receiving
     antiviral or antineoplastic chemotherapy.  Oral
     administration of triacetyluridine ameliorated the hematol. toxicity of
     5-fluorouracil.  Triacetyluridine and uridine increased the therapeutic
     index of 5-fluorouracil in tumor-bearing mice.  Amelioration of the
     adverse effects of e.g. AZT is also described.
AN   1997:141015  CAPLUS <<LOGINID::20080319>>
DN   126:139905
TI   Methods of reducing toxicity of chemotherapeutic and
     antiviral agents with acylated non-methylated pyrimidine
     nucleosides
IN   Vonborstel, Reid W.; Bamat, Michael K.
PA   Pro-Neuron, Inc., USA
SO   PCT Int. Appl., 142 pp.
     CODEN: PIXXD2
DT   Patent
LA   English
FAN.CNT 13
     PATENT NO.          KIND   DATE        APPLICATION NO.        DATE
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PI   WO 9640165           A1    19961219    WO 1996-US10067        19960606 <--
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             LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD,
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             IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN
     IN 177670             A1    19970215    IN 1994-CA701          19940902 <--
     US 5968914            A     19991019    US 1995-472210         19950607 <--
     AU 9661114            A     19961230    AU 1996-61114          19960606 <--
     AU 724805             B2    20000928
     EP 831849             A1    19980401    EP 1996-918461         19960606 <--
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             IE, SI, LT, LV, FI
     JP 10511689           T     19981110    JP 1997-502184         19960606 <--
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	AU 2002320811	A1	20030403	AU 2002-320811	20021223 <--
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PRAI	US 1995-472210	A	19950607	<--	
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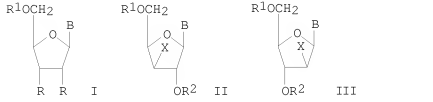
	WO 1996-US10067	W	19960606	<--	
	AU 1999-52624	A3	19991001	<--	
	AU 2002-320811	A3	20021223		

L10 ANSWER 3 OF 23 CAPLUS COPYRIGHT 2008 ACS on STN
TI Preparation of dideoxynucleosides as antiviral agents
GI



AB The title compds. I (R = H; R1 = H, SiH3, C6-18 aralkyl, C1-12 acyl or alkyl; B = pyrimidine, imidazole, or triazole base bonded to sugar residue at 1-position or purine base bonded to sugar residue at 9-position), having antiviral activity and useful in treatment of AIDS (no data), are prepared by conversion of I (R = OH) to deoxynucleosides II or III (R1, B same as I ; R2 = H, C1-12 acyl; X = halo) and reduction of the resulting compds. with H in presence of Pd and alkalis in H2O-organic solvents. Thus, II (R1 = R2 = Ac, B = adenin-9-yl, X = Br), Pd/C, Na2CO3, and AcONa were stirred in MeCN-H2O under bubbling H at room temperature for 2 h to give 73.5% 5'-acetyl-2',3'-dideoxyadenosine, whose hydrolysis by aqueous NaOH at room temperature for 1 h gave 69.2% 2',3'-dideoxyadenosine.
AN 1990:532720 CAPLUS <<LOGINID::20080319>>
DN 113:132720
TI Preparation of dideoxynucleosides as antiviral agents
IN Shiragami, Hiroshi; Irie, Yasuo; Iwagami, Toshio
PA Ajinomoto Co., Inc., Japan
SO Jpn. Kokai Tokkyo Koho, 5 pp.
CODEN: JKXXAF
DT Patent
LA Japanese
FAN.CNT 3

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	JP 02117689	A	19900502	JP 1988-310131	19881209 <--
	JP 06092396	B	19941116		
	US 5290927	A	19940301	US 1989-317567	19890301 <--
	US 5466793	A	19951114	US 1992-860605	19920330 <--

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PRAI JP 1988-170963       A1    19880711  <--
     JP 1988-48425        A     19880301  <--
     JP 1988-310131       A     19881209  <--
     JP 1988-320046       A     19881219  <--
     US 1989-317567       A2    19890301  <--
     US 1990-575569       B1    19900831  <--
OS   CASREACT 113:132720; MARPAT 113:132720

L10  ANSWER 4 OF 23  CAPLUS  COPYRIGHT 2008 ACS on STN
TI   Preparation of 1-(2',3'-dideoxyerythro-hex-2'-enopyranosyl)uracil
     derivatives as radiosensitizers, anticancer agents, and antiviral
     agents
GI   For diagram(s), see printed CA Issue.
AB   The title compds. (I; R1 = H, acyl; R2 = H, F, Cl, Br, Me, NO2), useful as
     radiosensitizers, anticancer agents, and antiviral agents, are
     prepared by condensation of D-glucal derivs. (II; R3 = acyl) with
     silylated uracil derivs. (III or IV) followed optionally by
     acylation.  Thus, a reaction product of uracil with MeC(OSiMe3):NSiMe3 was
     dissolved in MeCN and tri-O-acetyl-D-glucal was added followed by SnCl2
     dropwise.  The mixture was allowed to react to give 77.5% I (R1 = Ac, R2 =
     H) which was treated with NaOMe in MeOH to give 78.30% I (R1 = R2 = H).
     Twelve I showed LD50 values of 700-1250 mg/kg i.p. or i.v. after 14 days
     from the administration to mice.  When 1/10 amount of LD50 values was
     administered to mice transplanted with Ehrlich's ascites carcinoma, I gave
     average number of survival days of 21.4-26.4 vs. 19.0 for the control.  I in
     vitro at 100 μg/mL inhibited the infection of vero cells (monkey kidney
     cells) with herpes simplex virus type I.
AN   1990:36386  CAPLUS <<LOGINID::20080319>>
DN   112:36386
TI   Preparation of 1-(2',3'-dideoxyerythro-hex-2'-enopyranosyl)uracil
     derivatives as radiosensitizers, anticancer agents, and antiviral
     agents
IN   Suzuki, Toshimitsu; Sakaguchi, Shoichi; Myata, Yoshuki; Mori, Tomoyuki
PA   Pola Chemical Industries, Inc., Japan
SO   Jpn. Kokai Tokkyo Koho, 9 pp.
     CODEN: JKXXAF
DT   Patent
LA   Japanese
FAN.CNT 1
     PATENT NO.          KIND   DATE        APPLICATION NO.        DATE
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PI   JP 01139596          A     19890601    JP 1987-296841         19871125 <--
PRAI JP 1987-296841              19871125  <--
OS   MARPAT 112:36386

L10  ANSWER 5 OF 23  CAPLUS  COPYRIGHT 2008 ACS on STN
TI   Synthesis and biological properties of purine and pyrimidine
     5'-deoxy-5'-(dihydroxyphosphinyl)-β-D-ribofuranosyl analogs of AMP,
     GMP, IMP, and CMP
GI
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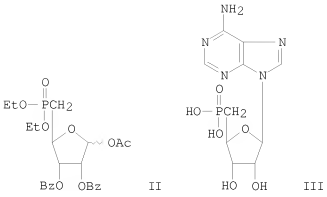
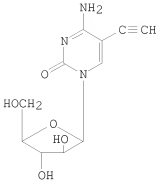


II III

AB Me 2,3-O-isopropylidene-D-ribofuranoside was converted to 1-O-acetyl-5-bromo-5-deoxy-2,3-di-O-benzoyl-D-ribofuranose I in 5 steps with good yield. The Arbuzov condensation of I with tri-Et phosphite resulted in the synthesis of 1-O-acetyl-2,3-di-O-benzoyl-5-deoxy-5-(diethoxyphosphinyl)-D-ribofuranose (II). Compound II was used for direct glycosylation of both purine and pyrimidine bases. The glycosylation was accomplished with the dry silylated heterocyclic base in the presence of trimethylsilyl triflate. Deblocking of the glycosylation products gave exclusively the β anomer of the 5'-phosphonate analogs of 9-[5'-deoxy-5'-(dihydroxyphosphinyl)-β-D-ribofuranosyl)adenine (III), 9-[5'-deoxy-5'-dihydroxyphosphinyl-β-D-ribofuranosyl]guanosine (IV), 9-[5'-deoxy-5'-(dihydroxyphosphinyl)-β-D-ribofuranosyl]hypoxanthine, and 1-[5'-deoxy-5'-(dihydroxyphosphinyl]cytosine (V), described here for the first time. The target compds. as well as their intermediates showed no in vitro antiviral or antitumor activity, although phosphorylation of IV and V to di- and triphosphate analogs was demonstrated with use of isolated cellular enzymes.
AN 1989:232013 CAPLUS <<LOGINID::20080319>>
DN 110:232013
TI Synthesis and biological properties of purine and pyrimidine 5'-deoxy-5'-(dihydroxyphosphinyl)-β-D-ribofuranosyl analogs of AMP, GMP, IMP, and CMP
AU Raju, Natarajan; Smee, Donald F.; Robins, Roland K.; Vaghefi, Morteza M.
CS Nucleic Acid Res. Inst., Costa Mesa, CA, USA
SO Journal of Medicinal Chemistry (1989), 32(6), 1307-13
CODEN: JMCMAR; ISSN: 0022-2623
DT Journal
LA English
OS CASREACT 110:232013

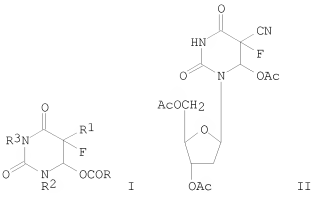
L10 ANSWER 6 OF 23 CAPLUS COPYRIGHT 2008 ACS on STN
TI Acetylenic nucleosides. 4. 1-(β-D-Arabinofuranosyl)-5-ethynylcytosine. Improved synthesis and evaluation of biochemical and antiviral properties
GI



I

AB The title nucleoside was prepared from 1-(2,3,5-tri-O-acetyl -β-D-arabinofuranosyl) cytosine by iodination followed by coupling with (trimethylsilyl)acetylene and deblocking. At 50 μM, I inhibited the in vitro replication of herpes simplex virus type 1 and type 2 by >99%. I also showed activity against a strain of HSV-1 resistant to (E)-5-(2-bromovinyl)-2'-deoxyuridine which has an alteration of the virus-induced thymidine kinase (TK). At 100 μM, I did not inhibit the in vitro growth of leukemia L1210 and HeLa cells. I was resistant to the action of dCR-CR deaminase, its rate of deamination being approx. 2% that of dCR. I was a poor substrate for dCR kinase, but it was phosphorylated by HSV-1- and HSV-2-induced TKs at 50% and 30%, resp., of the rate of thymidine.
AN 1987:576402 CAPLUS <<LOGINID::20080319>>
DN 107:176402
TI Acetylenic nucleosides. 4. 1-(β-D-Arabinofuranosyl)-5-ethynylcytosine. Improved synthesis and evaluation of biochemical and antiviral properties
AU Bobek, Miroslav; Kavai, I.; Sharma, R. A.; Grill, S.; Dutschman, G.; Cheng, Y. C.
CS Grace Cancer Drug Cent., Roswell Park Mem. Inst., Buffalo, NY, 14263, USA
SO Journal of Medicinal Chemistry (1987), 30(11), 2154-7
CODEN: JMCMAR; ISSN: 0022-2623
DT Journal
LA English
OS CASREACT 107:176402

L10 ANSWER 7 OF 23 CAPLUS COPYRIGHT 2008 ACS on STN
TI Anticancer and antiviral 5-fluorouracil derivatives and a process for preparing them
GI



I II

AB The title compds. [I; R = C1-10 alkyl; R1 = cyano, CO2H; R2 = oxolane derivs.; R3 = H, C2-10 acyl], useful as virucides and anticancer agents, were prepared by reaction of the corresponding uracil derivs. with acyl hypofluorites RCO2F. Ten percent F in N (18 mmol) was passed into a vigorously stirred mixture of 4 mL AcOH and 1.2 g AcONa in 100 mL CC13F in Me2CO-dry ice bath and the resulting mixture containing AcOF was added at room temperature to a stirred solution of 1 mmol 3,4-di-O-acetyl-5-cyano-2-deoxyuridine in 40 mL C12CH2. The mixture was stirred for 1 h to give 52% a 2-deoxyuridine derivative (II). II at 20 μg/mL inhibited the proliferation of leukemia L1210 cells by ≤90%.

AN 1987:554698 CAPLUS <<LOGINID::20080319>>

DN 107:154698

TI Anticancer and antiviral 5-fluorouracil derivatives and a process for preparing them

IN Shimokawa, Kazuhiro; Yamamoto, Sadahiro

PA Daikin Industries, Ltd., Japan

SO Jpn. Kokai Tokkyo Koho, 3 pp.
CODEN: JKXXAF

DT Patent

LA Japanese

FAN.CNT 1

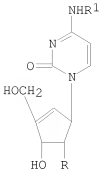
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 62138482	A	19870622	JP 1985-279497	19851212 <--
PRAI JP 1985-279497		19851212 <--		

OS CASREACT 107:154698

L10 ANSWER 8 OF 23 CAPLUS COPYRIGHT 2008 ACS on STN

TI 1-[3-Hydroxy-4-(hydroxymethyl)-4-cyclopenten-1-yl]-N-acylcytosine derivatives

GI

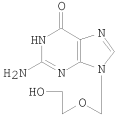


I

AB The title analogs (I; R = H, OH; R1 = acyl), useful as antitumor and antiviral agents (no data), were prepared Thus, a mixture of I (R = OH; R1 = H) and behenic anhydride in aqueous dioxane was heated at 70° for 7 h to give I [R = OH; R1 = CO(CH2)20Me].
AN 1986:609347 CAPLUS <<LOGINID::20080319>>
DN 105:209347
OREF 105:33771a,33774a
TI 1-[3-Hydroxy-4-(hydroxymethyl)-4-cyclopenten-1-y]-N-acylcytosine derivatives
IN Ono, Masaji; Arita, Masafumi; Fukukawa, Seishi
PA Yoshitomi Pharmaceutical Industries, Ltd., Japan; Toyo Jozo Co., Ltd.
SO Jpn. Kokai Tokkyo Koho, 4 pp.
CODEN: JKXXAF
DT Patent
LA Japanese
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI JP 61087673	A	19860506	JP 1984-210150	19841006 <--
PRAI JP 1984-210150		19841006 <--		

L10 ANSWER 9 OF 23 CAPLUS COPYRIGHT 2008 ACS on STN
TI Nucleic acid related compounds. 47. Synthesis and biological activities of pyrimidine and purine "acyclic" nucleoside analogs
GI



I

AB Various acyclic, i.e., (2-hydroxyethoxy)methyl and (2-acetoxyethoxy)methyl, analogs of pyrimidine and purine nucleosides were prepared and evaluated for their antiviral, antimetabolic, and cytotoxic properties. All of the pyrimidine analogs, including (E)-5-(2-bromovinyl)-1-[(2-hydroxyethoxy)methyl]uracil and its O-acetyl derivative, were virtually devoid of antiviral,

cytotoxic, and antimetabolic activities. However, several of the 8-substituted derivs. of (I) had higher antiviral specificity in vitro than the parent drug. The 8-methyl-, 8-bromo-, and 8-iodoacyclovir derivs. have sufficient activities to warrant further investigation.

AN 1985:204213 CAPLUS <<LOGINID::20080319>>

DN 102:204213

OREF 102:32021a,32024a

TI Nucleic acid related compounds. 47. Synthesis and biological activities of pyrimidine and purine "acyclic" nucleoside analogs

AU Robins, Morris J.; Hatfield, Peter W.; Balzarini, Jan; De Clercq, Erik

CS Dep. Chem., Univ. Alberta, Edmonton, AB, T6G 2G2, Can.

SO Journal of Medicinal Chemistry (1984), 27(11), 1486-92
CODEN: JMCMAR; ISSN: 0022-2623

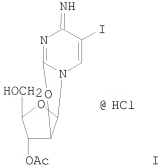
DT Journal

LA English

L10 ANSWER 10 OF 23 CAPLUS COPYRIGHT 2008 ACS on STN

TI Evaluation of 2,2'-anhydro-1-(3'-O-acetyl-β-D-arabinofuranosyl)-5-iodocytosine hydrochloride and related compounds as antineoplastic and antiviral agents

GI

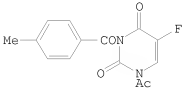


I

AB 2,2'-Anhydro-1-(3'-O-acetyl-β-D-arabinofuranosyl)-5-iodocytosine-HCl (I) [51391-98-1] was purified and characterized. The antineoplastic, antiviral and biochem. potencies of I was compared with the structurally related agents 2,2'-anhydro-1-(3'-O-acetyl -β-D-arabinofuranosyl) cytosine (II) [60827-79-4] and 2,2'-anhydro-1-(β-D-arabinofuranosyl)-5-iodocytosine (III) [42386-74-3]. The presence of the 5-iodo substituent and/or the 3'-O-acetyl group did not alter the capacity of these agents to exert cytotoxic and antineoplastic activity against L1210, P388, L5178Y and human leukemia cells and against human colon and rectal carcinomas, as well as antiviral activity against herpes simplex virus Type 1. All of the compds. caused inhibition of [3H]thymidine incorporation into the DNA of L1210 cells in culture, with I being significantly less inhibitory than the other derivs. Little or no interference with RNA and protein synthesis was produced by these pyrimidine nucleosides. Both I and III were potent inhibitors of the activity of DNA polymerase α from the L1210 leukemia at the nucleoside level, whereas II and 2,2'anhydro-1-(β-D-arabinofuranosyl)cytosine [31698-14-3] were non-inhibitory; none of the agents caused inactivation of DNA polymerase β. Apparently, the antineoplastic and antiviral activities of the 2,2'-anhydro-arabinosylcytosine nucleosides may be the result of biochem. actions different from those of araC [147-94-4].

AN 1981:132009 CAPLUS <<LOGINID::20080319>>
DN 94:132009
OREF 94:21427a,21430a
TI Evaluation of 2,2'-anhydro-1-(3'-O-acetyl-β-D-arabinofuranosyl)-5-iodocytosine hydrochloride and related compounds as antineoplastic and antiviral agents
AU Itoh, Yuko H.; Chu, Ming Y.; Chang, Pauline K.; Allaudeen, H. S.; Sartorelli, Alan C.
CS Sch. Med., Yale Univ., New Haven, CT, 06510, USA
SO Chemico-Biological Interactions (1981), 33(2-3), 215-27
CODEN: CBINA8; ISSN: 0009-2797
DT Journal
LA English

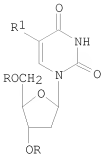
L10 ANSWER 11 OF 23 CAPLUS COPYRIGHT 2008 ACS on STN
TI Studies on the syntheses of heterocyclic compounds. 845. Studies on the synthesis of chemotherapeutics. 10. Synthesis and antitumor activity of N-acyl- and N-(alkoxycarbonyl)-5-fluorouracil derivatives
GI



II

AB A number of N-acyl and N-(alkoxycarbonyl)-5-fluorouracil derivs. possessing, e.g. Bz, o-toluoyl, Ac, MeCH2CO, heptanoyl, EtO2C, PhO2C, and PhCH2O2C groups as N1 and/or N3 substituents were prepared, and their antitumor activities were evaluated. Direct and two-step acylation of 5-fluorouracil (I) and by selective deacetylation of 3-substituted 1-acetyl-5-fluorouracil gave the desired compds. Several 3-benzoyl- and 3-o-toluoyl-5-fluorouracil derivs. showed significant activity against exptl. tumors. II retained higher activity toward various tumors, with lower toxicity and good blood level, than I or 1-(2-tetrahydrofuryl)-5-fluorourocil even for oral administration.
AN 1980:620691 CAPLUS <<LOGINID::20080319>>
DN 93:220691
OREF 93:35239a,35242a
TI Studies on the syntheses of heterocyclic compounds. 845. Studies on the synthesis of chemotherapeutics. 10. Synthesis and antitumor activity of N-acyl- and N-(alkoxycarbonyl)-5-fluorouracil derivatives
AU Kametani, Tetsuji; Kigasawa, Kazuo; Hiiragi, Mineharu; Wakisaka, Kikuo; Haga, Seiji; Nagamatsu, Yasuo; Sugi, Hideo; Fukawa, Kazunaga; Irino, Osamu; et al.
CS Pharm. Inst., Tohoku Univ., Sendai, 980, Japan
SO Journal of Medicinal Chemistry (1980), 23(12), 1324-9
CODEN: JMCMAR; ISSN: 0022-2623
DT Journal
LA English
OS CASREACT 93:220691

L10 ANSWER 12 OF 23 CAPLUS COPYRIGHT 2008 ACS on STN
TI 5-Formyl-2'-deoxyuridine: Cytostatic and antiviral properties and possible modes of action
GI



I, R=H, R1=HCO
II, R=Ac, R1=Me

AB 5-Formyl-2'-deoxyuridine (I) [4494-26-2], prepared by radical bromination of 3',5'-di-O-(acetyl)thymidine (II) [6979-97-1] followed by hydrolysis in aqueous pyridine, at a concentration of 1 + 10-4 M, produced 80-100% inhibition of proliferation of BHK 21/C 13 and Ehrlich ascites tumor cells and a decrease in pseudorabies virus yield by more than 3 orders of magnitude. Thymidine (III) [50-89-5], in concns. 1/10 that of I, abolished the cytostatic and antiviral activities of I. DNA synthesis in Ehrlich ascites tumor cells and phosphorylation of III and III-5'-phosphate [365-07-1] in a cell-free preparation from Ehrlich ascites tumor cells were inhibited by I. Thus, the cytostatic and antiviral effects of I are due to the intracellular lethal synthesis of I-phosphates which inhibit thymidylate synthetase [9031-61-2] and DNA synthesizing enzymes.
AN 1978:58238 CAPLUS <<LOGINID::20080319>>
DN 88:58238
OREF 88:9115a,9118a
TI 5-Formyl-2'-deoxyuridine: Cytostatic and antiviral properties and possible modes of action
AU Langen, P.; Waschke, S. R.; Waschke, K.; Baerwolff, D.; Reefschlaeger, J.; Schulz, P.; Preussel, B.; Lehmann, C.
CS Cent. Inst. Mol. Biol., Ger. Acad. Sci., Berlin-Buch, Ger. Dem. Rep.
SO Acta Biologica et Medica Germanica (1976), 35(12), 1625-33
CODEN: ABMGAJ; ISSN: 0001-5318
DT Journal
LA English

L10 ANSWER 13 OF 23 CAPLUS COPYRIGHT 2008 ACS on STN
TI Antiviral arabinofuranosyl compounds
AB 2,2'-Anhydro-1-(3'-O-acyl-β-D-arabinofuranosyl) cytosine and (S)-2,2'-anhydro-1-(3'-O-acyl-β-D-arabinofuranosyl)-2-thiocytosine (I) salts have antiviral and cytotoxic properties. Thus, 2-acetoxy-2-methylpropionyl chloride was added to cytidine in MeCN at 80° with stirring and the mixture kept 15 min to give 3'-O-acetyl-O2,2'-cyclocytidine (II) hydrochloride (III). The HBr and HF salts of II and the HCl and HBr salts of the 3'-O-benzoyl analog of II were also prepared III in H2O was kept overnight with concentrated NH4OH at room temperature, the mixture evaporated, and the residue in MeOH passed through a column of Dowex AG 1-X2 (OH-) to give 1-β-D-arabinofuranosyl)cytosine (IV). IV was also prepared from the HBr, HF, and HI salts of I and the HCl and HBr salts of the 3'-O-benzoyl analog of II. Also prepared were the 3'-O-acetyl analog (V) of I HCl and HF salts. V was used to prepare 1-(2-thio-β-D-arabinofuranosyl)cytidine HClalt. Also

prepared were N4-methyl-, N4-acetyl-, and N4-acetyl-5'-chloro-5'-deoxy-5-azacytidine.

AN 1972:46467 CAPLUS <<LOGINID::20080319>>
DN 76:46467
OREF 76:7497a,7500a
TI Antiviral arabinofuranosyl compounds
IN Moffatt, John G.; Russell, Alan F.
PA Syntex Corp.
SO Ger. Offen., 65 pp.
CODEN: GWXXBX
DT Patent
LA German
FAN.CNT 4

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE	
PI	DE 2112724	A	19711118	DE 1971-2112724	19710317	<--
	US 3709874	A	19730109	US 1970-21206	19700319	<--
	FR 2085721	A5	19711231	FR 1971-9546	19710318	<--
	FR 2085721	B1	19751010			
	ES 389383	A1	19740316	ES 1971-389383	19710318	<--
	CH 559205	A5	19750228	CH 1974-11583	19710319	<--
	CH 559206	A5	19750228	CH 1974-11584	19710319	<--
	CH 567032	A5	19750930	CH 1971-4075	19710319	<--
	GB 1335492	A	19731031	GB 1971-24761	19710419	<--
	GB 1335493	A	19731031	GB 1972-49147	19710419	<--
	CA 1022925	A2	19771220	CA 1973-184773	19731101	<--
PRAI	US 1970-21206	A	19700319	<--		
	CA 1971-106231	A3	19710225	<--		

L10 ANSWER 14 OF 23 CAPLUS COPYRIGHT 2008 ACS on STN
TI Pyrimidine nucleosides
AB The title compds. (I) were prepared by reaction of the corresponding 2,4-bis-O(S or N)-silyl pyrimidine with the 1-acetyl or 1-methyl derivative of the O-protected sugar in the presence of Friedel-Crafts catalysts. I had cytotoxic, antiviral, and enzyme inhibiting effects. Thus, bissilyl-6-azauracil was added to 2,3,5-tri-O-benzoyl-1-O-acetylribose in dichloroethane. Adding SnCl4 and reaction 4 hr at room temperature gave 92 2',3',5'-tri-O-benzoyl-6-azauridine. Among 15 I prepared were: 2-thio-5-cyano-2',3',5'-tri-O-benzoylcytidine, 2-thio-2',3',5'-tri-O-benzoyl-6-azathymi-dine, and 1-(2',3',4',6'-tetra-O-acetylglucopyranosyl)-6-azauracil.
AN 1971:88267 CAPLUS <<LOGINID::20080319>>
DN 74:88267
OREF 74:14333a
TI Pyrimidine nucleosides
IN Niedballa, U.; Vorbrueggen, H.
PA Schering A.-G.
SO Ger. Offen., 13 pp.
CODEN: GWXXBX
DT Patent
LA German
FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE	
PI	DE 1919307	A	19710114	DE 1969-1919307	19690411	<--
	CH 541566	A	19731031	CH 1970-2949	19700227	<--
	SU 452961	A3	19741205	SU 1970-1410928	19700227	<--
	DK 126198	B	19730618	DK 1970-1688	19700403	<--
	ES 378367	A1	19720616	ES 1970-378367	19700408	<--
	US 3748320	A	19730724	US 1970-26783	19700408	<--
	SE 363830	B	19740204	SE 1970-4877	19700409	<--

	JP 52000955	B	19770111	JP 1970-30460	19700409 <--
	BE 748799	A	19701012	BE 1970-748799	19700410 <--
	FR 2043174	A5	19710212	FR 1970-12992	19700410 <--
	NO 126322	B	19730122	NO 1970-1327	19700410 <--
	IL 34301	A	19730629	IL 1970-34301	19700410 <--
	AT 315384	B	19740527	AT 1970-3306	19700410 <--
	PL 93943	B1	19770730	PL 1970-139947	19700410 <--
	FI 54314	B	19780731	FI 1970-1004	19700410 <--
	FI 54314	C	19781110		
	NL 7005235	A	19701013	NL 1970-5235	19700411 <--
	NL 166266	B	19810216		
	NL 166266	C	19810715		
	GB 1313411	A	19730411	GB 1970-17443	19700413 <--
PRAI	DE 1969-1919307	A	19690411	<--	
	DE 1969-1943428	A	19690823	<--	

L10 ANSWER 15 OF 23 CAPLUS COPYRIGHT 2008 ACS on STN
TI Potential antiviral agents. VI. Higher N-acyl derivatives of pyrimidine and purine bases
GI For diagram(s), see printed CA Issue.
AB N3-Acyluracil derivs. were prepared by suspending uracil in Tetralin, followed by dropwise addition of an acid chloride and refluxing the mixture 2 hrs. to give I (n and m.p. given): 9, 160-1°; 12, 157-9°; 14, 155-7°; and 16, 152-4°. Preparation of 5-acylaminouracil derivs. was carried out by suspending 5-aminouracil in pyridine and cooling to 0°, after which an acid chloride was added and the mixture refluxed 2 hrs. and worked up to give the following II (n and m.p. given): 9, 238-40°; 12, 223-5°; 14, 216-18°; and 16, 208-10°. Similarly prepared were the following N6-acyladenine derivs. (III) (n, m.p., and % yield given): 4, 202-4°, 57.9; 5, 186-8, 58.7; 9, 174-5°, 58.2; 10, 173-6°, 58.6; 12, 167-70°, 60.4; 14, 164-6°, 83.6; and 16, 154-7°, 74.2. Also prepared was N6-adamantoyladenine, m. >270°, 72.7% yield. Also prepd were the following N2-acylguanine derivs. (IV) (n and m.p. given): 4, >280°; 5, >260°; 9, >280°; 10, >280°; 12, >280°; 14, >280°; and 16, >280°. Also prepared was N2-adamantoylguanine, m. >270°.
AN 1969:20019 CAPLUS <<LOGINID::20080319>>
DN 70:20019
OREF 70:3743a,3746a
TI Potential antiviral agents. VI. Higher N-acyl derivatives of pyrimidine and purine bases
AU Runti, C.; Colautti, A.
CS Pharm.-Chem. Inst., Univ. Triest, Trieste, Italy
SO Int. Congr. Chemother., Proc., 5th (1967), Volume 5, 307-14. Editor(s): Spitzy, K. H. Publisher: Verlag Wiener Med. Akad., Vienna, Austria. CODEN: 20JJA4
DT Conference
LA German

L10 ANSWER 16 OF 23 CAPLUS COPYRIGHT 2008 ACS on STN
TI Nucleosides of 5-fluorocytosine and 5-fluorouracil
AB The title compds., antibacterial and antiviral agents, were prepared Thus, a suspension of 65 g. 5-fluorouracil (Ia) in 250 ml. HN(SiMe3)2 was refluxed 3 hrs., the clear solution distilled at atmospheric pressure to give a first fraction b. 85-96°, followed by 2,4-bis(trimethylsilyloxy)-5-fluoropyrimidine (I) at 114-16.5°/14 mm. I (5 ml.) is added to a suspension of 7.56 g. 2-deoxy-3,5-di-O-(p-toluoyl)-D-ribo-pentofuranosyl chloride in 40 ml. dry PhMe (N passed over the mixture

to convey Me3SiCl into aqueous alc. AgNO3 solution to allow the course of reaction to be followed by precipitation of AgCl), the mixture refluxed 1.5 hrs.
(81% AgCl), chilled in ice, and the precipitate filtered off and washed with PhMe
and petroleum ether to give crude 2'-deoxy-5-fluoro-3',5'-di-O-(p-toluoyl)uridine, m. 209-16°, [α]26D -30° (0.72% in pyridine), consisting of 75% β- and 25% α-D-isomer; recrystn. from 45 ml. HOAc and washing with Et2O gave pure β-D-isomer, m. 230-1°, [α]D 18.8°, the combined HOAc filtrate and Et2O washing deposited the α-D-isomer, m. 205-7°. A suspension of 172 g. tri-O-benzoyl-α-D-arabinofuranosyl bromide (II) in 113.5 g. I was heated under N at 75-130° 5 hrs., cooled to room temperature, slurried with 800 ml. benzene, and filtered to give crude tri-O-benzoyl-β-D-arabinofuranosyl-5-fluorouracil (III), m. 210-12°, m. 219-20° (BuOAc), [α]25D 74.7° (1% in CH2Cl2). A suspension of 5.75g. III in 70 ml. 0.143N methanolic NaOMe was refluxed 2.5 hrs., the solution cooled to room temperature, made acid to litmus
with methanolic HCl, evaporated in vacuo to a sirup, the latter partitioned between 50 ml. H2O and 50 ml. Et2O, the aqueous phase washed 3+ with 30 ml. Et2O, evaporated in vacuo, the residual syrup taken up in 50 ml. AcMe, filtered, and the filtrate evaporated in vacuo to give a white solid which crystallized on treatment with 8 ml. boiling EtOH, and the crystals filtered off at -10° and washed with EtOH and Et2O to give β-D-arabinosyl-5-fluorouracil, m. 182-3°, [α]25D 123° (5% in H2O). A mixture of 8 ml. I and 4.11 g. tetra-O-acetyl-D-glucopyranosyl bromide was heated in a 140-60° oil-bath 4 hrs., cooled, 40 ml. benzene added, the mixture kept at 4° 60 hrs., the solid filtered off and discarded, 15 ml. MeOH added to the filtrate, Ia filtered off, 20 ml. MeOH added to the filtrate, the mixture evaporated, the syrup taken up in 25 ml. hot CHCl3, further Ia filtered off, and the filtrate evaporated to a brown glass, which was dissolved in 10 ml. MeOH, and the solution kept to deposit crystals of 5-fluoro-1-(tetra-O-acetyl-β-D-glucopyranosyl) uracil (V), which was filtered off, washed with MeOH, Et2O, and petroleum ether, m. 150-51°, [α]D 12° (0.4% in EtOAc). To a suspension of 0.46 g. V in 5 ml. MeOH was added 1.35 ml. of 1.84N NaOMe, the mixture kept at 4° 16 hrs., neutralized with alc. HCl, insol. material filtered off, the filtrate evaporated, the residue refluxed with 15 ml. AcMe 0.5 hr., and the precipitate filtered off and combined with further precipitate obtained by
evaporation of the filtrate and treatment of the residue with 5 ml. boiling AcMe, and 20 ml. petroleum ether. The combined precipitate was dissolved in 2 ml. H2O, the solution brought to pH 11.3 with NaOH, applied to a polystyrene PhCH2N+Me3 type resin (4% cross-linked, acetate form), and eluted with 0.1N HOAc to give 105 ml. eluate which is lyophilized to a glassy white solid, and chromatographed on paper with 86% BuOH-14% H2O to give 1 spot, Rf 0.122 of 5-fluoro-1-(β-D-glucopyranosyl)uracil, λmaximum in 0.1N HCl 268 mμ (ε 8210). Analogous procedures gave 5-fluoro-2-trimethylsilyloxy-4-(N-trimethylsilyl-N-p-toluoylamino)pyrimidine (VI), b. 178°/0.8 mm. from 5-fluoro-N-p-toluoylcytosine; a crude anomeric mixture of anomers of 5-fluoro-N-p-toluoyl-1-tri-O-benzoyl-D-arabinofuranosyl]-cytosine, m. 87-95°, from II and Ia; and D-arabinosyl-5-fluorocytosines: (a) 60% β-/40% α-mixture, λmaximum in 0.1N HCl 290 mμ (Emax. 33/mg.), [α]25D 30° (0.5% in MeOH), (b) mainly α; λmaximum in 0.1N HCl 292 mμ, [α]25D -156.4° (2% in MeOH).

AN 1968:96109 CAPLUS <<LOGINID::20080319>>

DN 68:96109

OREF 68:18571a,18574a
TI Nucleosides of 5-fluorocytosine and 5-fluorouracil
PA Hoffmann-La Roche, F., und Co., A.-G.
SO Brit., 7 pp.
CODEN: BRXXAA
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI GB 1080491		19670823	GB 1966-32212	19660718 <--
PRAI US		19650722	<--	

L10 ANSWER 17 OF 23 CAPLUS COPYRIGHT 2008 ACS on STN
TI 7-Deazaadenine 2',5'-and 3',5'-dinucleotides
GI For diagram(s), see printed CA Issue.
AB The preparation of a number of title compds. and their derivs. (I and Ia) showing
significant cytotoxic activity in vitro against KB tumor cells and herpes, Coe, and vaccinia viruses, is described. Compds. possessing antiviral activity could be used for cleaning glassware and instruments employed in tissue culture and virus research. Streptomyces sparsogenes var sparsogenes NRRL 2940 was used in a fermentation medium to produce 321 g. 9-β-D-ribofuranosyl-7-deazaadenine (Sparsomycin A) (III), possessing an activity of 1.25 Proteus vulgaris biounits/mg. III was purified by partition chromatog. over diatomite using McIlvaine's pH 6 buffer and MeCOEt as solvent system and freed from 9-β-D-ribofuranosyl-7-diazaadenine (Sparsomycin) (IV). IV was further purified as a HCl salt at various pH's to give a solid, ADA-150.1, m. 247.8-50°, [α]25D -62° (c 0.718, 0.1N HCl). A modification of the purification procedure, and ir absorption bands are given. To a solution of 1.25 g. III in 25 ml. C5H5N cooled to 0-5°, 35 ml. BzCl was added, and the mixture left 20 min. at room temperature and poured
onto ice to yield N6,N2-dibenzoyl-9-(2,3,5-tri-O-β-D-ribofuranosyl)-7-deazaadenine (V), m. 187-8°. A solution of 0.5 g. V in 25 ml. anhydrous MeOH and 25 ml. anhydrous tetrahydrofuran (THF) treated at 0° with 0.5 ml. 25% MeONa in MeOH, the mixture kept 6 hrs. at room temperature, then left overnight in the freezer, and filtered, and the filtrate concentrated in vacuo gave 65 mg. N6-benzoyl-9-β-D-ribofuranosyl-7-deazaadenine (VI), m. 181-2° (MeOH-iso-PrOH). A mixture of 1.5 g. VI and 1.8 g. (p-methoxyphenyl)-diphenylchloromethane in 30 ml. C5H5N was kept 4 hrs. at 24°, the solution concentrated in vacuo, and the residue worked up to furnish 1.37 g. N6-benzoyl-9-[5'-O-(p-methoxyphenyl)dephenylmethyl-β-D-ribofuranosyl]-7-deazaadenine, m. 170-1° (C6H6). A solution of 1 g. 6-mercapto-9-β-D-ribofuranosyl-7-deazapurine in 8 ml. 0.4N NaOH treated dropwise with 0.21 ml. MeI, the mixture stirred 4 hrs. at room temperature
and kept 20 hrs. at 5°, the precipitate separated, dried over KOH, and refluxed with 6 ml. absolute MeOH, the solution chilled, and crystals of 6-methylthio-9-β-D-ribofuranosyl-7-deazapurine treated with triphenylbromomethane in C5H5N gave 6-methylthio-9-(5-O-tri-phenylmethyl-β-D-ribofuranosyl)-7-deazapurine. To a solution of 10 g. 1-β-D-arabinofuranosylcytosine-HCl in 200 ml. C5H5N, 12 g. Ph3CCl was added, the mixture stirred one week at room temperature, poured into 3 l. ice-cold
H2O, and kept overnight, the solid triturated with 200 ml. boiling heptane, insol. solid removed, and the filtrate worked up to give 13 g. 1-(5-O-triphenylmethyl-β-D-arabinofuranosyl)cytosine (VII), m. 227.5-28° (decomposition). A mixture of 6.2 g. VII, 40 ml. dry C5H5N, and 6 ml. BzCl stirred 20 hrs. at room temperature and worked gave 3.13 g.

N4-benzoyl-1-(2,3-di-O-benzoyl-β-D-arabinosyl)
cytosine (VIII), m. 177-8°. A mixture of 100 ml. 80% aqueous
AcOH and 1.3 g. N4-acetyl-1-(2,3-di-O-acetyl-5'-O-triphenyl - β - D -
arabinofuranosyl)-cytosine refluxed 10 min., cooled, and freed from
triphenylcarbinol, the filtrate evaporated in vacuo, and the residue in 20 ml.
MeOH chromatographed over SiO2 gave 240 mg. N4-acetyl-1-(2,3-di-O-
acetyl-β-D-arabinofuranosyl) cytosine (IX), m.
171-2.5°. A small amount of 1-(2,3-di-O-acetyl
-β-D-arabinofuranosyl)- cytosine (X) was also isolated. To
a mixture of 40 ml. C5H5N and 2-cyanoethyl phosphate (0.325M), 25 g. IX
containing a small amount of X was added, followed by the addition of 20 ml.
C5H5N
containing 5.6 g. dicyclohexylcarbodiimide (XI), the mixture shaken 2 days,
treated with 10 ml. H2O, warmed to 40°, and shaken 1 hr., 75 ml.
H2O again added, dicyclohexylurea removed, the solution evaporated to dryness
in
vacuo, the residue worked up and partitioned between 1:1 Et2O-H2O, the aqueous
layer extracted with Et2O, concentrated in vacuo, treated with 2.16 g. LiOH,
heated
1 hr. to 100°, and cooled, the precipitate removed and washed with 0.01N
LiOH, heated 1 hr. to 100°, and cooled, the precipitate removed and washed
with 0.01N LiOH, the pH adjusted to 7 with Dowex 50(H+), and the solution
worked up to give 250 mg. 1-β-D-arabinofuranosylcytosine 5'-phosphate
(H2O). A solution of 50 millimoles pyridinium 2-cyanoethyl phosphate in 10
ml. dry C5H5N was treated with 2.77 g. VIII and evaporated to dryness, the
residue dissolved in 25 ml. C5H5N, 3.09 g. XI added to the mixture, the
mixture worked up, the product treated with 40 ml. ice-cold 2N NaOH, and the
reaction terminated by the addition of excess pyridinium-Dowex 50-X8 resin.
Work-up and chromatog. over pyridinium-Dowex 50W-X8 gave
N4-benzoyl-1-β-D-arabinofuranosylcytosine 5'-phosphate (XII). XII
freed from N4-benzoyl-1-(2,3-di-O-benzoyl-β-D-
arabinofuranosyl)cytosine was converted to N4-benzoyl-1-(2,3-O-
acetyl-β-D-arabinofuranosyl) cytosine 5'-phosphate.
A solution of 920 mg. N6-benzoyl-9-[5-O-(p-methoxyphenyl)diphenylmethyl-
β-D-ribofuranosyl]-7-deazaadenine and 1.29 g. 1-(3-O-acetyl-β-D-
deoxyribofuranosyl)thymine 5'-phosphate (Jakob and Khorana, (CA 60:
14584d) in 70 ml. dry C5H5N was evaporated to dryness in vacuo, the residue
worked up, 2.06 g. XI added, and the mixture shaken 3 days in darkness and
at room temperature, treated with 10 ml. H2O, stirred 22 hrs., and worked up to
give a mixture (XIII) of N6-benzoyl-9-[5-O-(p-methoxyphenyl)diphenylmethyl-
β-D-ribofuranosyl]-7-(deazaadenine-2-yl)-1-(3-O-acetyl-β-D-
deoxyfuranosyl)thymine 5'-phosphate and the (7-deazaadenin-3-yl) isomer.
A solution of 1.1 g. XIII in 8 ml. H2O was treated with 5 ml. MeOH and 16 ml.
concentrated NH4OH, the mixture stirred overnight at 22-4° and concentrated to
dryness in vacuo at 35°, and the solution of the residue in 15 ml. 80%
AcOH kept 18 hrs. at room temperature and worked up to give 9-(β-D-
ribofuranosyl)-7-deazaadenin-2-yl-1-β-D-deoxyfuranosylthymine
5'-phosphate (XIV) and the adenin-3-yl isomer (XV). These are
characterized by the action of spleen phosphodiesterase. XV is split up
while XIV is not.

AN 1967:508970 CAPLUS <<LOGINID::20080319>>
DN 67:108970
OREF 67:20574h,20575a
TI 7-Deazaadenine 2',5'-and 3',5'-dinucleotides
IN Hanze, Arthur R.
PA Upjohn Co.
SO U.S., 22 pp.
CODEN: USXXAM
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 3309358		19670314	US 1965-488799	19650920 <--
	DE 1620644			DE	
	FR 1502810			FR	
	GB 1165354			GB	
	NL 6613179			NL	

L10 ANSWER 18 OF 23 CAPLUS COPYRIGHT 2008 ACS on STN

TI Nucleosides

AB A new method for the preparation of N1-D-ribosyl-, N1-2-deoxy-D-erythro-pentosyl-, N2-D-glucopyranosyl- and N1-D-arabinofuranosyl derivs. of 5-fluorouracil (I) and 5-fluorocytosine (II) is described. The title compds. are prepared by treating I, II, or an N-acyl derivative of II with a hexaalkyldisilazane and by treating the product obtained with a suitable sugar halide of which the OH groups are protected by a removable alkyl or acyl group and by converting the protected nucleoside into the free nucleoside. The title compds. prepared are valuable pharmaceutical and are particularly active against bacteria and viruses. Thus, a suspension of 65 g. I in 250 cc. hexamethyldisilazane was refluxed 3 hrs. and distilled to remove a product distilling at 85-96°, and the residue distilled at 114-16.5°/14 mm. to give 2,4-bis(trimethylsiloxy)-5-fluoropyrimidine (III). To a suspension of 7.56 g. 3,5-di-O-p-toluoyl-2-deoxy-D-erythro-pentofuranosyl chloride in 40 cc. anhydrous PhMe was added 5 cc. III, N introduced into the mixture to remove trimethylsilyl chloride which was passed into an aqueous alc. AgNO3 solution, the mixture refluxed 1.5 hrs. (81% AgCl set free), cooled with ice, filtered, and washed with PhMe and petr. ether to give crude 5-fluoro-O-p-toluoyldeoxyuridine, m. 209-16°, containing 75% β-and 25% α-D-isomer, [α]26D -30° (0.72%, pyridine). Recrystn. with 45 cc. AcOH and washing with ether gave the pure β-D isomer, [α]D -18.8°, m. 230-1°. The combined AcOH filtrates and Et2O wash liquid gave the α-D isomer, m. 205-7°. A suspension of 172 g. tri-O-benzoyl-α-D-arabino-furanosyl bromide in 113.5 g. III was heated in a N atmospheric 5 hrs. at 75-130°, cooled, worked up with 800 cc. C6H6 and filtered to give tri-O-benzoyl-β-D-arabinofuranosyl-5-fluorouracil, m. 210-12°; m. 219-220° (Bu acetate), [α]25D 74.7° (1%, CH2Cl2). Reflux of 5.75 g. tri-O-benzoyl-β-D-arabinofuranosyl-5-fluorouracil in 70 cc. of a 0.143N NaOMe solution in MeOH 2.5 hrs. gave β-D-arabinofuranosyl-5-fluorouracil, m. 182-3° (EtOH), [α]25D 123° (0.5%, H2O). Similarly was prepared 5-fluoro-1-(tetra-O-acetyl-β-D-glucopyranosyl) uracil, m. 150-1°, [α]D 12° (0.4%, EtOAc). A suspension of 9.17 g. 5-fluorouracilmercury in 300 cc. PhMe was subjected to azeotropic distillation; after 50 cc. was distilled, the suspension was cooled to 60° and mixed with 16.44 g. tetra-O-acetyl-α-D-glucopyranosyl bromide, the mixture heated to the b.p., distilled until the distillate was clear, refluxed 70 min., and filtered, and the suspension washed with C6H6. The combined filtrates and wash liquids were cooled and diluted with 750 cc. petr. ether (30-60°), the solution was filtered, washed with petr. ether, dried, and extracted with 200 cc. CHCl3, the residue removed, the extract washed thrice with 50 cc. of a 30% KI solution containing 0.5% bicarbonate and twice with 100 cc. H2O, and the CHCl3 phase dried with Na2SO4 and concentrated to a sirup which was dissolved in 15 cc. warm MeOH to give 5-fluoro-1-(tetra-O-acetyl-β-D-glucopyranosyl) uracil, m. 149-50°, [α]25D 12.5° (c 0.2, EtOAc). NaOMe (1.35 cc. of a 1.84N solution) was added to 0.46 g. 5-fluoro-1-(tetra-O-acetyl-β-D-glucopyranosyl)

uracil in 5 cc. MeOH, the mixture kept 16 hrs. at 4°, neutralized with HCl in EtOH, filtered, and concentrated, the residue suspended in 15 cc. Me2CO, the suspension refluxed 0.5 hr. and filtered, the filtrate concentrated, the residue treated with 5 cc. boiling Me2CO and mixed with 20 cc. petr. ether, the precipitate filtered, and the insol. product and the precipitate combined and dissolved in H2O (2 cc.). The solution shows a maximum of 266-7 mμ in 0.1N HCl (5140 optical d. units). The solution was adjusted to pH 11.3 with NaOH and treated in a column (1 + 20 cc.) charged with Dowex 1-X4 (a strong basic anion exchanger with quaternary NH4 groups) in the acetate form. Paper chromatog. with a mixture of 96% BuOH and 14% H2O gave 5-fluoro-1-β-D-glycopyranosyluracil. Also prepared were 5-fluoro-2-trimethylsilyloxy-4-(N-trimethylsilyl-N-p-toluoyl)-aminopyrimidine by distillation at 160-83°/0.8 mm. of a residue obtained by refluxing 49.4 g. 5-fluoro-N-toluoylcytosine in 100 cc. hexamethyldialazane for 40 min.; a mixture of tri-O-benzoyl-N-toluoyl-α(and β)-D-arabinofuranosyl-5-fluorocytosine, m. 87-95°; a mixture of 60% β- and 40% α-anomers of D-arabinofuranosyl-5-fluorocytosine, λ (0.1N HCl) 290 mμ, [α]25D 30° (0.5%, MeOH), and a product containing mainly the α-D anomer λ(0.1N HCl) 292mμ (ε 1856), [α]25D -156.4° (2%, MeOH).

AN 1967:491093 CAPLUS <<LOGINID::20080319>>
DN 67:91093
OREF 67:17183a,17186a
TI Nucleosides
PA Hoffmann-La Roche, F., und Co., A.-G.
SO Neth. Appl., 12 pp.
CODEN: NAXXAN
DT Patent
LA Dutch
FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE	
PI	NL 6610360	A	19670123	NL 1966-10360	19660722	<--
	BE 684319	A	19670119	BE 1966-684319	19660719	<--
	BR 6681446	D0	19731226	BR 1966-181446	19660721	<--
	SE 320077	B	19700202	SE 1966-10032	19660722	<--
PRAI	US 1965-474145	A	19650722	<--		

L10 ANSWER 19 OF 23 CAPLUS COPYRIGHT 2008 ACS on STN
TI N4,O3',O5'-Triacetyl-2,2'-anhydrocytidine, a postulated reactive intermediate in a convenient synthesis of 1-β-D-arabinofuranosylcytosine
GI For diagram(s), see printed CA Issue.
AB cf. CA 61, 10763h. The effect of N4-acylation in the case of formation and resultant properties of 2,2'-anhydrocytidine derivs. was investigated. An equilibrium mixture of N4,O3',O5'-triacetylcytidine (I, R = H) (II) and its N4,O2',O5'-isomer in 3:2 ratio was prepared in 64% yield by the orthoester exchange method. The mixture was treated with a slight excess of p-MeC6H4SO2Cl in anhydrous C5H5N and the concentrated solution taken up in an equal volume of CH2Cl2, extracted with H2O in 10 min., and the extract kept at 20° to give N4,O3',O5'-triacetyl-β-D-arabinofuranosylcytosine (III, R = Ac) (IV). IV treated 24 hrs. at 20° gave 90% III (R = H) (V), m. 212-16°, [α]20D 152°. The tribenzoyl derivative (VI) in 9:1 C5H5N-H2O at 20° gave crystalline 1-β-D-arbinofuranosyl-N4O3',O5'-tribenzoylcytosine (VII), m. 198-200°, with 75% conversion after 11 days without indication of an intermediate. If the reaction proceeds via an anhydronucleoside its formation must be the

rate-determining step and be extremely susceptible to base-catalyzed hydrolysis.
It appears that the MeSO2 ion undergoes displacement much less readily than the p-MeC6H4SO2 ion in this reaction. IV has led to a very convenient synthesis of V which has selective antiviral activity. Both IV and VII have the correct orientation for preparation of the 2'-protected derivative of 1-β-D-arahinofuranosylcytosine, required in the oligonucleotide synthesis of Griffin and R. (CA 62, 2818a).
AN 1966:482561 CAPLUS <<LOGINID::20080319>>
DN 65:82561
OREF 65:15484f-h,15485a
TI N4,O3',O5'-Triacetyl-2,2'-anhydrocytidine, a postulated reactive intermediate in a convenient synthesis of 1-β-D-arabinofuranosylcytosine
AU Fromageot, H. P. N.; Reese, C. B.
CS Univ. Cambridge, UK
SO Tetrahedron Letters (1966), (29), 3499-505
CODEN: TELEAY; ISSN: 0040-4039
DT Journal
LA English

L10 ANSWER 20 OF 23 CAPLUS COPYRIGHT 2008 ACS on STN
TI Nucleosides. XXXIII. N4-Acylated 5-fluorocytosines and a direct synthesis of 5-fluoro-2'-deoxycytidine
AB cf. CA 64, 17699f. A series of N4-acylated 5-fluorocytosines was prepared as starting material for nucleoside synthesis and for chemotherapeutic screening. A direct synthesis of 5-fluoro-2'-deoxycytidine (I) and its α-anomer (II) from the monomercury salt of N4-toluoyl-5-fluorocytosine (III) was achieved whereby N4-toluoyl-5-fluoro-2'-deoxycytidine (IV) was isolated as an intermediate. III and IV are converted into 5-fluorouracil (V) and 5-fluoro-2'-deoxyuridine (VI), resp., by treatment with 0.5N HCl at 37°. The labilization of the exocyclic amino group by aroylation suggested utility of III and IV as releasers of V and VI in biol. systems. The acylated 5-fluorocytosines are relatively nontoxic compds. exhibiting some activity against systemic Candida albicans infections in mice. IV is a potent and toxic agent against exptl. tumors in mice. The chemotherapeutic data indicate that in vivo the acylated 5-fluorocytosines act as releasers of 5-fluorocytosine and not of V, while IV acts as release of I and (or) VI.
AN 1966:421066 CAPLUS <<LOGINID::20080319>>
DN 65:21066
OREF 65:3948f-h
TI Nucleosides. XXXIII. N4-Acylated 5-fluorocytosines and a direct synthesis of 5-fluoro-2'-deoxycytidine
AU Duschinsky, R.; Gabriel, T.; Hoffer, M.; Berger, J.; Titsworth, E.; Grunberg, E.; Burchenal, J. H.; Fox, J. J.
CS Res. Div., Hoffman-La Roche, Inc., Nutley, NJ
SO Journal of Medicinal Chemistry (1966), 9(4), 566-72
CODEN: JMCMAR; ISSN: 0022-2623
DT Journal
LA English

L10 ANSWER 21 OF 23 CAPLUS COPYRIGHT 2008 ACS on STN
TI Pyrimidine nucleosides
GI For diagram(s), see printed CA Issue.
AB A convenient method for converting com. nucleosides into their 4-amino analogs is described. 1-(2-Deoxy-β-D-ribofuranosyl)-5-methylcytosine, which is found in very small amts. in the deoxyribonucleic acids of tissue cells, can be prepared readily and cheaply by this method. A uracil-1-nucleoside is fully acylated and then treated with P2S5 to give

the fully acylated-4-thiouracil-1-nucleoside, which is then treated with a basic nitrogenous compound and deacylated to give a cytosine 1-neucloside (I), where Y is any nucleosidic sugar group. Thus, 30 ml. Ac2O, 4.31 g. 1-β-D-ribofuranosyluracil, and 10 drops C5H5N was agitated under reflux until reaction began. The solution was cooled and kept at room temperature overnight to give 6.27 g. 1-(2,3,5-tri-O-acetyl -β-D-ribofuranosyl) uracil. (II). P2S5 (1.24 g.), 30 ml. C5H5N, and 1.85 g. II was refluxed 3 hrs. to give 1.18 g. 1-(2,3,5-tri-O-acetyl-β-D-ribofuranosyl)-4-thiouracil (III). III (773 mg.) and 20 ml. MeOH saturated with anhydrous NH3 was heated in a steel bomb at 98-105° for 45 hrs. to give 265 mg. 1-β-D-ribofuranosylcytosine (IV) as the HCl salt, m. 205-6.5°, [α]24D 44° (c 0.9814, N NaOH). IV picrate m. 192-3°. Similarly were prepared 1-(2-deoxy-β-D-ribo uranosyl)-5-methylcytosine-HCl, m. 154.5-55°, [α]24D 58° (c 0.5185, 0.7537 N NaOH); 1-(2-deoxy-β-D-ribofuranosyl)-N,5-dimethylcytosine, m. 227-8.5°, [α]24D 48° (c 0.8488, N NaOH); 1-(β-D-ribofuranosyl)N-methylcytosine-HCl, m. 196-8°, [α]23D 34° (c 0.55, H2O); 1-(β-D-ribofuranosyl)-5-methylcytosine-HCl, m. 177-8°[α]24D 24° (c 0.525, H2O); 1-(β-D-ribofuranosyl)-N,5-dimethylcytosine-HCl, m. 206-9°, [α]24D 25° (c 0.530, H2O); 1-(β-D-ribofuranosyl)-5-ethylcytosine-HCl, m. 173-5°, [α]24D 18° (c 0.55235, H2O); 1-(β-D-ribofuranosyl)-N-methyl-5-ethylcytosine-HCl, m. 154-9°; 1-(β-D-glucopyranosyl)-5-methylcytosine, m. 275-80°; 1-(β-D-glucopyranosyl)-N,5-dimethylcytosine, m. 283-7°; 1-(β-D-glucopyranosyl)-N-benzyl-5-methylcytosine, m. 115-25°; 1-(β-D-xylofuranosyl)-5-methylcytosine-HCl, m. 202-4°, [α]24D -3° (c 0.4995, N NaOH); 1-(2,3,5-tri-O-benzoyl-β-D-xylofuranosyl)-5-methyluracil (an intermediate in the preparation of the preceding compound), m. 195-7°; 1-(β-D-xylofuranosyl)-N,5-dimethylcytosine-HCl, m. 220-2°, [α]24D 41° (c 0.5023, H2O); 1-β-D-arabinofuranosylcytosine-HCl, m. 186-8°, [α]23D 129° (c 1.411, H2O); 1-(β-D-arabinofuranosyl)-N-methylcytosine, m. 257-60° [HCl salt m. 182.5-84°, [α]23D 127° (c 0.444, H2O)]; 1-(2-deoxy-β-D-xylofuranosyl)-5-methylcytosine-HCl, m. 142.5-3.5°, [α]23D 54° (c 0.5168, H2O); 1-(β-D-lyxofuranosyl)-5-methylcytosine-HCl, m. 169-71.5°, [α]23D 83° (c 0.774, H2O). These compds. are useful antiviral and antibacterial agents, antimetabolites, and cell growth inhibitors.

AN 1964:425722 CAPLUS <<LOGINID::20080319>>
DN 61:25722
OREF 61:4467a-d,4468a
TI Pyrimidine nucleosides
IN Hunter, James H.
PA Upjohn Co.
SO 19 pp.
DT Patent
LA Unavailable
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 3116282		19631231	US 1960-24890	19600427 <--
PRAI	US		19600427	<--	

L10 ANSWER 22 OF 23 CAPLUS COPYRIGHT 2008 ACS on STN
TI Synthesis of N-acyl uracils and their effects on the influenza virus

AB The 3-N-acyluracils with acyl groups Ac (I), COPr (II), COCH2Cl (III), CO(CH2)3Cl (IV), COC6H13 (V) and CO(CH2)8CH:CH2 (VI) were synthesized and tested against influenza virus, type A, strain PR8, in vitro and in chick embryos. All failed in tests against influenza-type pneumonia in mice. In chick embryos, all but II had some antiviral activity, but only I, V, and VI were actively toxic. The most active derivative, in vitro and in chick embryos, was V. Activity was not increased by Cl in the acyl group (III, IV). Increase due to longer C chains has also been observed in tests with quaternary P compds.

AN 1964:85553 CAPLUS <<LOGINID::20080319>>

DN 60:85553

OREF 60:15008g-h,15009a

TI Synthesis of N-acyl uracils and their effects on the influenza virus

AU Makarov, N. V.; Popova, E. G.; Kraft, M. Ya.; Bogdanova, N. S.; Polukhina, L. M.; Pershin, G. N.

CS S. Ordzhonikidze All-Union Chem.-Pharm. Res. Inst., Moscow

SO Farmakologiya i Toksikologiya (Moscow) (1964), 27(1), 63-8
CODEN: FATOAO; ISSN: 0014-8318

DT Journal

LA Unavailable

L10 ANSWER 23 OF 23 CAPLUS COPYRIGHT 2008 ACS on STN

TI Chemotherapy. XII. Some sulfanilamido heterocycles

AB cf. C.A. 40, 1455.6. 2-Sulfanilamido-4-methoxypyrimidine (I) (C.A. 36, 2532.9) (40 g.) in 400 cc. MeOH and 200 g. NH3, heated at 110° for 1 h., gives 57% of 2-sulfanilamido-4-aminopyrimidine, m. 225-6° (m.ps. corrected) (C.A. 37, 1402.2). 2-Amino-4-methoxypyrimidine did not react with NH3 under these conditions; at 200° for 4 h., 2,4-diaminopyrimidine is formed. I (8 g.) and 3.8 g. Et2N(CH2)3NH2, heated at 100-10° for 45 min., give 45% of 2-sulfanilamido-4-(3-diethylaminopropylamino)pyrimidine, m. 230-2°. Guanidine carbonate (II) (18 g.) and EtOCH2COCH2Ac, heated 4 h. on the steam bath, give 69% of 2-amino-4-ethoxymethyl-6-methylpyrimidine, m. 106-8°; the 2-sulfanilamido compound, m. 158-60°, 40%. II (25 g.) and 46.4 g. CH2Bz2, heated 3 h. at 180-210°, give 39% of 2-amino-4,6-diphenylpyrimidine, m. 135-7°; 2-sulfanilamido compound, m. 266-8°. The Na salt of 2,2-dimethyl-1,3-dioxolane-4-methanol in 200 cc. dioxane and 20 g. 2-amino-4-chloropyrimidine (extracted with the dioxane in a Soxhlet apparatus by refluxing overnight) give 70% of 2-amino-4-(2,2-dimethyl-1,3-dioxolan-4-ylmethoxy)pyrimidine, m. 105°; this yields 51% of the N4-Ac derivative, m. 249-51°, of the 2-sulfanilamido compound, m. 228-30°. II (50 g.), 43.2 g. of the Cu salt of 4,4-dimethyl-1,3-pentanedione, and 100 cc. EtOH, refluxed 1 h., the residue heated with stirring at 150-70° for 2 h., the cooled mass broken up under 500 cc. 1:4 HCl, the filtrate made basic with NH4OH, and the precipitate refluxed with hexane, give 44% of 2-amino-4-tert-butylpyrimidine, m. 103-5.5°; the free ketone gives only 18%; 2-sulfanilamido compound, m. 236-7°, 45%; the N4-Ac derivative m. 248-51°, 63%. 2-Aminopyrimidine gives 50% of the N4-Ac derivative, m. 268°, of 2-(2-methylsulfanilamido)pyrimidine, m. 243-6°. II (10.6 g.) and 13.5 g. 3-methyl-2,4-pentanedione, heated at 150-60° for 1.5 h., give 65% of 2-amino-4,5,6-trimethylpyrimidine, m. 206-7°; 2-sulfanilamido compound, m. 242-4° (N4-Ac derivative, m. 286-8°). 2-Aminothiazole (100 g.), added to 200 cc. 20% oleum with cooling during 1 h., heated on a steam bath for 2 h., and poured into 450 cc. H2O, give 69% of 2-amino-5(or 4)-thiazolesulfonic acid, m. 248° (analyzed as the Ba salt); 2-sulfanilamido comp., m. 258°. 2-Amino-4-methyl-5-thiazolesulfonic acid did not react with 4-AcNHC6H4SO2Cl. H2NNHCONH2 (4.6 g.) and 12.7 g. EtO2CCH2COCl, heated at 60-70° for 30 min., give 37% of Et 2-amino-1,3,4-thiadiazole-5-acetate, m. 158-60°; coupling and hydrolysis give

2-sulfanilamido-1,3,4-thiadiazole-5-acetic acid, m. 209-12°. Et 2-amino-1,3,4-thiadiazole-5-butyrate, m. 153-4° (41%), yields 2-sulfanilamido-1,3,4-thiadiazole-5-butyric acid, m. 185.5-6.5°. Data are given for the maximum blood level (mg.-% following a single oral dose of 0.5 g. per kg.), bacteriostatic, and antimalarial activities. Only the tri-Me derivative approaches the activity of sulfadiazine in the bacteriostatic test; the extremely low relative activities of the others serve to point out that other factors in addition to the acidity of the compds. in question are important. Simple alkyl substitution of the pyrimidine ring or of the sulfanilamide nucleus does not markedly affect the maximum blood level as compared with sulfadiazine; more complicated substituents reduce this value somewhat; the value is still further reduced by amino substitution; the sulfonic acid group reduces the maximum blood level of sulfathiazole.

AN 1946:11377 CAPLUS <<LOGINID::20080319>>
DN 40:11377
OREF 40:2124e-i,2125a-c
TI Chemotherapy. XII. Some sulfanilamido heterocycles
AU Clark, J. H.; English, J. P.; Winnek, P. S.; Marson, H. W.; Cole, Q. P.; Clapp, J. W.
CS American Cyanamid Co., Stamford, CT
SO Journal of the American Chemical Society (1946), 68, 96-9
CODEN: JACSAT; ISSN: 0002-7863
DT Journal
LA Unavailable

FILE 'HCAPLUS' ENTERED AT 14:55:49 ON 19 MAR 2008
L1 605 S (ACYL OR ACETYL OR PROPIONOYL OR SUCCINOYL OR BENZOYL)(3A)(PY
L2 175511 S PRODRUG OR CHEMOTHERAP? OR ANTIVIRAL
L3 386993 S TOXICITY OR (SIDE EFFECT) OR (ADVERSE EFFECT)
L4 61 S L1 AND L2
L5 11 S L1 AND L3
L6 8 S L1 AND L2 AND L3
L7 42 S L4 AND (PY<2000 OR AY<2000 OR PRY<2000)
L8 9 S L5 AND (PY<2000 OR AY<2000 OR PRY<2000)
L9 6 S L6 AND (PY<2000 OR AY<2000 OR PRY<2000)

FILE 'CAPLUS' ENTERED AT 15:01:32 ON 19 MAR 2008
L10 23 S L7 AND (PY<1990 OR AY<1990 OR PRY<1990)

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=> file registry
COST IN U.S. DOLLARS                                SINCE FILE      TOTAL
                                                       ENTRY    SESSION
FULL ESTIMATED COST                                     0.21       0.21

FILE 'REGISTRY' ENTERED AT 11:06:58 ON 21 MAR 2008
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STRUCTURE FILE UPDATES:   20 MAR 2008  HIGHEST RN 1009361-91-4
DICTIONARY FILE UPDATES:  20 MAR 2008  HIGHEST RN 1009361-91-4

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TSCA INFORMATION NOW CURRENT THROUGH January 9, 2008.

  Please note that search-term pricing does apply when
  conducting SmartSELECT searches.

REGISTRY includes numerically searchable data for experimental and
predicted properties as well as tags indicating availability of
experimental property data in the original document.  For information
on property searching in REGISTRY, refer to:

http://www.cas.org/support/stngen/stndoc/properties.html

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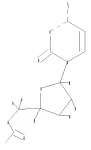

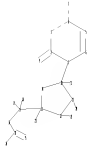

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ring nodes :
1 2 3 4 5 6 10 11 12 13 14
chain bonds :
1-10 2-9 4-7 10-22 11-24 12-23 13-15 13-21 15-16 15-19 15-20 16-17 17-25
17-26
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 10-11 10-14 11-12 12-13 13-14
exact/norm bonds :
1-2 1-6 1-10 2-3 2-9 3-4 4-5 4-7 5-6 10-11 10-14 11-12 12-13 13-14
15-16 16-17 17-25

```
exact bonds :
10-22  11-24  12-23  13-15  13-21  15-19  15-20  17-26


G1:O,N

Match level :
1:Atom  2:Atom  3:Atom  4:Atom  5:Atom  6:Atom  7:CLASS  9:CLASS  10:Atom  11:Atom
12:Atom  13:Atom  14:Atom  15:CLASS  16:CLASS  17:CLASS  19:CLASS  20:CLASS
21:CLASS  22:CLASS
23:CLASS  24:CLASS  25:CLASS  26:CLASS



L1      STRUCTURE UPLOADED

=> s l1
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SAMPLE SCREEN SEARCH COMPLETED -      699 TO ITERATE

100.0% PROCESSED      699 ITERATIONS                              50 ANSWERS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:  ONLINE  **COMPLETE**
                        BATCH   **COMPLETE**
PROJECTED ITERATIONS:        12394 TO    15566
PROJECTED ANSWERS:            3853 TO     5707

L2           50 SEA SSS SAM L1

=>
Uploading C:\Program Files\Stnexp\Queries\08460186acetyl2.str
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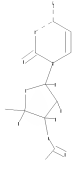

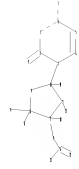

chain nodes :
7 9 15 16 18 19 20 21 22 23 24
ring nodes :
1 2 3 4 5 6 10 11 12 13 14
chain bonds :
1-10 2-9 4-7 10-19 11-21 12-20 12-15 13-18 13-24 15-16 16-22 16-23
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 10-11 10-14 11-12 12-13 13-14
exact/norm bonds :
1-2 1-6 1-10 2-3 2-9 3-4 4-5 4-7 5-6 10-11 10-14 11-12 12-13 12-15

13-14 15-16 16-22
exact bonds :
10-19 11-21 12-20 13-18 13-24 16-23

G1:O,N

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 9:CLASS 10:Atom 11:Atom
12:Atom 13:Atom 14:Atom 15:CLASS 16:CLASS 18:CLASS 19:CLASS 20:CLASS
21:CLASS 22:CLASS
23:CLASS 24:CLASS

L3   STRUCTURE UPLOADED

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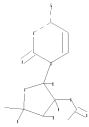

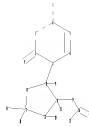

chain nodes :
7 9 15 16 18 19 20 21 22 23 24
ring nodes :
1 2 3 4 5 6 10 11 12 13 14
chain bonds :
1-10 2-9 4-7 10-19 11-21 11-15 12-20 13-18 13-24 15-16 16-22 16-23
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 10-11 10-14 11-12 12-13 13-14
exact/norm bonds :
1-2 1-6 1-10 2-3 2-9 3-4 4-5 4-7 5-6 10-11 10-14 11-12 11-15 12-13
13-14 15-16 16-22
exact bonds :
10-19 11-21 12-20 13-18 13-24 16-23

G1:O,N

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 9:CLASS 10:Atom 11:Atom
12:Atom 13:Atom 14:Atom 15:CLASS 16:CLASS 18:CLASS 19:CLASS 20:CLASS
21:CLASS 22:CLASS
23:CLASS 24:CLASS

L4 STRUCTURE UPLOADED

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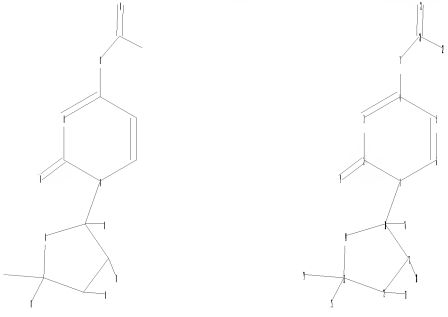

chain nodes :
7 9 15 16 17 18 19 20 21 22
ring nodes :
1 2 3 4 5 6 10 11 12 13 14
chain bonds :
1-10 2-9 4-7 7-20 10-16 11-18 12-17 13-15 13-19 20-21 20-22
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 10-11 10-14 11-12 12-13 13-14
exact/norm bonds :
1-2 1-6 1-10 2-3 2-9 3-4 4-5 4-7 5-6 7-20 10-11 10-14 11-12 12-13
13-14
20-21
exact bonds :
10-16 11-18 12-17 13-15 13-19 20-22

G1:O,N

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 9:CLASS 10:Atom 11:Atom
12:Atom 13:Atom 14:Atom 15:CLASS 16:CLASS 17:CLASS 18:CLASS 19:CLASS
20:CLASS 21:CLASS

22:CLASS

L5 STRUCTURE UPLOADED

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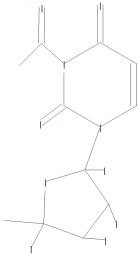

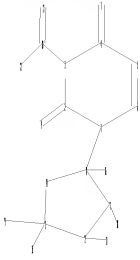

chain nodes :
7 9 15 16 17 18 19 20 21 22
ring nodes :
1 2 3 4 5 6 10 11 12 13 14
chain bonds :
1-10 2-9 3-20 4-7 10-16 11-18 12-17 13-15 13-19 20-21 20-22
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 10-11 10-14 11-12 12-13 13-14
exact/norm bonds :
1-2 1-6 1-10 2-3 2-9 3-4 3-20 4-5 4-7 5-6 10-11 10-14 11-12 12-13
13-14
20-21
exact bonds :
10-16 11-18 12-17 13-15 13-19 20-22

G1:O,N

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 9:CLASS 10:Atom 11:Atom
12:Atom 13:Atom 14:Atom 15:CLASS 16:CLASS 17:CLASS 18:CLASS 19:CLASS
20:CLASS 21:CLASS
22:CLASS

L6 STRUCTURE UPLOADED

=> s l3
SAMPLE SEARCH INITIATED 11:08:16 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 779 TO ITERATE

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100.0% PROCESSED      779 ITERATIONS                          50 ANSWERS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:  ONLINE  **COMPLETE**
                        BATCH   **COMPLETE**
PROJECTED ITERATIONS:        13906 TO    17254
PROJECTED ANSWERS:            6081 TO     8359

L7          50 SEA SSS SAM L3

=> s l4
SAMPLE SEARCH INITIATED 11:08:20 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED -      329 TO ITERATE

100.0% PROCESSED      329 ITERATIONS                          50 ANSWERS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:  ONLINE  **COMPLETE**
                        BATCH   **COMPLETE**
PROJECTED ITERATIONS:         5492 TO     7668
PROJECTED ANSWERS:            1934 TO     3306

L8          50 SEA SSS SAM L4

=> s l5
SAMPLE SEARCH INITIATED 11:08:24 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED -      532 TO ITERATE

100.0% PROCESSED      532 ITERATIONS                          50 ANSWERS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:  ONLINE  **COMPLETE**
                        BATCH   **COMPLETE**
PROJECTED ITERATIONS:         9257 TO    12023
PROJECTED ANSWERS:            1864 TO     3216

L9          50 SEA SSS SAM L5

=> s l6
SAMPLE SEARCH INITIATED 11:08:27 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED -       92 TO ITERATE

100.0% PROCESSED       92 ITERATIONS                           0 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:  ONLINE  **COMPLETE**
                        BATCH   **COMPLETE**
PROJECTED ITERATIONS:         1265 TO     2415
PROJECTED ANSWERS:               0 TO        0

L10          0 SEA SSS SAM L6

=> s l1 sss full
FULL SEARCH INITIATED 11:08:36 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED -    14686 TO ITERATE

100.0% PROCESSED    14686 ITERATIONS                        5110 ANSWERS
SEARCH TIME: 00.00.01
```

```
L11        5110 SEA SSS FUL L1

=> s l3 sss full
FULL SEARCH INITIATED 11:08:40 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED -    16416 TO ITERATE

100.0% PROCESSED    16416 ITERATIONS                          7305 ANSWERS
SEARCH TIME: 00.00.01

L12        7305 SEA SSS FUL L3

=> s l4 sss full
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FULL SCREEN SEARCH COMPLETED -     7162 TO ITERATE

100.0% PROCESSED     7162 ITERATIONS                          2738 ANSWERS
SEARCH TIME: 00.00.01

L13        2738 SEA SSS FUL L4

=> s l5 sss full
FULL SEARCH INITIATED 11:08:49 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED -    10809 TO ITERATE

100.0% PROCESSED    10809 ITERATIONS                          2463 ANSWERS
SEARCH TIME: 00.00.01

L14        2463 SEA SSS FUL L5

=> s l6 sss full
FULL SEARCH INITIATED 11:08:54 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED -     1716 TO ITERATE

100.0% PROCESSED     1716 ITERATIONS                            60 ANSWERS
SEARCH TIME: 00.00.01

L15          60 SEA SSS FUL L6

=> file caplus
COST IN U.S. DOLLARS                          SINCE FILE      TOTAL
                                                 ENTRY    SESSION
FULL ESTIMATED COST                              890.88     891.09

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FILE COVERS 1907 - 21 Mar 2008 VOL 148 ISS 13

FILE LAST UPDATED: 20 Mar 2008 (20080320/ED)

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They are available for your review at:

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=> file stnguide
COST IN U.S. DOLLARS   SINCE FILE   TOTAL
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FULL ESTIMATED COST   0.48   891.57

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=> file hcaplus
COST IN U.S. DOLLARS   SINCE FILE   TOTAL
                                                       ENTRY   SESSION
FULL ESTIMATED COST   0.12   891.69

FILE 'HCAPLUS' ENTERED AT 11:10:12 ON 21 MAR 2008
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FILE COVERS 1907 - 21 Mar 2008 VOL 148 ISS 13
FILE LAST UPDATED: 20 Mar 2008 (20080320/ED)

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 This file contains CAS Registry Numbers for easy and accurate
 substance identification.

=> s l11/thu or l12/thu or l13/thu or l14/thu or l15/thu

          2366 L11
        990583 THU/RL
           264 L11/THU
               (L11 (L) THU/RL)
          3668 L12
        990583 THU/RL
           189 L12/THU
               (L12 (L) THU/RL)
          1438 L13
        990583 THU/RL
            88 L13/THU

```
                (L13 (L) THU/RL)
          1377 L14
        990583 THU/RL
           226 L14/THU
                (L14 (L) THU/RL)
            29 L15
        990583 THU/RL
             2 L15/THU
                (L15 (L) THU/RL)
L16         544 L11/THU OR L12/THU OR L13/THU OR L14/THU OR L15/THU

=> s l16 and (PY<1991 or AY<1991 or PRY<1991)

      13721594 PY<1991
       2389087 AY<1991
       1831063 PRY<1991
L17          62 L16 AND (PY<1991 OR AY<1991 OR PRY<1991)

=> file stnguide

COST IN U.S. DOLLARS                                SINCE FILE      TOTAL
                                                         ENTRY    SESSION
FULL ESTIMATED COST                                       2.69     894.38

FILE 'STNGUIDE' ENTERED AT 11:10:22 ON 21 MAR 2008
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FILE CONTAINS CURRENT INFORMATION.
LAST RELOADED: Mar 14, 2008 (20080314/UP).

=> file hcaplus
COST IN U.S. DOLLARS                                SINCE FILE      TOTAL
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FULL ESTIMATED COST                                       0.12     894.50

FILE 'HCAPLUS' ENTERED AT 11:11:17 ON 21 MAR 2008
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FILE COVERS 1907 - 21 Mar 2008  VOL 148 ISS 13
FILE LAST UPDATED: 20 Mar 2008  (20080320/ED)

New CAS Information Use Policies, enter HELP USAGETERMS for details.

 This file contains CAS Registry Numbers for easy and accurate
 substance identification.

=> s cancer or tumor or viral or antiviral or neoplas? or HIV or hepatitis or
influenza
```

```
        352123 CANCER
        444713 TUMOR
        184273 VIRAL
         65529 ANTIVIRAL
        534737 NEOPLAS?
         77357 HIV
         65816 HEPATITIS
         25852 INFLUENZA
L18    1089167 CANCER OR TUMOR OR VIRAL OR ANTIVIRAL OR NEOPLAS? OR HIV OR HEPA
               TITIS OR INFLUENZA

=> s l17 and l18

L19         53 L17 AND L18

=> file stnguide

COST IN U.S. DOLLARS                                SINCE FILE      TOTAL
                                                         ENTRY    SESSION
FULL ESTIMATED COST                                       2.69     897.19

FILE 'STNGUIDE' ENTERED AT 11:11:20 ON 21 MAR 2008
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FILE CONTAINS CURRENT INFORMATION.
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=> file hcaplus
COST IN U.S. DOLLARS                                SINCE FILE      TOTAL
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FULL ESTIMATED COST                                       0.12     897.31

FILE 'HCAPLUS' ENTERED AT 11:12:43 ON 21 MAR 2008
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FILE COVERS 1907 - 21 Mar 2008  VOL 148 ISS 13
FILE LAST UPDATED: 20 Mar 2008  (20080320/ED)

New CAS Information Use Policies, enter HELP USAGETERMS for details.

 This file contains CAS Registry Numbers for easy and accurate
 substance identification.

=> s (delayed or extended or controlled)(w)release

        112953 DELAYED
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        271936 EXTENDED
        599270 CONTROLLED
        517109 RELEASE
L20      29219 (DELAYED OR EXTENDED OR CONTROLLED)(W)RELEASE

=> s prodrug

L21      12682 PRODRUG

=> s l19 and l20

L22          0 L19 AND L20

=> s l19 and l21

L23          6 L19 AND L21

=> file stnguide


HELP DFIELDS -- To see a complete list of individual display fields.
HELP FORMATS -- To see detailed descriptions of the predefined formats.
ENTER DISPLAY FORMAT (IDE):ide

L12  ANSWER 1 OF 7305  REGISTRY  COPYRIGHT 2008 ACS on STN
RN   1008577-10-3  REGISTRY
ED   Entered STN:  18 Mar 2008
CN   INDEX NAME NOT YET ASSIGNED
MF   C20 H23 N3 O6
SR   Other Sources
       Database: ZINC (Shoichet Laboratory)
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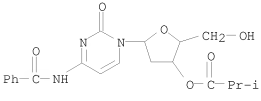


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L12  ANSWER 2 OF 7305  REGISTRY  COPYRIGHT 2008 ACS on STN
RN   1007602-86-9  REGISTRY
ED   Entered STN:  12 Mar 2008
CN   5'-Uridylic acid, 2'-deoxy-, bis(3,7-dimethyl-6-octen-1-yl) ester,
     3'-acetate  (CA INDEX NAME)
FS   STEREOSEARCH
MF   C31 H51 N2 O9 P
SR   CA
LC   STN Files:   CA, CAPLUS

Absolute stereochemistry.
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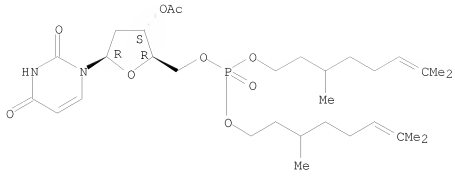

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L12 ANSWER 3 OF 7305 REGISTRY COPYRIGHT 2008 ACS on STN
RN 1007602-85-8 REGISTRY
ED Entered STN: 12 Mar 2008
CN 5'-Uridylic acid, 2'-deoxy-, didocosyl ester, 3'-acetate (CA INDEX NAME)
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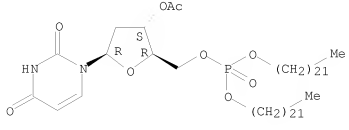
LC STN Files: CA, CAPLUS

Absolute stereochemistry.



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1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L12 ANSWER 4 OF 7305 REGISTRY COPYRIGHT 2008 ACS on STN
RN 1007602-84-7 REGISTRY
ED Entered STN: 12 Mar 2008
CN 5'-Uridylic acid, 2'-deoxy-, dioctadecyl ester, 3'-acetate (CA INDEX NAME)
FS STEREOSEARCH
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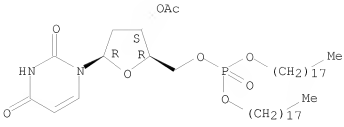
SR CA
LC STN Files: CA, CAPLUS

Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

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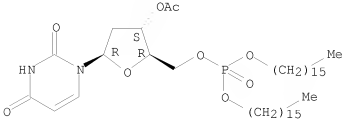
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L12 ANSWER 5 OF 7305 REGISTRY COPYRIGHT 2008 ACS on STN
RN 1007602-83-6 REGISTRY
ED Entered STN: 12 Mar 2008
CN 5'-Uridylic acid, 2'-deoxy-, dihexadecyl ester, 3'-acetate (CA INDEX NAME)
FS STEREOSEARCH
MF C43 H79 N2 O9 P
SR CA
LC STN Files: CA, CAPLUS

Absolute stereochemistry.

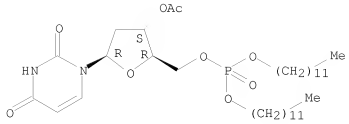


PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L12 ANSWER 6 OF 7305 REGISTRY COPYRIGHT 2008 ACS on STN
RN 1007602-82-5 REGISTRY
ED Entered STN: 12 Mar 2008
CN 5'-Uridylic acid, 2'-deoxy-, didodecyl ester, 3'-acetate (CA INDEX NAME)
FS STEREOSEARCH
MF C35 H63 N2 O9 P
SR CA
LC STN Files: CA, CAPLUS

Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

=> d l23 1-6 ti abs bib
YOU HAVE REQUESTED DATA FROM FILE 'HCAPLUS' - CONTINUE? (Y)/N:y

L23 ANSWER 1 OF 6 HCAPLUS COPYRIGHT 2008 ACS on STN
TI Treatment of chemotherapeutic agent and antiviral agent toxicity with acylated pyrimidine nucleosides
AB Compds., compns., and methods are disclosed for treatment and prevention of toxicity due to chemotherapeutic agents and antiviral agents. Disclosed are acylated derivs. of nonmethylated pyrimidine nucleosides. These compds. are capable of attenuating damage to the hematopoietic system in animals receiving antiviral or antineoplastic chemotherapy.
AN 1999:670113 HCAPLUS <<LOGINID::20080321>>
DN 131:281604
TI Treatment of chemotherapeutic agent and antiviral agent toxicity with acylated pyrimidine nucleosides
IN Von Borstel, Reid; Bamat, Michael K.
PA Pro-Neuron, Inc., USA
SO U.S., 31 pp., Cont.-in-part U. S. Ser. 176,485.
CODEN: USXXAM
DT Patent
LA English
FAN.CNT 13

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI US 5968914	A	19991019	US 1995-472210	19950607 <--
EP 712629	A1	19960522	EP 1995-203050	19881027 <--
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JP 3474073	B2	20031208		
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CA 2504078	C	20070828		
ES 2160579	T3	20011116	ES 1992-914215	19920625
ZA 9204975	A	19930428	ZA 1992-4975	19920703
IN 175688	A1	19950812	IN 1992-CA473	19920706

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	AU 724805	B2	20000928			
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	JP 2003201240	A	20030718	JP 2003-721	19960606	
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	US 2004192635	A1	20040930	US 2004-824501	20040415	<--
	US 2004220134	A1	20041104	US 2004-855835	20040528	<--
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	JP 2006137772	A	20060601	JP 2005-380457	20051228	<--
	JP 2008019268	A	20080131	JP 2007-233452	20070907	<--
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     US 1991-737913       B3    19910729
     CA 1992-2111571      A3    19920625
     IN 1992-CA473        A1    19920706
     US 1992-911379       A3    19920713
     US 1992-925931       B2    19920807
     US 1992-958598       B3    19921007
     US 1992-987730       B2    19921208
     US 1992-997657       A3    19921230
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     AU 2002-320811       A3    20021223
     JP 2005-380457       A3    20051228
RE.CNT  30    THERE ARE 30 CITED REFERENCES AVAILABLE FOR THIS RECORD
              ALL CITATIONS AVAILABLE IN THE RE FORMAT

L23  ANSWER 2 OF 6  HCAPLUS  COPYRIGHT 2008 ACS on STN
TI   Methods of reducing toxicity of chemotherapeutic and antiviral
     agents with acylated non-methylated pyrimidine nucleosides
AB   Compds., compns. and methods are disclosed for the treatment and
     prevention of toxicity due to chemotherapeutic agents and
     antiviral agents.  Disclosed are acylated derivs. of
     non-methylated pyrimidine nucleosides.  These compds. are capable of
     attenuating damage to the hematopoietic system in animals receiving
     antiviral or antineoplastic chemotherapy.  Oral administration of
     triacetyluridine ameliorated the hematol. toxicity of 5-fluorouracil.
     Triacetyluridine and uridine increased the therapeutic index of
     5-fluorouracil in tumor-bearing mice.  Amelioration of the
     adverse effects of e.g. AZT is also described.
AN   1997:141015  HCAPLUS <<LOGINID::20080321>>
DN   126:139905
TI   Methods of reducing toxicity of chemotherapeutic and antiviral
     agents with acylated non-methylated pyrimidine nucleosides
IN   Vonborstel, Reid W.; Bamat, Michael K.
PA   Pro-Neuron, Inc., USA
SO   PCT Int. Appl., 142 pp.
     CODEN: PIXXD2
DT   Patent
LA   English
FAN.CNT 13
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	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9640165	A1	19961219	WO 1996-US10067	19960606
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	RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN				
	IN 177670	A1	19970215	IN 1994-CA701	19940902
	US 5968914	A	19991019	US 1995-472210	19950607 <--
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	AU 724805	B2	20000928		
	EP 831849	A1	19980401	EP 1996-918461	19960606
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PRAI	US 1995-472210	A	19950607		
	US 1987-115923	B2	19871028	<--	
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	US 1989-438493	B2	19890627	<--	
	US 1990-487984	B2	19900205	<--	
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	US 1992-903107	B2	19920625		
	IN 1992-CA473	A1	19920706		
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	AU 2002-320811	A3	20021223		

L23 ANSWER 3 OF 6 HCAPLUS COPYRIGHT 2008 ACS on STN

TI Liposomal sustained-release delivery systems for intravenous injection. IV. Antitumor activity of newly synthesized lipophilic 1-β-D-arabinofuranosylcytosine prodrug-bearing liposomes

AB A lipophilic prodrug of 1-β-D-arabinofuranosylcytosine (Ara-C), namely N4-[N-(cholesteryloxycarbonyl)glycyl]-Ara-C (COCG-Ara-C), was synthesized, and its antitumor activity in a liposome-entrapped form was studied. COCG-Ara-C showed an increased lipophilicity and almost complete entrapment in liposomes. COCG-Ara-C was hydrolyzed to the parent drug chemical, but the hydrolysis was accelerated in the presence of mouse, rat, and human plasma. The in vitro cytotoxicity of the prodrug against P 388 leukemia was approx. one-fifth that of Ara-C and 4 times that of N4-behenoyl-Ara-C (BHAC). For in vivo antitumor activity tests, unilamellar vesicles composed of egg phosphatidylcholine (PC), egg sphingomyelin (SM) and COCG-Ara-C in a molar ratio of 7:3:X (X = 0-2.0) were prepared by the combination of controlled dialysis and sequential extrusion. The vesicle size ranged from 108 to 124 nm. In all the antitumor activity studies, chemotherapy was performed i.v. The antitumor activity of COCG-Ara-C-bearing liposomes against i.p. or i.v. inoculated mouse L 1210 leukemia was clearly superior to those of Ara-C and BHAC aqueous solns. The efficacy of COCG-Ara-C against L 1210 leukemia was dependent upon the dosage form: regardless of implantation route, liposomal COCG-Ara-C showed a more potent activity than free COCG-Ara-C (aqueous solution).

Prodrug-bearing liposomes also inhibited the growth of a human lung adenocarcinoma A 549 xenograft implanted under the renal capsule more

efficiently than did Ara-C and BHAC aqueous solns. These results suggest the potential usefulness of COCG-Ara-C-bearing liposomes in cancer chemotherapy.

AN 1989:18186 HCAPLUS <<LOGINID::20080321>>
DN 110:18186
TI Liposomal sustained-release delivery systems for intravenous injection. IV. Antitumor activity of newly synthesized lipophilic 1-β-D-arabinofuranosylcytosine prodrug-bearing liposomes
AU Tokunaga, Yuji; Iwasa, Tomoaki; Fujisaki, Jiro; Sawai, Seiji; Kagayama, Akira
CS Explor. Res. Lab., Fujisawa Pharm. Co., Ltd., Tsukuba, 300-26, Japan
SO Chemical & Pharmaceutical Bulletin (1988), 36(9), 3574-83
CODEN: CPBTAL; ISSN: 0009-2363
DT Journal
LA English

L23 ANSWER 4 OF 6 HCAPLUS COPYRIGHT 2008 ACS on STN
TI N4-Chloroacetylcytosine arabinoside - a possible prodrug of cytosine arabinoside
GI

I

AB Lipophilic N1-acetyl and N4-chloroacetyl derivs. (I, R = H, ribosyl, 2-deoxyribosyl or arabinosyl, R1 = H or Cl) of cytidine, 2'-deoxycytidine and cytosine arabinoside (Ara-C) were prepared by acetylation and chloroacetylation, resp. Their toxicity to A(Ti)Cl-3 hamster fibrosarcoma cells was determined I (R1 = ribosyl, 2-deoxyribosyl or arabinosyl, R1 = Cl) were potent with no colonies surviving at concns. of 10-4, 10-4, and 10-6M, resp. I (R1 = ribosyl, 2-deoxyribosyl or arabinosyl, R1 = H) showed comparatively poor toxicity with 95, 77 and 87% survival of colonies, resp. N4-Chloroacetyl-2'-deoxycytidine and N4-chloroacetyl-Ara-C underwent hydrolysis in phosphate-buffered saline at 50° to yield the parent nucleosides and the N3-carboxymethyl derivs. via 1-H-2,3-dihydro-2,5-dioxoimidazo[1,2-c]pyrimidines.
AN 1988:142952 HCAPLUS <<LOGINID::20080321>>
DN 108:142952
TI N4-Chloroacetylcytosine arabinoside - a possible prodrug of cytosine arabinoside
AU Ariatti, Mario; Jones, Peter A.
CS Dep. Biochem., Univ. Durban-Westville, Durban, 4000, S. Afr.
SO Biochemistry International (1987), 15(6), 1097-103
CODEN: BIINDF; ISSN: 0158-5231
DT Journal
LA English

L23 ANSWER 5 OF 6 HCAPLUS COPYRIGHT 2008 ACS on STN
TI Selective anticancer effects of 3',5'-dioctanoyl-5-fluoro-2'-deoxyuridine, a lipophilic prodrug of 5-fluoro-2'-deoxyuridine, dissolved in an oily lymphographic agent on hepatic cancer of rabbits bearing VX-2 tumor

AB 3',5'-Dioctanoyl-5-fluoro-2'-deoxyuridine (FdUrd-C8) was dissolved in an oily lymphog. agent (Lipiodol), which had been studied as a carrier of the anticancer drug for hepatic artery of rabbits bearing VX-2 tumor in the liver in order to examine the anticancer effects and possible adverse effects on nontumorous hepatic cells. Lipiodol or FdUrdC8 Lipiodol selectivity remained in the hepatic cell but disappeared from nontumorous parts of the liver 7 days after injection. Tumor growth rates in 1 wk of the untreated group, a group given injections of 0.2 mL of Lipiodol alone, and groups given injections of 0.2 mL of Lipiodol containing 30, 50, 70, and 100 mg of FdUrd-C8 were 636, 436, 34.8, 14.9, -2.4, and -10.4% of the size at the time of treatment, resp. Patholog. observation also showed that FdUrd-C8 had a strong anticancer effect on VX-2 tumor growing in the liver of the rabbits. In contrast to the effect on the cancerous cells, that on nontumorous hepatic cells was very slight. In pathol. observation, necrosis or degeneration of nontumorous hepatic cells was hardly observed Plasma glutamic-oxaloacetic transaminase and glutamic-pyruvic transaminase levels temporarily rose 1 day after injection but returned to the initial levels within 7 days in all groups.

AN 1987:400376 HCAPLUS <<LOGINID::20080321>>

DN 107:376

OREF 107:58h,59a

TI Selective anticancer effects of 3',5'-dioctanoyl-5-fluoro-2'-deoxyuridine, a lipophilic prodrug of 5-fluoro-2'-deoxyuridine, dissolved in an oily lymphographic agent on hepatic cancer of rabbits bearing VX-2 tumor

AU Fukushima, Shoji; Kawaguchi, Takeo; Nishida, Mika; Juni, Kazuhiko; Yamashita, Yasuyuki; Takahashi, Mutsumasa; Nakano, Masahiro

CS Dep. Pharm., Kumamoto Univ. Hosp., Tokyo, 191, Japan

SO Cancer Research (1987), 47(7), 1930-4
CODEN: CNREA8; ISSN: 0008-5472

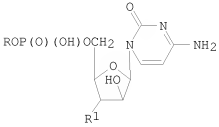
DT Journal

LA English

L23 ANSWER 6 OF 6 HCAPLUS COPYRIGHT 2008 ACS on STN

TI Lipophilic 5'-alkyl phosphate esters of 1-β-D-arabinofuranosylcytosine and its N4-acyl and 2,2'-anhydro-3'-O-acyl derivatives as potential prodrugs

GI



I

AB Lipophilic 5'-(alkyl phosphate) esters of I [R = alkyl, benzylglyceryl etc.; R1 = H, CO(CH2)14Me, or CO(CH2)16Me] of 1-β-D-arabinofuranosylcytosine (ara-C) [147-94-4] and several N4-acyl and 3'-O-acyl-2,2'-anhydro derivs. of ara-C were synthesized as potential prodrugs of ara-C 5'-monophosphate (ara-CMP) [147-94-4]. Alkylphosphorylation of ara-C, N4-palmitoyl-ara-C [55726-45-9], and N4-stearoyl-ara-C [55726-44-8] was achieved in a single continuous

operation by allowing the nucleoside to react with POCl3 in tri-Me or tri-Et phosphate and adding the appropriate anhydrous alc. directly to the intermediate phosphorodichloridate without isolation. Similar reactions with cytidine [65-46-3] in the presence of boron trifluoride yielded 3'-O-acyl-2,2'anhydro-ara-C 5'-(alkyl phosphate) esters. Several ara-CMP analogs were tested against L1210/ara-C leukemia in mice in the hope that this kinase-deficient tumor would respond to treatment with these prephosphorylated derivs., but no activity was observed Of the simple 5'-O-(alkyl phosphate) esters tested in culture against L1210 leukemic cells, only I [R = HOCH2CH(OH)CH2, R1 = H] [80096-69-1] showed toxicity comparable to ara-CMP (ID50 = 0.35 and 0.65 μM, resp.), suggesting that β-hydroxyalkyl phosphate esters may be worthwhile to examine further as prodrugs of ara-CMP.

AN 1982:45867 HCAPLUS <<LOGINID::20080321>>
DN 96:45867
OREF 96:7415a,7418a
TI Lipophilic 5'-alkyl phosphate esters of 1-β-D-arabinofuranosylcytosine and its N4-acyl and 2,2'-anhydro-3'-O-acyl derivatives as potential prodrugs
AU Rosowsky, A.; Kim, S. H.; Ross, J.; Wick, M. M.
CS Sidney Farber Cancer Inst., Harvard Med. Sch., Boston, MA, 02115, USA
SO Journal of Medicinal Chemistry (1982), 25(2), 171-8
CODEN: JMCMAR; ISSN: 0022-2623
DT Journal
LA English

=> file hcaplus

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	0.06	935.54

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	0.00	-4.80

FILE 'HCAPLUS' ENTERED AT 11:45:26 ON 21 MAR 2008
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

FILE COVERS 1907 - 21 Mar 2008 VOL 148 ISS 13
FILE LAST UPDATED: 20 Mar 2008 (20080320/ED)

New CAS Information Use Policies, enter HELP USAGETERMS for details.

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s toxicity or ((side or adverse)(w)effect)

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        360326 TOXICITY
        643284 SIDE
         98927 ADVERSE
       4883769 EFFECT
         31599 (SIDE OR ADVERSE)(W)EFFECT
L24     387107 TOXICITY OR ((SIDE OR ADVERSE)(W)EFFECT)

=> s l19 and l24

L25         14 L19 AND L24

=> file stnguide

COST IN U.S. DOLLARS                                SINCE FILE      TOTAL
                                                       ENTRY    SESSION
FULL ESTIMATED COST                                     2.69     938.23

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)          SINCE FILE      TOTAL
                                                       ENTRY    SESSION
CA SUBSCRIBER PRICE                                     0.00      -4.80

FILE 'STNGUIDE' ENTERED AT 11:45:28 ON 21 MAR 2008
USE IS SUBJECT TO THE TERMS OF YOUR CUSTOMER AGREEMENT
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FILE CONTAINS CURRENT INFORMATION.
LAST RELOADED: Mar 14, 2008 (20080314/UP).

=> d l25 1-14 ti abs bib
YOU HAVE REQUESTED DATA FROM FILE 'HCAPLUS' - CONTINUE? (Y)/N:y



L25  ANSWER 1 OF 14  HCAPLUS  COPYRIGHT 2008 ACS on STN
TI   Treatment of chemotherapeutic agent and antiviral agent
     toxicity with acylated pyrimidine nucleosides
AB   Compds., compns., and methods are disclosed for treatment and prevention
     of toxicity due to chemotherapeutic agents and antiviral
     agents.  Disclosed are acylated derivs. of nonmethylated pyrimidine
     nucleosides.  These compds. are capable of attenuating damage to the
     hematopoietic system in animals receiving antiviral or
     antineoplastic chemotherapy.
AN   1999:670113  HCAPLUS <<LOGINID::20080321>>
DN   131:281604
TI   Treatment of chemotherapeutic agent and antiviral agent
     toxicity with acylated pyrimidine nucleosides
IN   Von Borstel, Reid; Bamat, Michael K.
PA   Pro-Neuron, Inc., USA
SO   U.S., 31 pp., Cont.-in-part U. S. Ser. 176,485.
     CODEN: USXXAM
DT   Patent
LA   English
FAN.CNT 13
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US 5736531          A     19980407    US 1993-176485          19931230 <--
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US 5770582          A     19980623    US 1995-419767          19950410 <--
US 5691320          A     19971125    US 1995-465454          19950605 <--
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US 6232298          B1    20010515    US 1995-479519          19950607 <--
US 6274563          B1    20010814    US 1995-479349          19950607 <--
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        SE, SG
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JP 10511689         T     19981110    JP 1997-502184          19960606
JP 2003201240       A     20030718    JP 2003-721             19960606
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PT 1491201          T     20060831    PT 2004-23557           19960606
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US 6344447          B2    20020205
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AU 2002320811       A1    20030403    AU 2002-320811          20021223
US 2004033981       A1    20040219    US 2003-601863          20030624 <--
US 2004192635       A1    20040930    US 2004-824501          20040415 <--
US 2004220134       A1    20041104    US 2004-855835          20040528 <--
AU 2005232288       A1    20051201    AU 2005-232288          20051110
JP 2006137772       A     20060601    JP 2005-380457          20051228 <--
JP 2008019268       A     20080131    JP 2007-233452          20070907 <--
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    US 1993-61381        B2    19930514
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    US 1988-186031       B2    19880425  <--
    EP 1988-910239       A3    19881027  <--
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    US 1992-911379       A3    19920713
    US 1992-925931       B2    19920807
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    US 1993-96407        B1    19930726
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    US 1994-266897       B3    19940701
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    US 1995-472210       A     19950607
    AU 1995-29150        A3    19950630
    EP 1996-918461       A3    19960606
    JP 1997-502184       A3    19960606
    WO 1996-US10067      W     19960606
    HK 1998-111095       A3    19981003
    AU 1999-52624        A3    19991001
    US 2000-494242       A3    20000131
    AU 2002-320811       A3    20021223
    JP 2005-380457       A3    20051228
RE.CNT  30    THERE ARE 30 CITED REFERENCES AVAILABLE FOR THIS RECORD
              ALL CITATIONS AVAILABLE IN THE RE FORMAT

L25  ANSWER 2 OF 14  HCAPLUS  COPYRIGHT 2008 ACS on STN
TI   Compositions of chemotherapeutic agent or antiviral agent with
     acylated pyrimidine nucleosides
AB   The subject invention discloses compds., compns. and methods for treatment
     and prevention of toxicity due to chemotherapeutic agents and
     antiviral agents.  Disclosed are acylated derivs. of
     non-methylated pyrimidine nucleosides.  These compds. are capable of
     attenuating damage to the hematopoietic system in animals receiving
     antiviral or antineoplastic chemotherapy.  Thus, biol activity of
     5-fluorouracil is reported.
AN   1998:236253  HCAPLUS <<LOGINID::20080321>>
DN   128:266247
TI   Compositions of chemotherapeutic agent or antiviral agent with
     acylated pyrimidine nucleosides
IN   Von Borstel, Reid W.; Bamat, Michael K.
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PA Pro-Neuron, Inc., USA
SO U.S., 26 pp., Cont.-in-part of U.S. Ser. No. 61,381, abandoned.
CODEN: USXXAM
DT Patent
LA English
FAN.CNT 13

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	JP 3474073	B2	20031208			
	JP 2001192335	A	20010717	JP 2000-379524	19881027	<--
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     JP 2005-380457       A3    20051228
OS   MARPAT 128:266247
RE.CNT  34    THERE ARE 34 CITED REFERENCES AVAILABLE FOR THIS RECORD
              ALL CITATIONS AVAILABLE IN THE RE FORMAT

L25  ANSWER 3 OF 14  HCAPLUS  COPYRIGHT 2008 ACS on STN
TI   Methods of reducing toxicity of chemotherapeutic and
     antiviral agents with acylated non-methylated pyrimidine
     nucleosides
AB   Compds., compns. and methods are disclosed for the treatment and
     prevention of toxicity due to chemotherapeutic agents and
     antiviral agents.  Disclosed are acylated derivs. of
     non-methylated pyrimidine nucleosides.  These compds. are capable of
     attenuating damage to the hematopoietic system in animals receiving
     antiviral or antineoplastic chemotherapy.  Oral administration of
     triacetyluridine ameliorated the hematol. toxicity of
     5-fluorouracil.  Triacetyluridine and uridine increased the therapeutic
     index of 5-fluorouracil in tumor-bearing mice.  Amelioration of
     the adverse effects of e.g. AZT is also described.
AN   1997:141015  HCAPLUS <<LOGINID::20080321>>
DN   126:139905
TI   Methods of reducing toxicity of chemotherapeutic and
     antiviral agents with acylated non-methylated pyrimidine
     nucleosides
IN   Vonborstel, Reid W.; Bamat, Michael K.
PA   Pro-Neuron, Inc., USA
SO   PCT Int. Appl., 142 pp.
     CODEN: PIXXD2
DT   Patent
LA   English
FAN.CNT 13
     PATENT NO.           KIND   DATE        APPLICATION NO.        DATE
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             SE, SG
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     US 1989-438493       B2    19890627  <--
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     US 1991-724340       B2    19910705
     US 1992-903107       B2    19920625
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     WO 1996-US10067      W     19960606
     AU 1999-52624        A3    19991001
     AU 2002-320811       A3    20021223

L25  ANSWER 4 OF 14  HCAPLUS  COPYRIGHT 2008 ACS on STN
TI   Pyrimidine nucleotide precursors for treatment of systemic inflammation
     and inflammatory hepatitis
AB   Pyrimidine nucleotide precursors, including acyl derivs. of cytidine,
     uridine, and orotate, and uridine phosphorylase inhibitors, and their use
     in enhancing resistance to sepsis or systemic inflammation, are disclosed.
     Triacetyluridine improved survival of mice treated with a LD of Salmonella
     typhimurium endotoxin, reduced endotoxin-caused tissue damage, reduced
     mortality in viral hepatitis in mice, and improved
     recovery from ethanol intoxication.
AN   1996:205056  HCAPLUS <<LOGINID::20080321>>
DN   124:250921
TI   Pyrimidine nucleotide precursors for treatment of systemic inflammation
     and inflammatory hepatitis
IN   Von Borstel, Reid W.; Bamat, Michael K.; Hiltbrand, Bradley M.
PA   Pro-Neuron, Inc., USA
SO   PCT Int. Appl., 95 pp.
     CODEN: PIXXD2
DT   Patent
LA   English
FAN.CNT 13
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	US 1993-158799	B2	19931201			
	US 1995-463740	A1	19950605			
	US 1995-479519	A1	19950607			
	AU 1995-29150	A3	19950630			
	CN 1995-194806	A3	19950630			
	JP 1996-503935	A3	19950630			
	WO 1995-US8259	W	19950630			
	AU 1999-52624	A3	19991001			
	US 2000-702876	A3	20001101			
	AU 2002-320811	A3	20021223			

L25 ANSWER 5 OF 14 HCAPLUS COPYRIGHT 2008 ACS on STN

TI Antitumor characteristics of the conjugate of N4-(4-carboxybutyryl)-ara-C with ethylenediamine-introduced dextran and its resistance to cytidine deaminase

AB By oxidation of dextran, and reduction of the Schiff bases formed by reaction of the oxidized dextran with diaminoalkanes, several diaminoalkane-induced dextrans were prepared and evaluated as drug carriers. Conjugates between N4-(4-carboxybutyryl)-1-β-D-arabinofuranosylcytosine (glu-ara-C) and such drug carriers were prepared, and selected conjugates were tested in vivo, and investigated for inhibitory effects on cytidine deaminase. Ethylenediamine-introduced dextran prepared under 10% oxidation conditions was found to be most useful as a drug carrier from its chemical characteristics and toxicity evaluation in BDF1 mice. The conjugate obtained from glu-ara-C and ethylenediamine-induced dextran 2000 showed high antitumor activity, significant at the relatively low dose of 100 mg equivalent ara-C/kg, in BDF1 mice bearing L1210 leukemia cells. Glu-ara-C and the conjugate were unaffected by cytidine deaminase under conditions in which 1-β-D-arabinofuranosylcytosine was degraded rapidly to 1-β-D-arabinofuranosyluracil.

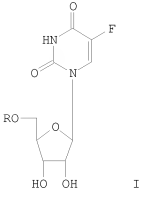
AN 1991:421691 HCAPLUS <<LOGINID::20080321>>

DN 115:21691

TI Antitumor characteristics of the conjugate of N4-(4-carboxybutyryl)-ara-C with ethylenediamine-introduced dextran and its resistance to cytidine deaminase

AU Onishi, Hiraku; Pithayanukul, Pimolpan; Nagai, Tsuneji
CS Fac. Pharm. Sci., Hoshi Univ., Tokyo, Japan
SO Drug Design and Delivery (1990), 6(4), 273-80
CODEN: DDDEEJ; ISSN: 0884-2884
DT Journal
LA English

L25 ANSWER 6 OF 14 HCAPLUS COPYRIGHT 2008 ACS on STN
TI 5-Fluorouracil derivatives. XX. Synthesis and antitumor activity of 5'-O-unsaturated acyl-5-fluorouridines
GI



I

AB Various kinds of 5'-O-unsatd. acyl 5-fluorouridines I (R = unsatd. acyl) were synthesized to obtain 5-fluorouridine derivs. with low toxicity and high antitumor activity. Antitumor activity of the compds. against L-1210 leukemia in mice was examined, and the 5'-O-4-pentenoyl derivative showed the highest antitumor activity.
AN 1991:220747 HCAPLUS <<LOGINID::20080321>>
DN 114:220747
TI 5-Fluorouracil derivatives. XX. Synthesis and antitumor activity of 5'-O-unsaturated acyl-5-fluorouridines
AU Ozaki, Shoichiro; Akiyama, Takahiko; Morita, Takao; Kumegawa, Masahiro; Nagase, Toshio; Uehara, Nobuaki; Hoshi, Akio
CS Fac. Eng., Ehime Univ., Matsuyama, 790, Japan
SO Chemical & Pharmaceutical Bulletin (1990), 38(11), 3164-6
CODEN: CPBTAL; ISSN: 0009-2363
DT Journal
LA English

L25 ANSWER 7 OF 14 HCAPLUS COPYRIGHT 2008 ACS on STN
TI Antiviral effect of antileukemic drugs N4-behenoyl-1-β-D-arabinofuranosylcytosine (BH-AC) and 2,2'-anhydro-1-β-D-arabinofuranosylcytosine (cyclo-C) against human cytomegalovirus
AB The antiviral activities of antileukemic drugs 1-β-D-arabinofuranosylcytosine (cytarabine; Ara-C), 2,2'-anhydro-1-β-D-arabinofuranosylcytosine (ancitabine; Cyclo-C), and N4-behenoyl-1-β-D-arabinofuranosylcytosine (enocitabine; BH-AC) were evaluated in vitro against human cytomegalovirus (HCMV) in comparison with those of five other antiviral drugs. Both Ara-C and Cyclo-C showed the strongest inhibitory effect to HCMV. BH-AC inhibited the replication of HCMV and depicted almost as the same dose-response

curve as ganciclovir (DHPG). In the presence of Ara-C, Cyclo-C, or BH-AC, triphosphate forms of the nucleoside analogs were detected in the HCMV-infected cells, and synthesis of HCMV DNA was strongly suppressed. Thus, Ara-C, Cyclo-C, and BH-AC were not only antileukemic, but also antiviral in vitro. However, Ara-C and Cyclo-C may not be suitable as anti-HCMV agents, because they are cytotoxic or excreted rapidly in the urine in vivo. Because of lower toxicity and longer retention in vivo, BH-AC may be expected as an anti-HCMV agent in patients with leukemia, in addition to serving as an antileukemic drug.

AN 1990:544907 HCAPLUS <<LOGINID::20080321>>
DN 113:144907
TI Antiviral effect of antileukemic drugs N4-behenoyl-1-β-D-arabinofuranosylcytosine (BH-AC) and 2,2'-anhydro-1-β-D-arabinofuranosylcytosine (cyclo-C) against human cytomegalovirus
AU Nakamura, Kazuo; Eizuru, Yoshito; Kumura, Keiko; Minamishima, Yoichi
CS Dep. Microbiol., Miyazaki Med. Coll., Kiyotake, 889-16, Japan
SO Journal of Medical Virology (1990), 31(2), 141-7
CODEN: JMVIDB; ISSN: 0146-6615
DT Journal
LA English

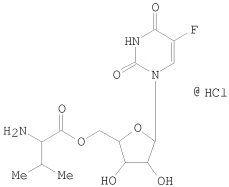
L25 ANSWER 8 OF 14 HCAPLUS COPYRIGHT 2008 ACS on STN
TI N4-Chloroacetylcytosine arabinoside - a possible prodrug of cytosine arabinoside
GI

I

AB Lipophilic N1-acetyl and N4-chloroacetyl derivs. (I, R = H, ribosyl, 2-deoxyribosyl or arabinosyl, R1 = H or Cl) of cytidine, 2'-deoxycytidine and cytosine arabinoside (Ara-C) were prepared by acetylation and chloroacetylation, resp. Their toxicity to A(Ti)Cl-3 hamster fibrosarcoma cells was determined I (R1 = ribosyl, 2-deoxyribosyl or arabinosyl, R1 = Cl) were potent with no colonies surviving at concns. of 10-4, 10-4, and 10-6M, resp. I (R1 = ribosyl, 2-deoxyribosyl or arabinosyl, R1 = H) showed comparatively poor toxicity with 95, 77 and 87% survival of colonies, resp. N4-Chloroacetyl-2'-deoxycytidine and N4-chloroacetyl-Ara-C underwent hydrolysis in phosphate-buffered saline at 50° to yield the parent nucleosides and the N3-carboxymethyl derivs. via 1-H-2,3-dihydro-2,5-dioxoimidazo[1,2-c]pyrimidines.

AN 1988:142952 HCAPLUS <<LOGINID::20080321>>
DN 108:142952
TI N4-Chloroacetylcytosine arabinoside - a possible prodrug of cytosine arabinoside
AU Ariatti, Mario; Jones, Peter A.
CS Dep. Biochem., Univ. Durban-Westville, Durban, 4000, S. Afr.
SO Biochemistry International (1987), 15(6), 1097-103
CODEN: BIINDF; ISSN: 0158-5231
DT Journal
LA English

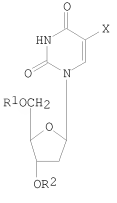
L25 ANSWER 9 OF 14 HCAPLUS COPYRIGHT 2008 ACS on STN
TI FO-152
GI



I

AB A review, with 16 refs., of the phys. properties, antitumor mechanisms, pharmacokinetics, and toxicity of FO-152 (I).
AN 1987:568080 HCAPLUS <<LOGINID::20080321>>
DN 107:168080
OREF 107:26818a
TI FO-152
AU Furue, Hisashi; Niitani, Hisanobu; Kurihara, Minoru; Hasegawa, Kooichi; Nakao, Isao; Tsukagoshi, Shigeru; Fujita, Hiroshi
CS Nihon Med. Sch., Teikyo Univ., Japan
SO Gan to Kagaku Ryoho (1987), 14(7), 2251-6
CODEN: GTKRDX; ISSN: 0385-0684
DT Journal; General Review
LA Japanese

L25 ANSWER 10 OF 14 HCAPLUS COPYRIGHT 2008 ACS on STN
TI Antiviral 5-halo-2'-deoxyuridines
GI



I

AB 5-Halo-2'-deoxyuridines I (X = halo; R1, R2 = H, C≥2 aliphatic acyl, C≥6 aromatic acyl; R1 = R2 ≠ H) are antiviral agents for therapeutic use. I shows a high antiviral activity but low toxicity to normal cells. Herpes type 1 virus was inoculated into Vero cell monolayer culture in minimal essential medium (MEM) containing 5% calf serum, and test compds. were added. After 48 h cultivation in 5% calf serum-containing MEM, the ED50 of 3',5'-didodecanoyl-5-fluoro-2'-deoxyuridine (II) was 0.054 μg/mL compared to 0.99 μg/mL for acyclovir (control compound). Capsules were prepared containing II 10, lactose 97, crystalline cellulose 50, and Mg stearate 3 mg.
AN 1987:207662 HCAPLUS <<LOGINID::20080321>>
DN 106:207662
OREF 106:33520h,33521a
TI Antiviral 5-halo-2'-deoxyuridines
IN Kawaguchi, Takeo; Fujinaga, Shigeki; Suzuki, Yoshiki
PA Teijin Ltd. , Japan
SO PCT Int. Appl., 33 pp.
CODEN: PIXXD2
DT Patent
LA Japanese
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 8700435	A1	19870129	WO 1986-JP383	19860721 <--
W: AU, JP, US				
RW: CH, DE, FR, GB, IT, NL, SE				
AU 8661367	A	19870210	AU 1986-61367	19860721 <--
AU 593271	B2	19900208		
EP 227844	A1	19870708	EP 1986-904397	19860721 <--
EP 227844	B1	19920513		
R: CH, DE, FR, GB, IT, LI, NL, SE				
US 4868162	A	19890919	US 1987-28841	19870323 <--
PRAI JP 1985-160115	A	19850722	<--	
WO 1986-JP383	A	19860721	<--	

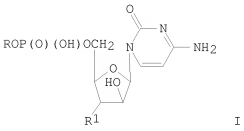
OS MARPAT 106:207662

L25 ANSWER 11 OF 14 HCAPLUS COPYRIGHT 2008 ACS on STN
TI Platinum-dioxopyrimidine complexes
AB Complexes of 2,4-dioxopyrimidines with cis-diaquodiamineplatinum (II) were prepared and tested for antitumor, antibacterial and antiviral activity. The complexes appear to have good activity with low renal toxicity.
AN 1984:114992 HCAPLUS <<LOGINID::20080321>>
DN 100:114992
OREF 100:17361a,17364a
TI Platinum-dioxopyrimidine complexes
IN Rosenberg, Barnett; Van Camp, Loretta; Ficher, Robert G.; Kansy, Samir; Peresie, Henry J.; Davidson, James P.
PA Research Corp. , USA
SO U.S., 11 pp. Cont. of U.S. Ser. No. 803,269, abandoned.
CODEN: USXXAM
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI US 4419351	A	19831206	US 1978-970524	19781218 <--
PRAI US 1974-508854	A1	19740924	<--	
US 1977-803269	A1	19770603	<--	

OS MARPAT 100:114992

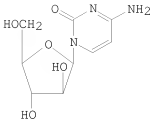
L25 ANSWER 12 OF 14 HCAPLUS COPYRIGHT 2008 ACS on STN
TI Lipophilic 5'-alkyl phosphate esters of 1-β-D-arabinofuranosylcytosine and its N4-acyl and 2,2'-anhydro-3'-O-acyl derivatives as potential prodrugs
GI



I

AB Lipophilic 5'-(alkyl phosphate) esters of I [R = alkyl, benzylglyceryl etc.; R1 = H, CO(CH2)14Me, or CO(CH2)16Me] of 1-β-D-arabinofuranosylcytosine (ara-C) [147-94-4] and several N4-acyl and 3'-O-acyl-2,2'-anhydro derivs. of ara-C were synthesized as potential prodrugs of ara-C 5'-monophosphate (ara-CMP) [147-94-4]. Alkylphosphorylation of ara-C, N4-palmitoyl-ara-C [55726-45-9], and N4-stearoyl-ara-C [55726-44-8] was achieved in a single continuous operation by allowing the nucleoside to react with POCl3 in tri-Me or tri-Et phosphate and adding the appropriate anhydrous alc. directly to the intermediate phosphorodichloridate without isolation. Similar reactions with cytidine [65-46-3] in the presence of boron trifluoride yielded 3'-O-acyl-2,2'anhydro-ara-C 5'-(alkyl phosphate) esters. Several ara-CMP analogs were tested against L1210/ara-C leukemia in mice in the hope that this kinase-deficient tumor would respond to treatment with these prephosphorylated derivs., but no activity was observed Of the simple 5'-O-(alkyl phosphate) esters tested in culture against L1210 leukemic cells, only I [R = HOCH2CH(OH)CH2, R1 = H] [80096-69-1] showed toxicity comparable to ara-CMP (ID50 = 0.35 and 0.65 μM, resp.), suggesting that β-hydroxyalkyl phosphate esters may be worthwhile to examine further as prodrugs of ara-CMP.
AN 1982:45867 HCAPLUS <<LOGINID::20080321>>
DN 96:45867
OREF 96:7415a,7418a
TI Lipophilic 5'-alkyl phosphate esters of 1-β-D-arabinofuranosylcytosine and its N4-acyl and 2,2'-anhydro-3'-O-acyl derivatives as potential prodrugs
AU Rosowsky, A.; Kim, S. H.; Ross, J.; Wick, M. M.
CS Sidney Farber Cancer Inst., Harvard Med. Sch., Boston, MA, 02115, USA
SO Journal of Medicinal Chemistry (1982), 25(2), 171-8
CODEN: JMCMAR; ISSN: 0022-2623
DT Journal
LA English

L25 ANSWER 13 OF 14 HCAPLUS COPYRIGHT 2008 ACS on STN
TI Pharmacology of 5'-esters of 1-β-D-arabinofuranosylcytosine
GI



I

AB Pharmacol. studies of 5'-esters of 1-β-D-arabinofuranosylcytosine (ara-C) were performed in 3 species (mouse, pig, and man). In mice, after a single i.p. injection of a suspension of tritiated 1-β-D-arabinofuranosylcytosine 5'-palmitate (I) [31088-06-9] at a therapeutic dose of 150 mg/kg, 30% of the administered radioactivity was recovered in the urine in 24 h and 56% was recovered after 7 days. Excretion was less rapid after s.c. administration. Ara-C and 1-β-D-arabinofuranosyluracil [3083-77-0] each accounted for about 50% of the excreted radioactivity, and no I was found. I concns. of greater than 0.1 μg/mL were detected 24 h after i.p. administration of I (150 mg/kg). Single doses of I were therapeutic against L1210 leukemic mice when administered 5-7 days before tumor inoculation. In a pig, after i.m. injection of tritiated I (60 mg/kg, two sites), only 7% of the administered radioactivity was recovered in the urine over a 1-week period. Similar low rates of excretion were also observed in patients treated i.m. with I or 1-β-D-arabinofuranosylcytosine 5'-benzoate [34270-10-5]. No ara-C was detected in the plasma, which is consistent with the absence of clin. toxicity or myelosuppression in Phase 1 trials of I at doses up to 1500 mg/m2 every 3 weeks for as many as 8 courses.
AN 1977:511524 HCAPLUS <<LOGINID::20080321>>
DN 87:111524
OREF 87:17625a,17628a
TI Pharmacology of 5'-esters of 1-β-D-arabinofuranosylcytosine
AU Ho, D. H. W.; Neil, Gary L.
CS Univ. Texas Syst. Cancer Cent., M. D. Anderson Hosp. Tumor Inst., Houston, TX, USA
SO Cancer Research (1977), 37(6), 1640-3
CODEN: CNREA8; ISSN: 0008-5472
DT Journal
LA English

L25 ANSWER 14 OF 14 HCAPLUS COPYRIGHT 2008 ACS on STN
TI Platinum-(2,4-dioxopyrimidine) complex
AB The title complexes were prepared by treating 2,4-dioxopyrimidine derivs. with cis-diaquadiammineplatinum(II) [20115-64-4] in a 2:1 to 1:1 mole ratio at 0-55°. The complexes showed antitumor, antiviral , and antibacterial activity, high water solubility, and low renal toxicity. For example, 0.01 mole cis-dichlorodiammineplatinum(II) [15663-27-1] was treated with 0.02 mole AgNO3 in the dark to give cis-diaquadiammineplatinum(II). This complex was then treated with uracil in a 1:1 mole ratio at pH 6-7 to give a complex which showed antitumor, antibacterial, and antiviral activity.
AN 1976:428777 HCAPLUS <<LOGINID::20080321>>
DN 85:28777
OREF 85:4645a,4648a
TI Platinum-(2,4-dioxopyrimidine) complex
IN Rosenberg, Barnett; Mansy, Samir A. L. A.; Van Camp, Loretta L.; Peresie, Henry J.; Fischer, Robert George; Davidson, James P.

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PA   Research Corp., USA
SO   Ger. Offen., 51 pp.
     CODEN: GWXXBX
DT   Patent
LA   German
FAN.CNT 1
     PATENT NO.          KIND   DATE        APPLICATION NO.        DATE
     --------------      ----   --------    -------------------    --------
PI   DE 2445418           A1    19760401    DE 1974-2445418        19740923 <--
     JP 58028278          B     19830615    JP 1974-112688         19740930 <--
PRAI DE 1974-2445418             19740923  <--

=> s fluorouracil or tegafur or fluorouridine or fluorocytosine or deoxyuridine or
(arabinosyl cytosine) or cyclocytidine or azacytosine or azacytidine or
(N-phosphonoacetyl-L-aspart?) or pyrazofurin or azauridine or azarbine or thymidine
or deazauridine

         20906 FLUOROURACIL
          1000 TEGAFUR
          1621 FLUOROURIDINE
          1526 FLUOROCYTOSINE
          9735 DEOXYURIDINE
           972 ARABINOSYL
         27035 CYTOSINE
           111 ARABINOSYL CYTOSINE
                 (ARABINOSYL(W)CYTOSINE)
           270 CYCLOCYTIDINE
           256 AZACYTOSINE
          2715 AZACYTIDINE
       3151571 N
           257 PHOSPHONOACETYL
       1646274 L
        136070 ASPART?
           153 N-PHOSPHONOACETYL-L-ASPART?
                 (N(W)PHOSPHONOACETYL(W)L(W)ASPART?)
           205 PYRAZOFURIN
           866 AZAURIDINE
             1 AZARBINE
         55586 THYMIDINE
           160 DEAZAURIDINE
L26      87586 FLUOROURACIL OR TEGAFUR OR FLUOROURIDINE OR FLUOROCYTOSINE OR
               DEOXYURIDINE OR (ARABINOSYL CYTOSINE) OR CYCLOCYTIDINE OR AZACYT
               OSINE OR AZACYTIDINE OR (N-PHOSPHONOACETYL-L-ASPART?) OR PYRAZOF
               URIN OR AZAURIDINE OR AZARBINE OR THYMIDINE OR DEAZAURIDINE

=> s l16 and l26

L27        240 L16 AND L26

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                                                      ENTRY    SESSION
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                                                      ENTRY    SESSION
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CA SUBSCRIBER PRICE	0.00	-16.00

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FULL ESTIMATED COST	2.69	987.52

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	0.00	-16.00

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FILE CONTAINS CURRENT INFORMATION.
LAST RELOADED: Mar 14, 2008 (20080314/UP).

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=> file hcaplus
COST IN U.S. DOLLARS                                SINCE FILE      TOTAL
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FULL ESTIMATED COST                                     0.06     987.58

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)          SINCE FILE      TOTAL
                                                       ENTRY    SESSION
CA SUBSCRIBER PRICE                                     0.00     -16.00

FILE 'HCAPLUS' ENTERED AT 12:02:09 ON 21 MAR 2008
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FILE COVERS 1907 - 21 Mar 2008  VOL 148 ISS 13
FILE LAST UPDATED: 20 Mar 2008  (20080320/ED)

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L28         30 L24 AND L27

=> file stnguide

COST IN U.S. DOLLARS                                SINCE FILE      TOTAL
                                                       ENTRY    SESSION
FULL ESTIMATED COST                                     2.69     990.27

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)          SINCE FILE      TOTAL
                                                       ENTRY    SESSION
CA SUBSCRIBER PRICE                                     0.00     -16.00

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FILE CONTAINS CURRENT INFORMATION.
LAST RELOADED: Mar 14, 2008 (20080314/UP).

=> d l28 1-30 ti abs bib
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L28 ANSWER 1 OF 30 HCAPLUS COPYRIGHT 2008 ACS on STN
TI In vitro and in vivo antileukemic effect of novel dimers consisting of 5-fluorodeoxyuridine and arabinofuranosylcytosine
AB Various amphiphilic heterodinucleoside phosphates containing 1-β-D-arabinofuranosylcytosine (ara-C) and 5-fluorodeoxyuridine (5-FdUrd) have recently been synthesized in order to increase the efficacy of ara-C and 5-FdUrd. Employing growth inhibition and growth recovery assays, we evaluated the in vitro effects of four of these dimers (Number 2, 2A, 3, 10) in L1210 and P388D1 murine leukemia cells. Although ara-C and 5-FdUrd appeared equimolar in all dimers, their contribution to the cytotoxicity of these agents was different. Thus, the liberation of ara-C and 5-FdUrd from their dimeric origin and their subsequent metabolic activation had a different course. In another set of expts., we examined the in vivo effects of these agents in mice. The dimer with the highest cytotoxicity in vitro exerted the lowest acute toxicity and yielded the lowest therapeutic effect in vivo. The obtained data indicate that dimers with slower liberation of ara-C and 5-FdUrd were less cytotoxic, but prolonged liberation of both antimetabolites protected them from inactivation and extended the time period of therapeutic action. Some of the dimers exceeded the synergistic effects yielded by simultaneous application of both ara-C and 5-FdUrd. The significantly higher therapeutic potential of these new antitumor agents indicates that further studies are warranted.
AN 2007:599574 HCAPLUS <<LOGINID::20080321>>
DN 147:203336
TI In vitro and in vivo antileukemic effect of novel dimers consisting of 5-fluorodeoxyuridine and arabinofuranosylcytosine
AU Rauko, P.; Novotny, L.; Mego, M.; Saiko, P.; Schott, H.; Szekeres, T.
CS Cancer Research Institute, Slovak Academy of Sciences, Bratislava, SK-833 91, Slovakia
SO Neoplasma (2007), 54(1), 68-74
CODEN: NEOLA4; ISSN: 0028-2685
PB AEPress, s.r.o.
DT Journal
LA English
RE.CNT 24 THERE ARE 24 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L28 ANSWER 2 OF 30 HCAPLUS COPYRIGHT 2008 ACS on STN
TI New sustained-release microsphere injection formulations of antitumor antibiotic and its synergistic agents
AB The invention provides new sustained-release microsphere injection formulations of antitumor antibiotic and its synergistic agents. The sustained-release injection is composed of sustained-release microsphere that comprising (by weight%) antitumor effective components 0.5-60, sustained-release adjuvant 40-99 and suspending agent 0.0-30, and solvent. The antitumor effective component is antitumor antibiotics and/or antimetabolite medicaments. The antitumor antibiotic is selected from carzinomycin, bleomycin, bleomycin hydrochloride, etc. The antimetabolite medicament is selected from ancitabine, gemcitabine, fluorouridine , etc. The suspending agent is selected from one or more of sodium CM-cellulose, iodine glycerin, tween, etc., and the sustained-release adjuvant is selected from one or more of polylactic acid, polifeprosan, etc. The medical composition can reduce systemic toxicity actions of antitumor agent, also can increase drug concentration at tumor local.
AN 2007:263699 HCAPLUS <<LOGINID::20080321>>
DN 146:344318
TI New sustained-release microsphere injection formulations of antitumor antibiotic and its synergistic agents
IN Kong, Qingxin
PA Jinan Kangquan Pharmaceutical Science and Technology Co., Ltd., Peop. Rep.

China
SO Faming Zhuanli Shenqing Gongkai Shuomingshu, 34pp.
CODEN: CNXXEV
DT Patent
LA Chinese
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI CN 1923173	A	20070307	CN 2006-10200173	20060224
PRAI CN 2006-10200173		20060224		

L28 ANSWER 3 OF 30 HCAPLUS COPYRIGHT 2008 ACS on STN
TI New sustained-release microsphere injections for cancer therapy
AB The invention provides new sustained-release microsphere injections for cancer therapy. The injection comprises microsphere composed of antitumor active ingredient and sustained-release adjuvant, and solvent optionally containing suspending agent. The antitumor active ingredient comprises effective amount of chemotherapeutic agent selected from antimetabolite, platinum compound and/or antitumor antibiotic and topoisomerase inhibitor as synergist for the chemotherapeutic agent. The sustained release agent is preferably selected from polylactic acid, copolymer of polyglycolic acid and glycolic acid, ethylene-vinyl acetate copolymer, polifeprosan, or a combination thereof. The suspending agent is preferably selected from a combination of tween-80 and sodium CM-cellulose or mannitol. This antitumor sustained-release injection is administered by intratumoral injection, thereby reducing systemic toxicity, selectively increasing local drug concentration, and enhancing the effect of chemotherapy and radiotherapy.
AN 2007:261835 HCAPLUS <<LOGINID::20080321>>
DN 146:365618
TI New sustained-release microsphere injections for cancer therapy
IN Kong, Qingzhong; Sun, Juan; Chen, Ying; Sun, Zhonghou
PA Peop. Rep. China
SO Faming Zhuanli Shenqing Gongkai Shuomingshu, 14pp.
CODEN: CNXXEV
DT Patent
LA Chinese
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI CN 1923284	A	20070307	CN 2005-10044524	20050830
PRAI CN 2005-10044524		20050830		

L28 ANSWER 4 OF 30 HCAPLUS COPYRIGHT 2008 ACS on STN
TI Phase II trial of PN401, 5-FU, and leucovorin in unresectable or metastatic adenocarcinoma of the stomach: A Southwest Oncology Group study
AB From Feb., 2001 to Sept., 2002, the Southwest Oncol. Group (SWOG) accrued 65 patients with advanced gastric adenocarcinoma to a phase II trial of weekly 5-FU, leucovorin, and the orally-administered uridine analog PN401. Of these 65 patients, 57 were assessable for survival and toxicity , which were the endpoints for the study. Treatment consisted of the administration of 1200 mg/m2 of 5-FU, 500 mg/m2 of leucovorin, and 6 g of PN401 every 8 h, beginning 8 h after the completion of the 5-FU infusion, and continuing for a total of 8 doses (48 g) during each weekly chemotherapy session. Therapy was delivered for six weeks out of every 8-wk treatment cycle. The gastrointestinal toxicity of this regimen was mild with 2 patients experiencing grade 3 stomatitis, and 6 patients having grade 3 diarrhea; and the hematol. toxicity was acceptable with 6 of 57 patients found to have had grade 3 or 4 leukopenia, and 14 of 57 patients experiencing grade 3 or 4 neutropenia.

There were two deaths judged possibly related to treatment; one in a patient who experienced a variety of Grade 2 gastrointestinal toxicities and died at home with an unknown cause of death; and a second patient who also died at home, and for whom treatment-related sepsis could not be ruled out. The overall median survival was 7.2 mo. The ability to safely deliver twice the usual dose of 5-FU with leucovorin on a weekly schedule suggests that oral uridine analog supplementation with PN401 may enhance the therapeutic index of the fluoropyrimidines.

AN 2006:834313 HCAPLUS <<LOGINID::20080321>>
DN 146:414364
TI Phase II trial of PN401, 5-FU, and leucovorin in unresectable or metastatic adenocarcinoma of the stomach: A Southwest Oncology Group study
AU Doroshow, James H.; McCoy, Sheryl; Macdonald, John S.; Issell, Brian F.; Patel, Taral; Cobb, Patrick W.; Yost, Kathleen J.; Abbruzzese, James L.
CS Division of Cancer Treatment and Diagnosis, National Cancer Institute, City of Hope National Medical Center, Duarte, CA, USA
SO Investigational New Drugs (2006), 24(6), 537-542
CODEN: INNDDK; ISSN: 0167-6997
PB Springer
DT Journal
LA English
RE.CNT 29 THERE ARE 29 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L28 ANSWER 5 OF 30 HCAPLUS COPYRIGHT 2008 ACS on STN
TI Manufacture of drug composition containing topoisomerase inhibitor for treating tumor
AB The title composition contains topoisomerase inhibitor and promotor of topoisomerase inhibitor as active components and auxiliary materials, wherein the promotor of topoisomerase inhibitor mainly includes paclitaxel antitumor agent, antitumor antibiotic and antimetabolite. The auxiliary materials are composed of degradable and biocompatible polymers, which can achieve the sustained-release of antitumor agents specifically to tumor tissues, therefore decreasing the drug toxicity of whole body while maintaining necessary drug concentration on tumor tissues.
AN 2006:586459 HCAPLUS <<LOGINID::20080321>>
DN 145:130744
TI Manufacture of drug composition containing topoisomerase inhibitor for treating tumor
IN Kong, Qingzhong; Sun, Juan; Sun, Jing; Sun, Xiande
PA Shandong Lanjin Biotech Co., Ltd., Peop. Rep. China
SO Faming Zhuanli Shenqing Gongkai Shuomingshu, 19 pp.
CODEN: CNXXEV
DT Patent
LA Chinese
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	CN 1686552	A	20051026	CN 2005-10042236	20050406
PRAI	CN 2005-10042236		20050406		

L28 ANSWER 6 OF 30 HCAPLUS COPYRIGHT 2008 ACS on STN
TI Manufacture of drug composition containing dichloroethylamines for treating tumor
AB The title composition contains dichloroethylamines and dichloroethylamine promotors as active components and auxiliary materials, wherein the dichloroethylamine promotors mainly include paclitaxel antitumor agent, antitumor antibiotic and antimetabolite. The auxiliary materials are composed of degradable and biocompatible polymers, which can achieve the sustained-release of antitumor agents specifically to tumor tissues, therefore decreasing the drug toxicity of whole body while

maintaining necessary drug concentration on tumor tissues.
AN 2006:586451 HCAPLUS <<LOGINID::20080321>>
DN 145:130742
TI Manufacture of drug composition containing dichloroethylamines for treating tumor
IN Kong, Qingzhong; Sun, Juan; Liu, Enxiang; Zhang, Jie
PA Shandong Lanjin Biotech Co., Ltd., Peop. Rep. China
SO Faming Zhuanli Shenqing Gongkai Shuomingshu, 18 pp.
CODEN: CNXXEV
DT Patent
LA Chinese
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	CN 1686550	A	20051026	CN 2005-10042234	20050406
	CN 101066451	A	20071107	CN 2007-10112735	20050406
	CN 101066452	A	20071107	CN 2007-10112736	20050406
PRAI	CN 2005-10042234	A3	20050406		

L28 ANSWER 7 OF 30 HCAPLUS COPYRIGHT 2008 ACS on STN
TI 5-Fluorouracil dose escalation enabled with PN401 (triacetyluridine): toxicity reduction and increased antitumor activity in mice
AB Purpose: PN401, an oral prodrug of uridine yields more bioavailable uridine than oral administration of uridine itself. PN401 may therefore be useful for permitting dose escalation of 5-fluorouracil (5-FU) with consequent improvements in antitumor efficacy. Exptl. design: Female BALB/c mice (Colon 26 adenocarcinoma) were treated with 5-FU with PN401 to define the MTD, and pharmacokinetic analyses were done. A comparison of 5-FU/PN401 was made to 5-FU/eniluracil (EU) and 5-FU/LV. The best timing of the first dose of PN401 relative to 5-FU was evaluated by administering groups of mice PN401 beginning 2, 24, or 48 h after 5-FU dose. Results: The MTD of 5-FU was 100 mg/kg/wk whereas the MTD of 5-FU + PN401 was 200 mg/kg/wk. A complete response (CR) of 80% and partial response (PR) of 20% was observed with 5-FU (200 mg/kg) + PN401, CR of 40% and PR of 60% with 5-FU (175 mg/kg) + PN401, PR of 10% with 5-FU (150 mg/kg) + PN401 while no response with 5-FU (100 mg/kg) + PN401. Anal. of 5-FU pharmacokinetics displayed nonlinearity as a function of administered dose in mice. In the comparison study, the best response was achieved with PN401 when compared to EU and LV. Mice that did not receive PN401 died by day 12, while other groups were alive at day 31. The proportion of mice surviving was highest in the group which received PN401 at 2 h followed by 24 and 48 h. Conclusions: There is a threshold 5-FU dose after which the efficacy is dramatically improved-in mice bearing Colon 26 adenocarcinoma, that threshold is a dose of >150 mg/kg/wk, and the increased efficacy correlates with about a fourfold increase in the AUC of 5-FU. PN401 used to rescue mice from the lethal toxicity of 5-FU entails that PN401 can be used as an antidote even when used up to 48 h after a 5-FU overdose.
AN 2006:375032 HCAPLUS <<LOGINID::20080321>>
DN 145:327796
TI 5-Fluorouracil dose escalation enabled with PN401 (triacetyluridine): toxicity reduction and increased antitumor activity in mice
AU Saif, Muhammad Wasif; Borstel, Reid
CS University of Alabama at Birmingham (U.A.B.), Birmingham, AL, USA
SO Cancer Chemotherapy and Pharmacology (2006), 58(1), 136-142
CODEN: CCPHDZ; ISSN: 0344-5704
PB Springer
DT Journal
LA English

RE.CNT 24 THERE ARE 24 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L28 ANSWER 8 OF 30 HCAPLUS COPYRIGHT 2008 ACS on STN
TI Severe cytochrome c oxidase inhibition in vivo does not induce a pyrimidine deficiency; neuroprotective action of oral uridine prodrug PN401 requires supraphysiological levels of uridine
AB It has been hypothesized that mitochondrial respiratory chain dysfunction leads to a pyrimidine deficiency since the pyrimidine biosynthetic enzyme dihydroorotate dehydrogenase is coupled to the electron transport chain. The uridine prodrug triacetyluridine (PN401) is neuroprotective in several models of neurodegenerative disease involving respiratory chain toxins. Therefore, the therapeutic effects of PN401 might involve the correction of a pyrimidine deficiency secondary to respiratory chain impairment. We infused mice with the cytochrome c oxidase inhibitor azide, which inhibited brain complex IV activity. Chronic infusion of azide for 2 or 14 days induced significant toxicity and mortality but did not cause a pyrimidine deficit in the brain. In contrast, the pyrimidine synthesis inhibitor N-phosphonoacetyl-L-aspartate (PALA) produced a pyrimidine deficit with minimal mortality. Treatment with 6% PN401 decreased mortality and cerebrocortical apoptosis caused by azide. Previously, we found that optimal neuroprotection against mitochondrial complex II inhibition required 4-6% PN401. PN401 at 1, 3, 6 and 10% in chow induced nonlinear increases in plasma uridine with 6% PN401 elevating plasma uridine up to 80 μM, and these higher micromolar uridine levels were also required for neuroprotection in chemical hypoxia models in vitro. Our results indicate that severe complex IV inhibition in vivo does not lead to a pyrimidine deficiency, and therefore the protective effect of PN401 in the azide toxin model is not mediated through the correction of a pyrimidine deficiency. Furthermore, supraphysiol. levels of uridine are required to produce optimal protective effects in disorders involving impairment of mitochondrial respiratory complex II or IV.
AN 2005:1319808 HCAPLUS <<LOGINID::20080321>>
DN 144:81045
TI Severe cytochrome c oxidase inhibition in vivo does not induce a pyrimidine deficiency; neuroprotective action of oral uridine prodrug PN401 requires supraphysiological levels of uridine
AU Garcia, Rolando A. G.; Liu, Liansheng; Hu, Zhongyi; Gonzalez, Alexis; von Borstel, Reid W.; Saydoff, Joel A.
CS Neuroscience Research, Wellstat Therapeutics, Gaithersburg, MD, 20878, USA
SO Brain Research (2005), 1066(1-2), 164-171
CODEN: BRREAP; ISSN: 0006-8993
PB Elsevier B.V.
DT Journal
LA English
RE.CNT 28 THERE ARE 28 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L28 ANSWER 9 OF 30 HCAPLUS COPYRIGHT 2008 ACS on STN
TI Targeted radiosensitisation by pegylated liposome-encapsulated 3', 5'-O-dipalmitoyl 5-iodo-2'-deoxyuridine in a head and neck cancer xenograft model
AB 5-Iodo-2'-deoxyuridine (IUdR) is an effective radiosensitizer but its clin. development has been limited by toxicity. Prolonged i.v. infusions of IUdR are necessary for optimal tumor uptake but cause dose-limiting myelosuppression. The lack of selective tumor uptake can lead to radiosensitization of adjacent normal tissues and enhanced local radiation toxicity. Liposomal IUdR delivery offers selective targeting of tumor tissues and avoidance of local and systemic toxicity. In these studies, we report the development

of a pegylated liposome containing a lipophilic IUdR derivative (3', 5'-O-dipalmitoyl-5-iodo-2'-deoxyuridine) for use in a head and neck cancer xenograft model. Initial studies confirmed the ability of IUdR to sensitize two head and neck cancer cell lines to single fractions of radiotherapy (SFRT) and this effect was seen to correlate with the thymidine replacement index in KB cells. In vivo delivery of single doses of either unencapsulated IUdR or pegylated liposomal IUdR (PLIUdR) to nude mice bearing KB xenograft tumors did not enhance the effect of SFRT delivered 16 h later. When PLIUdR was delivered by a protracted administration schedule to a dose of 48 mg kg-1 over 7 days, it enhanced the effect of both 4.5 Gy SFRT and fractionated radiotherapy. PLIUdR was at least as effective as unencapsulated IUdR delivered by multiple i.v. injections or continuous s.c. infusion. Immunohistochem. with a specific anti-IUdR monoclonal antibody confirmed greater levels of tumor staining in tumors from animals treated with PLIUdR compared with those treated with unencapsulated IUdR.

AN 2004:563248 HCAPLUS <<LOGINID::20080321>>
DN 142:331919
TI Targeted radiosensitisation by pegylated liposome-encapsulated 3', 5'-O-dipalmitoyl 5-iodo-2'-deoxyuridine in a head and neck cancer xenograft model
AU Harrington, K. J.; Syrigos, K. N.; Uster, P. S.; Zetter, A.; Lewanski, C. R.; Gullick, W. J.; Vile, R. G.; Stewart, J. S. W.
CS ICRF Oncology Unit, Imperial College of Science, Technology and Medicine, Hammersmith Hospital, London, W12 0HS, UK
SO British Journal of Cancer (2004), 91(2), 366-373
CODEN: BJCAAI; ISSN: 0007-0920
PB Nature Publishing Group
DT Journal
LA English
RE.CNT 36 THERE ARE 36 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L28 ANSWER 10 OF 30 HCAPLUS COPYRIGHT 2008 ACS on STN
TI Anti-CD33 cytotoxic conjugate combination with anthracycline or pyrimidine or purine nucleoside analog for the treatment of acute leukemia and myelodysplastic syndrome
AB Methods of treatment and pharmaceutical combinations are provided for the treatment of acute leukemia, such as acute myelogenous leukemia, and myelodysplastic syndrome. The methods of treatment and pharmaceutical combinations employ an anti-CD33 cytotoxic conjugate in combination with at least one compound selected from the group consisting of an anthracycline and a pyrimidine or purine nucleoside analog. Preferred methods of treatment and pharmaceutical combinations employ gemtuzumab ozogamicin, daunorubicin, and cytarabine.
AN 2004:430745 HCAPLUS <<LOGINID::20080321>>
DN 140:417928
TI Anti-CD33 cytotoxic conjugate combination with anthracycline or pyrimidine or purine nucleoside analog for the treatment of acute leukemia and myelodysplastic syndrome
IN Feingold, Jay Marshall
PA Wyeth, John, and Brother Ltd., USA
SO PCT Int. Appl., 41 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2004043461	A1	20040527	WO 2002-US35532	20021106
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,				

CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZM, ZW

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

CA	2504611	A1	20040527	CA 2002-2504611	20021106
AU	2002348178	A1	20040603	AU 2002-348178	20021106
BR	2002015935	A	20050809	BR 2002-15935	20021106
EP	1575582	A1	20050921	EP 2002-784400	20021106

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK

CN	1720044	A	20060111	CN 2002-830140	20021106
JP	2006508119	T	20060309	JP 2004-551359	20021106
NO	2005002009	A	20050627	NO 2005-2009	20050425
MX	2005PA04711	A	20050803	MX 2005-PA4711	20050502
IN	2005KN01026	A	20060811	IN 2005-KN1026	20050530

PRAI WO 2002-US35532 W 20021106

RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L28 ANSWER 11 OF 30 HCAPLUS COPYRIGHT 2008 ACS on STN

TI N4-acyl-modified D-2',3'-dideoxy-5-fluorocytidine nucleoside analogues with improved antiviral activity

AB A series of 2',3'-dideoxy (D2) and 2',3'-didehydro-2',3'-dideoxy (D4) 5-fluorocytosine nucleosides modified with substituted benzoyl, heteroarom. carbonyl, cycloalkylcarbonyl and alkanoyl at the N4-position were synthesized and evaluated for anti-human immunodeficiency virus type 1 (HIV-1) and anti-hepatitis B virus (HBV) activity in vitro. For most D2-nucleosides, N4-substitutions improved the anti-HIV-1 activity markedly without increasing the cytotoxicity. In the D4-nucleosides series, some of the substituents at the N4-position enhanced the anti-HIV-1 activity with a modest increase in the cytotoxicity. The most potent and selective N4-modified nucleoside for the D2-series was N4-p-iodobenzoyl-D2FC, which had a 46-fold increase in anti-HIV-1 potency in MT-2 cells compared to the parent nucleoside D-D2FC. In the D4-series, N4-p-bromobenzoyl-D4FC was 12-fold more potent in MT-2 cells compared to the parent nucleoside D-D4FC. All eight N4-p-halobenzoyl-substituted D2- and D4-nucleosides evaluated against HBV in HepAD38 cells demonstrated equal or greater potency than the two parental compds., D-D2FC and D-D4FC. The N4-modification especially in the D2-nucleoside series containing the N4-nicotinoyl, o-nitrobenzoyl and n-butyryl showed a significant reduction in mitochondrial toxicity relative to the parent nucleoside analog. Although the 5'-triphosphate of the parent compound (D-D4FC-TP) was formed from the N4-acyl-D4FC analogs in different cells, the levels of the 5'-triphosphate nucleotide did not correlate with the cell-derived 90% effective antiviral concns. (EC90), suggesting that a direct interaction of the triphosphates of these N4-acyl nucleosides was involved in the antiviral activity.

AN 2003:661457 HCAPLUS <<LOGINID::20080321>>

DN 140:192186

TI N4-acyl-modified D-2',3'-dideoxy-5-fluorocytidine nucleoside analogues with improved antiviral activity

AU Shi, Junxing; Mathew, Judy S.; Tharnish, Phillip M.; Rachakonda, Suguna; Pai, S. Balakrishna; Adams, Marjorie; Grier, Jason P.; Gallagher, Karen; Zhang, Hangchun; Wu, Jing-Tao; Shi, Guoen; Geleziunas, Romas; Erickson-Viitanen, Susan; Stuyver, Lieven; Otto, Michael J.; Watanabe, Kyoichi A.; Schinazi, Raymond F.

CS Pharmasset, Inc., Tucker, GA, USA
SO Antiviral Chemistry & Chemotherapy (2003), 14(2), 81-90
CODEN: ACCHEH; ISSN: 0956-3202
PB International Medical Press
DT Journal
LA English
OS CASREACT 140:192186
RE.CNT 20 THERE ARE 20 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L28 ANSWER 12 OF 30 HCAPLUS COPYRIGHT 2008 ACS on STN
TI Synthesis and biological investigations of 5-substituted pyrimidine nucleosides coupled to a dihydropyridine/pyridinium salt redox chemical delivery system
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The syntheses, antiviral activities, and partition coeffs. (P) of 3'-O-(1-methyl-1,4-dihydropyridyl-3-carbonyl)-coupled nucleosides are described. These novel compds. were designed in an effort to enhance the lipophilicity, and thereby the delivery to the CNS, without compromising the anti-HSV-1 activity of the parental nucleosides. We have previously reported the synthesis of 3'O-(1-methyl-1,4-dihydropyridyl-3-carbonyl) analogs of 5-iodo-, 5-vinyl-, and (E)-5-(2-iodovinyl)-2'-deoxyuridines (I, R = I, CH:CH2 OR (E)CH:CHI). We now report the synthesis of 5-iodo-3'-O-(1-methyl-1,4-dihydropyridyl-3-carbonyl)-5'-O-acetyl-2'-deoxyuridine (II) and 3'-O-(1-methyl-1,4-dihydropyridyl-3-carbonyl)-2'-deoxyuridine (III). Quaternization of the 3'-O-(3-pyridylcarbonyl) compds. using iodomethane afforded the corresponding 1-methylpyridinium salts which were reduced with sodium dithionite to yield the corresponding 3'-O-1-methyl-1,4-dihydropyridyl-3-carbonyl compds. The deprotection of 3'-O-(1-methyl-1,4-dihydropyridyl-3-carbonyl)-5-O-t-butyldimethylsilyl-2'-deoxyuridine with Bu4N+F- afforded III. I and II were evaluated for their antiviral activity in vitro against HSV-1, HSV-2, HCMV, and VZV, and were found to retain anti-HSV-1, HSV-2 and VZV activity as compared to their parental nucleosides. In addition, the cellular toxicity of I and II was found to be lower than the parent nucleosides. The lipophilicity of I-III are enhanced substantially, compared to the parent nucleosides, as indicated by an increase in corresponding P values (1-octanol-water) upon replacement of the C-3' hydroxyl by 1-methyl-1,4-dihydropyridyl-3-carbonyl moiety.
AN 2002:45329 HCAPLUS <<LOGINID::20080321>>
DN 137:190506
TI Synthesis and biological investigations of 5-substituted pyrimidine nucleosides coupled to a dihydropyridine/pyridinium salt redox chemical delivery system
AU Kumar, Rakesh; Wang, L.; Wiebe, L. I.; Knaus, E. E.
CS Department of Medical Microbiology and Immunology, Faculty of Medicine, University of Alberta, Edmonton, AB, T6G 2H7, Can.
SO Archiv der Pharmazie (Weinheim, Germany) (2001), 334(11), 351-356
CODEN: ARPMAS; ISSN: 0365-6233
PB Wiley-VCH Verlag GmbH
DT Journal
LA English
RE.CNT 15 THERE ARE 15 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L28 ANSWER 13 OF 30 HCAPLUS COPYRIGHT 2008 ACS on STN
TI Prodrugs of 2'-deoxy-β-L-nucleosides
AB The present invention relates to compds., compns. and methods for the treatment of a host infected with a hepatitis B virus. Specifically, compds. and compns. of 3'-esters of 2'-deoxy-β-L-nucleosides are disclosed, which can be administered either alone or in combination with other anti-hepatitis B agents. Compds. and compns. of 3',5'-esters of 2'-deoxy-β-L-nucleosides are disclosed, which can be administered either alone or in combination with other anti-hepatitis B agents, are also disclosed.
AN 2001:923812 HCAPLUS <<LOGINID::20080321>>
DN 136:42882
TI Prodrugs of 2'-deoxy-β-L-nucleosides
IN Bryant, Martin L.; Gosselin, Gilles; Imbach, Jean-Louis
PA Novirio Pharmaceuticals Limited, Cayman I.; Centre National de la Recherche Scientifique (CNRS)
SO PCT Int. Appl., 160 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2001096353	A2	20011220	WO 2001-US19147	20010615
	WO 2001096353	A3	20020418		
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	CA 2413163	A1	20011220	CA 2001-2413163	20010615
	EP 1296995	A2	20030402	EP 2001-944522	20010615
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
	US 2003083306	A1	20030501	US 2001-883033	20010615
	US 6875751	B2	20050405		
	BR 2001011732	A	20030624	BR 2001-11732	20010615
	CN 1452627	A	20031029	CN 2001-813802	20010615
	JP 2004533403	T	20041104	JP 2002-510494	20010615
	NZ 523632	A	20050324	NZ 2001-523632	20010615
	NZ 535246	A	20060630	NZ 2001-535246	20010615
	CN 1900104	A	20070124	CN 2006-10074103	20010615
	CN 101012259	A	20070808	CN 2006-10149586	20010615
	AP 1771	A	20070831	AP 2003-2713	20010615
	NO 2002006001	A	20030212	NO 2002-6001	20021213
	MX 2002PA12443	A	20040910	MX 2002-PA12443	20021213
	IN 2002DN01240	A	20060609	IN 2002-DN1240	20021213
	ZA 2003000168	A	20040707	ZA 2003-168	20030107
	ZA 2004004306	A	20050615	ZA 2004-4306	20040601
	US 2005113330	A1	20050526	US 2004-972695	20041025
	AU 2007201035	A1	20070329	AU 2007-201035	20070309
	KR 2007048277	A	20070508	KR 2007-709124	20070420
PRAI	US 2000-212100P	P	20000615		
	AU 2001-266927	A3	20010615		
	CN 2001-813802	A3	20010615		
	NZ 2001-523632	A1	20010615		

	US 2001-883033	A3	20010615
	WO 2001-US19147	W	20010615
	KR 2002-717018	A3	20021213

OS MARPAT 136:42882

L28 ANSWER 14 OF 30 HCAPLUS COPYRIGHT 2008 ACS on STN

TI Induction of cell cycle-dependent cytotoxicity and apoptosis by new heterodinucleoside phosphate dimers of 5-fluorodeoxyuridine in PC-3 human prostate cancer cells

AB Fluorodeoxyuridine (5-FdUrd) is an antineoplastic agent with clin. activity against different types of solid tumors. To enhance the effectiveness of this drug, we have synthesized new heterodinucleoside phosphate dimers of 5-FdUrd. These dimers were compared to 5-FdUrd for their cytotoxic effect and the cell cycle dependence of cytotoxicity, as well as for their capacity to induce apoptosis and inhibit thymidylate synthetase (TS) in androgen-independent human PC-3 prostate tumor cells. Incubation of the cells with the dimers N4-palmitoyl-2'-deoxycytidylyl-(3'→5')-5-fluoro-2'- deoxyuridine (dCpam-5-FdUrd) and 2'-deoxy-5-fluorouridylyl-(3'→5')-2'-deoxy-5-fluoro-N4-octadecylcytidine (5-FdUrd-5-FdC18) resulted in a marked cytotoxicity with ic50 values of 4 μM, similar to 5-FdUrd. In contrast to 5-FdUrd, 100% toxicity was achieved with concns. of 100-200 μM 5-FdUrd-5-FdC18. Flow cytometric anal. revealed an increase in the cell population in S-phase after treatment with 5-FdUrd, 5-FdUrd-5-FdC18, and dCpam-5-FdUrd from 36% to 63%, 50%, and 77%, resp. dCpam-5-FdUrd was more potent than 5-FdUrd in arresting the cell cycle. Significant S-phase arrest was indicated by a decreased proportion of cells in G1- and G2/M-phases. Cell cycle arrest and inhibition of cell proliferation were followed by apoptosis, as shown by a 6- to 8-fold increased binding of Apo2.7 antibody, a 9- to 11-fold increase in caspase-3 activity, DNA fragmentation, and by cell morphol. showing the appearance of apoptotic bodies. Importantly, 5-FdUrd-5-FdC18 increased the number of apoptotic cells to 160% compared to 5-FdUrd under the same conditions. As with 5-FdUrd, the two dimers also inhibited TS in a time- and concentration-dependent manner, although requiring 100-fold higher concns. In conclusion, dCpam-5-FdUrd and 5-FdUrd-5-FdC18 exert stronger cytotoxicity and induce more S-phase arrest and apoptosis than does 5-FdUrd in PC-3 cells, suggesting their potential role in the treatment of human prostate cancer.

AN 2000:854162 HCAPLUS <<LOGINID::20080321>>

DN 134:290059

TI Induction of cell cycle-dependent cytotoxicity and apoptosis by new heterodinucleoside phosphate dimers of 5-fluorodeoxyuridine in PC-3 human prostate cancer cells

AU Cattaneo-Pangrazzi, R. M. C.; Schott, H.; Wunderli-Allenspach, H.; Derighetti, M.; Schwendener, R. A.

CS Department of Pathology, University Hospital, Zurich, CH-8091, Switz.

SO Biochemical Pharmacology (2000), 60(12), 1887-1896
CODEN: BCPCA6; ISSN: 0006-2952

PB Elsevier Science Inc.

DT Journal

LA English

RE.CNT 22 THERE ARE 22 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L28 ANSWER 15 OF 30 HCAPLUS COPYRIGHT 2008 ACS on STN

TI Modulation of 5-fluorouracil host toxicity by 5-(benzyloxybenzyl)barbituric acid acyclonucleoside, a uridine phosphorylase inhibitor, and 2',3',5'-tri-O-acetyluridine, a prodrug of uridine

AB Administration of 200 mg/kg of 5-fluorouracil (FUra) to mice bearing human colon carcinoma DLD-1 xenografts resulted in 100% mortality.

Oral administration of 2000 mg/kg of 2',3',5'-tri-O-acetyluridine (TAU), a prodrug of uridine, in combination with 120 mg/kg of 5-(benzyloxybenzyl)barbituric acid acyclonucleoside (BBBA), the most potent known inhibitor of uridine phosphorylase (UrdPase, EC 2.4.2.3), 2 h after the administration of the same dose of FUra completely protected the mice (100% survival) from the toxicity of FUra. This combination also reduced tumor weight by 67% compared with 46% achieved by the maximum tolerated dose (50 mg/kg) of FUra alone. Similarly, administration of BBBA plus TAU 1 h before or 4 h after the administration of FUra reduced the tumor weight by 53 and 37%, resp. However, these schedules were less effective in protecting the host from the toxicity of FUra than when the treatment was carried out at 2 h after FUra administration. TAU alone did not protect from FUra host toxicity. The efficiency of the BBBA plus TAU combination in rescuing from FUra host toxicities is attributed to the exceptional effectiveness of this combination in raising and maintaining higher plasma uridine concns. than those achieved by TAU alone or by equimolar doses of uridine (Ashour et al., Biochem. Pharmacol 51: 1601-1612, 1996). The present results suggest that the BBBA plus TAU combination can provide a better substitute for the massive doses of uridine required to achieve the high levels of uridine necessary to rescue or protect from FUra host toxicities without the toxic side-effects associated with such doses of uridine. The combination of TAU plus BBBA may also allow the escalation of FUra doses for better chemotherapeutic efficacy. Alternatively, the combination may be used as a rescue regimen in the occasional cases where cancer patients receive a lethal overdose of FUra.

AN 2000:400538 HCAPLUS <<LOGINID::20080321>>
DN 133:144540
TI Modulation of 5-fluorouracil host toxicity by 5-(benzyloxybenzyl)barbituric acid acyclonucleoside, a uridine phosphorylase inhibitor, and 2',3',5'-tri-O-acetyluridine, a prodrug of uridine
AU Ashour, O. M.; Naguib, F. N. M.; Panzica, R. P.; Al Safarjalani, O. N.; el Kouni, M. H.
CS Department of Pharmacology and Toxicology, University of Alabama at Birmingham, Birmingham, AL, 35294, USA
SO Biochemical Pharmacology (2000), 60(3), 427-431
CODEN: BCPCA6; ISSN: 0006-2952
PB Elsevier Science Inc.
DT Journal
LA English
RE.CNT 39 THERE ARE 39 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L28 ANSWER 16 OF 30 HCAPLUS COPYRIGHT 2008 ACS on STN
TI Treatment of chemotherapeutic agent and antiviral agent toxicity with acylated pyrimidine nucleosides
AB Compds., compns., and methods are disclosed for treatment and prevention of toxicity due to chemotherapeutic agents and antiviral agents. Disclosed are acylated derivs. of nonmethylated pyrimidine nucleosides. These compds. are capable of attenuating damage to the hematopoietic system in animals receiving antiviral or antineoplastic chemotherapy.
AN 1999:670113 HCAPLUS <<LOGINID::20080321>>
DN 131:281604
TI Treatment of chemotherapeutic agent and antiviral agent toxicity with acylated pyrimidine nucleosides
IN Von Borstel, Reid; Bamat, Michael K.
PA Pro-Neuron, Inc., USA
SO U.S., 31 pp., Cont.-in-part U. S. Ser. 176,485.
CODEN: USXXAM
DT Patent

LA English
FAN.CNT 13

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 5968914	A	19991019	US 1995-472210	19950607
	EP 712629	A1	19960522	EP 1995-203050	19881027
	EP 712629	B1	20030618		
	R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE				
	JP 10001436	A	19980106	JP 1997-36734	19881027
	JP 3474073	B2	20031208		
	JP 2001192335	A	20010717	JP 2000-379524	19881027
	CA 2111571	A1	19930121	CA 1992-2111571	19920625
	CA 2111571	C	20050823		
	CA 2504078	A1	19930121	CA 1992-2504078	19920625
	CA 2504078	C	20070828		
	ES 2160579	T3	20011116	ES 1992-914215	19920625
	ZA 9204975	A	19930428	ZA 1992-4975	19920703
	IN 175688	A1	19950812	IN 1992-CA473	19920706
	US 5246708	A	19930921	US 1992-911379	19920713
	US 5470838	A	19951128	US 1992-997657	19921230
	US 5583117	A	19961210	US 1993-140475	19931025
	US 6020320	A	20000201	US 1993-153163	19931117
	US 5736531	A	19980407	US 1993-176485	19931230
	IN 177670	A1	19970215	IN 1994-CA701	19940902
	US 5770582	A	19980623	US 1995-419767	19950410
	US 5691320	A	19971125	US 1995-465454	19950605
	US 6054441	A	20000425	US 1995-463790	19950605
	US 6060459	A	20000509	US 1995-465016	19950605
	US 7307166	B1	20071211	US 1995-463771	19950605
	US 6258795	B1	20010710	US 1995-466145	19950606
	US 6316426	B1	20011113	US 1995-466144	19950606
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	US 6274563	B1	20010814	US 1995-479349	19950607
	US 6348451	B1	20020219	US 1995-478736	19950607
	US 6919320	B1	20050719	US 1995-473331	19950607
	CA 2223640	A1	19961219	CA 1996-2223640	19960606
	WO 9640165	A1	19961219	WO 1996-US10067	19960606
	W: AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG				
	RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN				
	AU 9661114	A	19961230	AU 1996-61114	19960606
	AU 724805	B2	20000928		
	EP 831849	A1	19980401	EP 1996-918461	19960606
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI				
	CN 1192149	A	19980902	CN 1996-195929	19960606
	JP 10511689	T	19981110	JP 1997-502184	19960606
	JP 2003201240	A	20030718	JP 2003-721	19960606
	EP 1491201	A1	20041229	EP 2004-23557	19960606
	EP 1491201	B1	20060322		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, AL				
	AT 320813	T	20060415	AT 2004-23557	19960606
	ES 2257721	T3	20060801	ES 2004-23557	19960606
	PT 1491201	T	20060831	PT 2004-23557	19960606
	HK 1072897	A1	20060512	HK 2005-105421	19981003
	US 2001025032	A1	20010927	US 1999-249790	19990216
	US 6344447	B2	20020205		

	AU 9952624	A	19991202	AU 1999-52624	19991001
	US 6743782	B1	20040601	US 2000-494242	20000131
	AU 2002320811	A1	20030403	AU 2002-320811	20021223
	US 2004033981	A1	20040219	US 2003-601863	20030624
	US 2004192635	A1	20040930	US 2004-824501	20040415
	US 2004220134	A1	20041104	US 2004-855835	20040528
	AU 2005232288	A1	20051201	AU 2005-232288	20051110
	JP 2006137772	A	20060601	JP 2005-380457	20051228
	JP 2008019268	A	20080131	JP 2007-233452	20070907
PRAI	US 1987-115923	B2	19871028		
	US 1987-115929	B2	19871028		
	US 1989-438493	B2	19890627		
	US 1990-487984	B2	19900205		
	US 1991-724340	B2	19910705		
	US 1992-903107	B2	19920625		
	US 1993-61381	B2	19930514		
	US 1993-176485	A2	19931230		
	US 1988-186031	B2	19880425		
	EP 1988-910239	A3	19881027		
	JP 1988-509176	A3	19881027		
	JP 1994-303877	A3	19881027		
	JP 2000-379524	A3	19881027		
	US 1989-341925	B1	19890421		
	US 1990-533933	B1	19900605		
	US 1990-438493	B2	19900626		
	US 1991-653882	B2	19910208		
	US 1991-737913	B3	19910729		
	CA 1992-2111571	A3	19920625		
	IN 1992-CA473	A1	19920706		
	US 1992-911379	A3	19920713		
	US 1992-925931	B2	19920807		
	US 1992-958598	B3	19921007		
	US 1992-987730	B2	19921208		
	US 1992-997657	A3	19921230		
	US 1993-96407	B1	19930726		
	US 1993-98884	B1	19930729		
	US 1993-153163	A1	19931117		
	US 1993-158799	B2	19931201		
	US 1994-266897	B3	19940701		
	US 1994-289214	A3	19940812		
	US 1995-419767	A3	19950410		
	US 1995-463740	A1	19950605		
	US 1995-472210	A	19950607		
	AU 1995-29150	A3	19950630		
	EP 1996-918461	A3	19960606		
	JP 1997-502184	A3	19960606		
	WO 1996-US10067	W	19960606		
	HK 1998-111095	A3	19981003		
	AU 1999-52624	A3	19991001		
	US 2000-494242	A3	20000131		
	AU 2002-320811	A3	20021223		
	JP 2005-380457	A3	20051228		

RE.CNT 30 THERE ARE 30 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L28 ANSWER 17 OF 30 HCAPLUS COPYRIGHT 2008 ACS on STN

TI Antitumor activity and novel DNA-self-strand-breaking mechanism of CNDAC (1-(2-C-cyano-2-deoxy-β-D-arabino-pentofuranosyl)cytosine) and its N4-palmitoyl derivative (CS-682)

AB We have studied the antitumor activity and the novel DNA-self-strand-breaking mechanism of CNDAC (1-(2-C-cyano-2-deoxy-β-D-arabino-

pentofuranosyl)cytosine) and its N4-palmitoyl derivative (CS-682). In vitro, CS-682 showed strong cytotoxicity against human tumor cells comparable with that of CNDAC; both compds. displayed a similar broad spectrum. In vivo, however, orally administered CS-682 showed a more potent activity against human tumor xenografts than CNDAC, 5'-deoxy-5-fluorouridine, 5-fluorouracil and 2',2'-difluorodeoxycytidine. Moreover, CS-682 was effective against various human organ tumor xenografts at a wide dose range and with low toxicity, and was effective against P388 leukemic cells resistant to mitomycin-C, vincristine, 5-fluorouracil or cisplatin in syngeneic mice. CNDAC, an active metabolite of CS-682, had a prolonged plasma half-life after repeated oral administrations of CS-682 but not after oral administrations of CNDAC itself. This difference may partially explain the higher antitumor activity of CS-682 relative to CNDAC. In both CNDAC- and CS-682-treated carcinoma cells, CNDAC 5'-triphosphate (CNDACTP) was generated and incorporated into a DNA strand. High performance liquid chromatog. (HPLC) and mass spectrometric anal. of the nucleosides prepared by digestion of the DNA from the CNDAC-treated cells detected ddCNC (2'-C-cyano-2',3'-didehydro-2',3'-dideoxycytidine), which was shown to be generated only when the self-strand-breakage of CNDACTP-incorporated DNA occurred. The cytotoxicity of CNDAC was completely abrogated by the addition of 2'-deoxycytidine and was low against cells with decreased deoxycytidine kinase. Our results suggest that CNDAC is converted to CNDACMP by deoxycytidine kinase and that the resulting CNDACTP incorporated into a DNA strand as CNDACMP may induce DNA-self-strand-breakage. This novel DNA-self-strand-breaking mechanism may contribute to the potent antitumor activity of CS-682.

AN 1999:438485 HCAPLUS <<LOGINID::20080321>>

DN 131:266648

TI Antitumor activity and novel DNA-self-strand-breaking mechanism of CNDAC (1-(2-C-cyano-2-deoxy-β-D-arabino-pentofuranosyl)cytosine) and its N4-palmitoyl derivative (CS-682)

AU Hanaoka, Kenji; Suzuki, Masako; Kobayashi, Tomowo; Tanzawa, Fumie; Tanaka, Kazuo; Shibayama, Takahiro; Miura, Shinichi; Ikeda, Tomoko; Iwabuchi, Haruo; Nakagawa, Akihiko; Mitsuhashi, Yoshihiro; Hisaoka, Masashi; Kaneko, Masakatsu; Tomida, Akihiro; Wataya, Yusuke; Nomura, Tatsuji; Sasaki, Takuma; Matsuda, Akira; Tsuruo, Takashi; Kurakata, Shinichi

CS Biological Research Laboratories, Sankyo Co., Ltd., Tokyo, 140-8710, Japan

SO International Journal of Cancer (1999), 82(2), 226-236
CODEN: IJCNAW; ISSN: 0020-7136

PB Wiley-Liss, Inc.

DT Journal

LA English

RE.CNT 20 THERE ARE 20 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L28 ANSWER 18 OF 30 HCAPLUS COPYRIGHT 2008 ACS on STN

TI Use of uridine to counter toxicity of 5-fluorouracil or other pyrimidine analog

AB A method is provided for inhibiting pyrimidine analog-induced toxicity in a tissue of a patient undergoing pyrimidine analog therapy. The method comprises the step of directly contacting the tissue with a therapeutically effective amount of uridine. In one embodiment, the invention provides a method of inhibiting chemotherapy-induced stomatitis in a patient undergoing treatment with a pyrimidine analog. The pyrimidine analog is a chemotherapeutic agent which induces stomatitis, such as 5-fluorouracil or 5-fluoro-2'-deoxyuridine, and the tissue is an intraoral tissue, such as an oral mucosal tissue or an intraoral soft tissue.

AN 1999:141218 HCAPLUS <<LOGINID::20080321>>

DN 130:205158

TI Use of uridine to counter toxicity of 5-fluorouracil or other pyrimidine analog
IN Robinson, Simon P.
PA BASF A.-G., Germany; BASF Bioresearch Corporation
SO PCT Int. Appl., 23 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9908686	A1	19990225	WO 1998-US14179	19980713
	W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW				
	RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	AU 9883881	A	19990308	AU 1998-83881	19980713
	IN 1998MA01606	A	20050304	IN 1998-MA1606	19980717
PRAI	US 1997-915769	A	19970821		
	WO 1998-US14179	W	19980713		

RE.CNT 13 THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L28 ANSWER 19 OF 30 HCAPLUS COPYRIGHT 2008 ACS on STN
TI Antioxidant enhancement of therapy for hyperproliferative conditions
AB A method to enhance the cytotoxic activity of an antineoplastic drug comprises administering an effective amount of the antineoplastic drug to a host exhibiting abnormal cell proliferation in combination with an effective cytotoxicity-increasing amount of an antioxidant. The invention also includes a method to decrease the toxicity to an antineoplastic agent or increase the therapeutic index of an antineoplastic agent administered for the treatment of a solid growth of abnormally proliferating cells, comprising administering an antioxidant prior to, with, or following the antineoplastic treatment.
AN 1999:48609 HCAPLUS <<LOGINID::20080321>>
DN 130:119591
TI Antioxidant enhancement of therapy for hyperproliferative conditions
IN Chinery, Rebecca; Beauchamp, R. Daniel; Coffey, Robert J.; Medford, Russell M.; Wadsinski, Brian
PA Atherogenics, Inc., USA
SO PCT Int. Appl., 112 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9901118	A2	19990114	WO 1998-US13750	19980701
	WO 9901118	A3	19990422		
	W: AL, AU, BA, BB, BG, BR, CA, CN, CU, CZ, EE, GE, HU, ID, IL, IS, JP, KP, KR, LC, LK, LR, LT, LV, MG, MK, MN, MX, NO, NZ, PL, RO, SG, SI, SK, SL, TR, TT, UA, US, UZ, VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
	CA 2294247	A1	19990114	CA 1998-2294247	19980701

	CA 2294247	C	20041026		
	AU 9882827	A	19990125	AU 1998-82827	19980701
	EP 1019034	A2	20000719	EP 1998-933078	19980701
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
	JP 2002511878	T	20020416	JP 1999-507360	19980701
	US 2001049349	A1	20011206	US 2001-779086	20010207
	US 7071158	B2	20060704		
	AU 2002052761	A	20040108	AU 2002-52761	20020702
	AU 785322	B2	20070118		
PRAI	US 1997-886653	A	19970701		
	US 1997-967492	A	19971111		
	AU 1998-82827	A	19980701		
	US 1998-108609	B1	19980701		
	WO 1998-US13750	W	19980701		

OS MARPAT 130:119591

L28 ANSWER 20 OF 30 HCAPLUS COPYRIGHT 2008 ACS on STN
TI Toxicity of liposomal 3'-5'-O-dipalmitoyl-5-fluoro-2'-deoxyuridine in mice
AB Toxicities of 5-fluoro-2'-deoxyuridine (FUdR) and its liposome-incorporated dipalmitoyl derivative (FUdR-dipalmitate) to mouse bone marrow, spleen, liver and ileum were compared after treatment for 6 consecutive days. The applied doses of the two formulations, which were shown earlier to have equal antitumor activity in mouse tumor models, were 600 and 2 μmol/kg resp. When applied in these doses, toxicity to the hemopoietic system, measured as a decrease in progenitor and precursor cells of the erythroid and granuloid/macrophage lineage in bone marrow and spleen, was more severe for FUdR than for liposomal FUdR-dipalmitate. In the liver, mitotic figures, as indicators of cell division, were absent for both drugs while in control livers the number of cells in mitosis was .apprx.2%. Toxicity to the ileum was more severe for liposomal FUdR-dipalmitate than for FUdR and was manifested by granulocyte infiltration, the presence of cell debris, loss of columnar epithelial cells and enlarged nuclei with prominent nucleoli in these cells. Thus, by prolonging the retention time of FUdR in vivo, using liposomes as a vehicle and FUdR-dipalmitate as a lipophilic prodrug, the dose-limiting toxicity appears to shift from bone marrow to the gastro-intestinal tract.
AN 1998:361726 HCAPLUS <<LOGINID::20080321>>
DN 129:103815
TI Toxicity of liposomal 3'-5'-O-dipalmitoyl-5-fluoro-2'-deoxyuridine in mice
AU Van Borssum Waalkes, Marjan; Goris, Henk; Dontje, Bert H. J.; Schwendener, Reto A.; Scherphof, Gerrit; Nijhof, Willem
CS Groningen Inst. Drug Studies, Lab. Physiological Chem., Groningen Univ., Groningen, 9713 AV, Neth.
SO Anti-Cancer Drug Design (1998), 13(4), 291-305
CODEN: ACDDEA; ISSN: 0266-9536
PB Oxford University Press
DT Journal
LA English
RE.CNT 46 THERE ARE 46 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L28 ANSWER 21 OF 30 HCAPLUS COPYRIGHT 2008 ACS on STN
TI Compositions of chemotherapeutic agent or antiviral agent with acylated pyrimidine nucleosides
AB The subject invention discloses compds., compns. and methods for treatment and prevention of toxicity due to chemotherapeutic agents and antiviral agents. Disclosed are acylated derivs. of non-methylated

pyrimidine nucleosides. These compds. are capable of attenuating damage to the hematopoietic system in animals receiving antiviral or antineoplastic chemotherapy. Thus, biol activity of 5-fluorouracil is reported.

AN 1998:236253 HCAPLUS <<LOGINID::20080321>>

DN 128:266247

TI Compositions of chemotherapeutic agent or antiviral agent with acylated pyrimidine nucleosides

IN Von Borstel, Reid W.; Bamat, Michael K.

PA Pro-Neuron, Inc., USA

SO U.S., 26 pp., Cont.-in-part of U.S. Ser. No. 61,381, abandoned.
CODEN: USXXAM

DT Patent

LA English

FAN.CNT 13

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 5736531	A	19980407	US 1993-176485	19931230
	EP 712629	A1	19960522	EP 1995-203050	19881027
	EP 712629	B1	20030618		
	R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE				
	JP 10001436	A	19980106	JP 1997-36734	19881027
	JP 3474073	B2	20031208		
	JP 2001192335	A	20010717	JP 2000-379524	19881027
	CA 2111571	A1	19930121	CA 1992-2111571	19920625
	CA 2111571	C	20050823		
	CA 2504078	A1	19930121	CA 1992-2504078	19920625
	CA 2504078	C	20070828		
	ES 2160579	T3	20011116	ES 1992-914215	19920625
	ZA 9204975	A	19930428	ZA 1992-4975	19920703
	IN 175688	A1	19950812	IN 1992-CA473	19920706
	US 5246708	A	19930921	US 1992-911379	19920713
	US 5470838	A	19951128	US 1992-997657	19921230
	US 5583117	A	19961210	US 1993-140475	19931025
	US 6020320	A	20000201	US 1993-153163	19931117
	IN 177670	A1	19970215	IN 1994-CA701	19940902
	US 5770582	A	19980623	US 1995-419767	19950410
	US 5691320	A	19971125	US 1995-465454	19950605
	US 6054441	A	20000425	US 1995-463790	19950605
	US 6060459	A	20000509	US 1995-465016	19950605
	US 7307166	B1	20071211	US 1995-463771	19950605
	US 6258795	B1	20010710	US 1995-466145	19950606
	US 6316426	B1	20011113	US 1995-466144	19950606
	US 5968914	A	19991019	US 1995-472210	19950607
	US 6232298	B1	20010515	US 1995-479519	19950607
	US 6274563	B1	20010814	US 1995-479349	19950607
	US 6348451	B1	20020219	US 1995-478736	19950607
	US 6919320	B1	20050719	US 1995-473331	19950607
	US 7166581	B1	20070123	US 1995-473330	19950607
	US 2001025032	A1	20010927	US 1999-249790	19990216
	US 6344447	B2	20020205		
	AU 9952624	A	19991202	AU 1999-52624	19991001
	US 6743782	B1	20040601	US 2000-494242	20000131
	AU 2002320811	A1	20030403	AU 2002-320811	20021223
	US 2004033981	A1	20040219	US 2003-601863	20030624
	US 2004192635	A1	20040930	US 2004-824501	20040415
	US 2004220134	A1	20041104	US 2004-855835	20040528
	AU 2005232288	A1	20051201	AU 2005-232288	20051110
	JP 2006137772	A	20060601	JP 2005-380457	20051228
	JP 2008019268	A	20080131	JP 2007-233452	20070907
PRAI	US 1987-115923	B2	19871028		

US	1987-115929	B2	19871028
US	1989-438493	B2	19890627
US	1990-487984	B2	19900205
US	1991-724340	B2	19910705
US	1992-903107	B2	19920625
US	1993-61381	B2	19930514
US	1988-186031	B2	19880425
EP	1988-910239	A3	19881027
JP	1988-509176	A3	19881027
JP	1994-303877	A3	19881027
JP	2000-379524	A3	19881027
US	1989-341925	B1	19890421
US	1990-533933	B1	19900605
US	1990-438493	B2	19900626
US	1991-653882	B2	19910208
US	1991-737913	B3	19910729
CA	1992-2111571	A3	19920625
IN	1992-CA473	A1	19920706
US	1992-911379	A3	19920713
US	1992-925931	B2	19920807
US	1992-958598	B3	19921007
US	1992-987730	B2	19921208
US	1992-997657	A3	19921230
US	1993-96407	B1	19930726
US	1993-98884	B1	19930729
US	1993-153163	A1	19931117
US	1993-158799	B2	19931201
US	1993-176485	A2	19931230
US	1994-266897	B3	19940701
US	1994-289214	A3	19940812
US	1995-419767	A3	19950410
US	1995-463740	A1	19950605
US	1995-472210	A1	19950607
AU	1995-29150	A3	19950630
AU	1999-52624	A3	19991001
US	2000-494242	A3	20000131
AU	2002-320811	A3	20021223
JP	2005-380457	A3	20051228

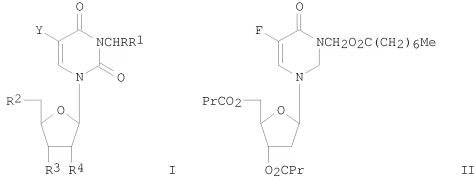
OS MARPAT 128:266247
RE.CNT 34 THERE ARE 34 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L28 ANSWER 22 OF 30 HCAPLUS COPYRIGHT 2008 ACS on STN
TI Methods of reducing toxicity of chemotherapeutic and antiviral agents with acylated non-methylated pyrimidine nucleosides
AB Compds., compns. and methods are disclosed for the treatment and prevention of toxicity due to chemotherapeutic agents and antiviral agents. Disclosed are acylated derivs. of non-methylated pyrimidine nucleosides. These compds. are capable of attenuating damage to the hematopoietic system in animals receiving antiviral or antineoplastic chemotherapy. Oral administration of triacetyluridine ameliorated the hematol. toxicity of 5-fluorouracil. Triacetyluridine and uridine increased the therapeutic index of 5-fluorouracil in tumor-bearing mice. Amelioration of the adverse effects of e.g. AZT is also described.
AN 1997:141015 HCAPLUS <<LOGINID::20080321>>
DN 126:139905
TI Methods of reducing toxicity of chemotherapeutic and antiviral agents with acylated non-methylated pyrimidine nucleosides
IN Vonborstel, Reid W.; Bamat, Michael K.
PA Pro-Neuron, Inc., USA

SO PCT Int. Appl., 142 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 13

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 9640165	A1	19961219	WO 1996-US10067	19960606
W: AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG				
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN				
IN 177670	A1	19970215	IN 1994-CA701	19940902
US 5968914	A	19991019	US 1995-472210	19950607
AU 9661114	A	19961230	AU 1996-61114	19960606
AU 724805	B2	20000928		
EP 831849	A1	19980401	EP 1996-918461	19960606
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI				
JP 10511689	T	19981110	JP 1997-502184	19960606
AU 9952624	A	19991202	AU 1999-52624	19991001
AU 2002320811	A1	20030403	AU 2002-320811	20021223
AU 2005232288	A1	20051201	AU 2005-232288	20051110
PRAI US 1995-472210	A	19950607		
US 1987-115923	B2	19871028		
US 1987-115929	B2	19871028		
US 1989-438493	B2	19890627		
US 1990-487984	B2	19900205		
US 1991-724340	B2	19910705		
US 1992-903107	B2	19920625		
IN 1992-CA473	A1	19920706		
US 1993-61381	B2	19930514		
US 1993-176485	A2	19931230		
AU 1995-29150	A3	19950630		
WO 1996-US10067	W	19960606		
AU 1999-52624	A3	19991001		
AU 2002-320811	A3	20021223		

L28 ANSWER 23 OF 30 HCAPLUS COPYRIGHT 2008 ACS on STN
TI Preparation of 5-fluoro- or 5-trifluoromethyl-3-(acyloxy- or alkoxycarbonylmethyl)uridine derivatives as antitumor agents
GI



AB The title compds. [I; R = H, lower alkyl; R1 = alkoxycarbonyl, (un)substituted acyloxy; R2, R4 = H, alkoxycarbonyloxy, acyloxy, or phenoxycarbonyloxy optionally substituted by alkoxy or alkoxycarbonyl; R3 = (halo)aralkyloxy, alkoxycarbonyloxy, acyloxy, or phenoxycarbonyloxy optionally substituted by alkoxy or alkoxycarbonyl; Y = F, CF3], useful as oral or nonoral antitumor agents with reduced toxicity, are prepared Thus, chloromethyl butyrate was added to a mixture of 5-fluoro-2'-deoxyuridine 4.5, K2CO3 13.7, NaI 10.1 g in acetone and the resulting mixture was stirred overnight at room temperature to give 67.8% 3-palmitoyloxymethyl-2'-deoxy-5-fluorouridine, which (1.3 g) was acylated with 1.11 g n-heptanoyl chloride in CH2Cl2 containing Et3N at room temperature for 2 h to give the title nucleoside (II) in 64.6% yield. II was administered to mice transplanted with colon cancer at 50 mg/kg i.v. per day for 7 consecutive days and after 16 days from the cancer inoculation, the proliferation of the cancer was inhibited by 97.8%.
AN 1996:113255 HCAPLUS <<LOGINID::20080321>>
DN 124:146755
TI Preparation of 5-fluoro- or 5-trifluoromethyl-3-(acyloxy- or alkoxycarbonylmethyl)uridine derivatives as antitumor agents
IN Tsujihara, Kenji; Tanaka, Takatsugu; Oohashi, Motoaki; Matsuda, Saburo; Suzuki, Akira
PA Tanabe Seiyaku Co, Japan
SO Jpn. Kokai Tokkyo Koho, 16 pp.
CODEN: JKXXAF
DT Patent
LA Japanese
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI JP 07258094	A	19951009	JP 1994-45322	19940316
PRAI JP 1994-45322		19940316		

OS MARPAT 124:146755

L28 ANSWER 24 OF 30 HCAPLUS COPYRIGHT 2008 ACS on STN
TI Acylated pyrimidine nucleosides for treatment of toxicity from chemotherapeutic and antiviral agents
AB The subject invention discloses compds., compns. and methods for treatment and prevention of toxicity due to chemotherapeutic agents and antiviral agents. Disclosed are acylated derivs. of non-methylated pyrimidine nucleosides. These compds. are capable of attenuating damage to the hematopoietic system in animals receiving antiviral or antineoplastic chemotherapy. Oral administration of triacetyluridine ameliorated the hematol. toxicity of 5-fluorouracil. Effects of other derivs. are also presented. Synthesis of ethoxycarbonyluridine is included.
AN 1995:756200 HCAPLUS <<LOGINID::20080321>>
DN 123:160865
TI Acylated pyrimidine nucleosides for treatment of toxicity from chemotherapeutic and antiviral agents
IN Von Borstel, Reid Warren; Bamat, Michael Kevin
PA Pro-Neuron, Inc., USA
SO PCT Int. Appl., 143 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 13

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 9426761	A1	19941124	WO 1993-US12689	19931230

W: AU, CA, JP, KR
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE

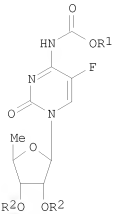
	AU 9460812	A	19941212	AU 1994-60812	19931230
	IN 177670	A1	19970215	IN 1994-CA701	19940902
	AU 9952624	A	19991202	AU 1999-52624	19991001
	AU 2002320811	A1	20030403	AU 2002-320811	20021223
	AU 2005232288	A1	20051201	AU 2005-232288	20051110
PRAI	US 1993-61381	A	19930514		
	IN 1992-CA473	A1	19920706		
	WO 1993-US12689	W	19931230		
	AU 1995-29150	A3	19950630		
	AU 1999-52624	A3	19991001		
	AU 2002-320811	A3	20021223		

OS MARPAT 123:160865

L28 ANSWER 25 OF 30 HCAPLUS COPYRIGHT 2008 ACS on STN

TI N-Oxycarbonyl-substituted 5'-deoxy-5-fluorocytidines as antitumor agents

GI



I

AB Compds. I [R1 = saturated or unsatd., straight or branched hydrocarbon radical (wherein longest straight chain has 3-7 C atoms), or (CH2)nY (in which n = 0-4 when Y = cyclohexyl, or n = 2-4 when Y = C1-4 alkoxy or Ph); R2 = H or a radical easily hydrolyzable under physiol. conditions] and their hydrates or solvates are useful in the treatment of tumors. They compds. can be prepared by reaction of chloroformates R1OCOCl with optionally protected N4-unsubstituted 5'-deoxy-5-fluorocytidines. The compds. have improved pharmacokinetic profiles, and less intestinal toxicity than known compds. For example, 5'-deoxy-5-fluorocytidine (5'-DFCR) was 2',3'-di-O-acetylated with Ac2O in pyridine at 0°, and the product treated with n-Pr chloroformate in pyridine, to give I (R1 = Pr, R2 = Ac). This was hydrolyzed by addition of 1N NaOH to a CH2Cl2 solution at ice temperature, giving 79.8% I (R1 = Pr, R2 = H). The analogously prepared I (R1 = Bu, R2 = H), a preferred compound, gave complete inhibition of growth of human colon cancer xenograft CXF280 in mice at a dose where intestinal toxicity was not observed, whereas the standard/metabolite 5-FU gave only 58% inhibition at a toxic dose. Examples include 29 prepns., 3 formulations, acylamidase deacylation data, pharmacokinetics of selected I in monkeys, and addnl. antitumor and anticachexia data in mice.

AN 1995:487800 HCAPLUS <<LOGINID::20080321>>
DN 122:240352
TI N-Oxycarbonyl-substituted 5'-deoxy-5-fluorocytidines as antitumor agents
IN Arasaki, Motohiro Nippon Roche; Ishitsuka, Hideo; Kuruma, Isami; Miwa, Masanori; Murasaki, Chikako; Shimma, Nobuo; Umeda, Isao Imperial Higashihak
PA F. Hoffmann-La Roche & Co. AG, Switz.
SO Eur. Pat. Appl., 20 pp.
CODEN: EPXXDW
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 602454	A1	19940622	EP 1993-119349	19931201
	EP 602454	B1	19960424		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
	AU 9350690	A	19940630	AU 1993-50690	19931112
	AU 671491	B2	19960829		
	CA 2103324	A1	19940619	CA 1993-2103324	19931117
	CA 2103324	C	19971223		
	AT 137244	T	19960515	AT 1993-119349	19931201
	ES 2086856	T3	19960701	ES 1993-119349	19931201
	ZA 9309293	A	19940618	ZA 1993-9293	19931210
	HU 65757	A2	19940728	HU 1993-3525	19931210
	HU 218291	B	20000728		
	CZ 284788	B6	19990317	CZ 1993-2731	19931213
	FI 9305616	A	19940619	FI 1993-5616	19931214
	FI 112365	B1	20031128		
	US 5472949	A	19951205	US 1993-167392	19931214
	RO 112619	B3	19971128	RO 1993-1706	19931215
	BR 9305089	A	19940705	BR 1993-5089	19931216
	JP 06211891	A	19940802	JP 1993-342812	19931216
	JP 2501297	B2	19960529		
	RU 2135511	C1	19990827	RU 1993-56196	19931216
	NO 9304671	A	19940620	NO 1993-4671	19931217
	CN 1094056	A	19941026	CN 1993-112838	19931217
	CN 1035617	B	19970813		
	LT 3115	B	19941227	LT 1993-1627	19931217
	LV 10625	B	19960420	LV 1993-1347	19931217
	PL 174100	B1	19980630	PL 1993-301541	19931217
	SK 281403	B6	20010312	SK 1993-1444	19931217
PRAI	EP 1992-121538	A	19921218		

OS MARPAT 122:240352

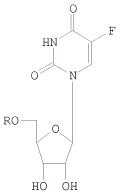
L28 ANSWER 26 OF 30 HCAPLUS COPYRIGHT 2008 ACS on STN
TI Fatty acid conjugates of 2'-deoxy-5-fluorouridine as prodrugs for the selective delivery of 5-fluorouracil to tumor cells
AB A novel class of prodrugs was prepared by coupling 2'-deoxy-5-fluorouridine (5dFU) to oleic and docosahexaenoic acids, resp. The cytotoxic activity of the drug and its conjugates was assayed in vitro upon HT-29, a colon carcinoma cell line of human origin. After short term (2-h) treatments with the drugs, both fatty acid conjugates of 5dFU showed cytotoxic activity in a dose-dependent way, while 5dFU alone was devoid of toxic effects within the whole range of concns. (10-200 μM) tested. Following long term (24- or 48-h) incubations only a fraction of the HT-29 cell population was sensitive to 5dFU, the rest of the population being resistant even at the highest concentration tested (200 μM). In contrast, 5dFU-oleic acid and, particularly, 5dFU-docosahexaenoic acids appeared toxic for the whole population of HT-29 cells under the same expt1. conditions. The considerable gain in cell toxicity and, to a

lesser extent, in selectivity resulted from the conjugation since the toxic effect of the drug alone was not modified when equimolar mixts. of 5dFU and fatty acids were assayed. These results confirm a previous study on the cytotoxicity of fatty acid derivs. of chlorambucil toward malignant lymphoblastoid cells and reinforce the potential use of fatty acid conjugates as efficient antitumor prodrugs.
AN 1992:557535 HCAPLUS <<LOGINID::20080321>>
DN 117:157535
TI Fatty acid conjugates of 2'-deoxy-5-fluorouridine as prodrugs for the selective delivery of 5-fluorouracil to tumor cells
AU Halmos, Therese; Moroni, Patricia; Antonakis, Kostas; Uriel, Jose
CS Lab. Chim. Org. Chim. Proteines, Inst. Rech. Sci. Cancer, Villejuif, 94801, Fr.
SO Biochemical Pharmacology (1992), 44(1), 149-55
CODEN: BCPCA6; ISSN: 0006-2952
DT Journal
LA English

L28 ANSWER 27 OF 30 HCAPLUS COPYRIGHT 2008 ACS on STN
TI Preparation of 3'-azido-3'-deoxy-5'-O-stearoylthymidine and its use as virucide
AB Virucides, which are useful for treatment of AIDS and have less adverse effect than 3'-azido-3'-deoxythymidine (I), contain the title compound (II) as an active ingredient. Reaction of 500 mg I with stearoyl chloride in pyridine at room temperature for 2 h gave 700 mg II, which was hydrolyzed with hepatic enzymes at 37° in vitro with reaction velocity constant .apprx.0.01 min-1, vs. .apprx.0.01 and >1.0 min-1, for 3'-azido-3'-deoxy-5'-O-acetylthymidine (III) and 3'-azido-3'-deoxy-5'-O-decanoylthymidine, resp. Administration of II (10 mg/kg as I) i.p. to mice resulted in I concentration of blood .apprx.0.5 μg/mL 4 h later, vs. .apprx.0 μg/mL, for III. Capsules were formulated containing II 25, potato starch 150, silica 50, Mg stearate 10, and lactose 765 mg.
AN 1992:34552 HCAPLUS <<LOGINID::20080321>>
DN 116:34552
TI Preparation of 3'-azido-3'-deoxy-5'-O-stearoylthymidine and its use as virucide
IN Kawaguchi, Takeo
PA Yamasa Shoyu Co., Ltd., Japan
SO Jpn. Kokai Tokkyo Koho, 5 pp.
CODEN: JKXXAF
DT Patent
LA Japanese
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI JP 03086896	A	19910411	JP 1990-57325	19900308
PRAI JP 1989-151346	A1	19890614		

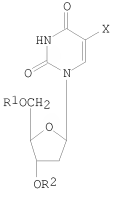
L28 ANSWER 28 OF 30 HCAPLUS COPYRIGHT 2008 ACS on STN
TI 5-Fluorouracil derivatives. XX. Synthesis and antitumor activity of 5'-O-unsaturated acyl-5-fluorouridines
GI



I

AB Various kinds of 5'-O-unsatd. acyl 5-fluorouridines I (R = unsatd. acyl) were synthesized to obtain 5-fluorouridine derivs. with low toxicity and high antitumor activity. Antitumor activity of the compds. against L-1210 leukemia in mice was examined, and the 5'-O-4-pentenoyl derivative showed the highest antitumor activity.
AN 1991:220747 HCAPLUS <<LOGINID::20080321>>
DN 114:220747
TI 5-Fluorouracil derivatives. XX. Synthesis and antitumor activity of 5'-O-unsaturated acyl-5-fluorouridines
AU Ozaki, Shoichiro; Akiyama, Takahiko; Morita, Takao; Kumegawa, Masahiro; Nagase, Toshio; Uehara, Nobuaki; Hoshi, Akio
CS Fac. Eng., Ehime Univ., Matsuyama, 790, Japan
SO Chemical & Pharmaceutical Bulletin (1990), 38(11), 3164-6
CODEN: CPBTAL; ISSN: 0009-2363
DT Journal
LA English

L28 ANSWER 29 OF 30 HCAPLUS COPYRIGHT 2008 ACS on STN
TI Antiviral 5-halo-2'-deoxyuridines
GI



I

AB 5-Halo-2'-deoxyuridines I (X = halo; R1, R2 = H, C≥2 aliphatic acyl, C≥6 aromatic acyl; R1 = R2 ≠ H) are antiviral agents for therapeutic use. I shows a high antiviral activity but low

toxicity to normal cells. Herpes type 1 virus was inoculated into Vero cell monolayer culture in minimal essential medium (MEM) containing 5% calf serum, and test compds. were added. After 48 h cultivation in 5% calf serum-containing MEM, the ED50 of 3',5'-didodecanoyl-5-fluoro-2'-deoxyuridine (II) was 0.054 μg/mL compared to 0.99 μg/mL for acyclovir (control compound). Capsules were prepared containing II 10, lactose 97, crystalline cellulose 50, and Mg stearate 3 mg.

AN 1987:207662 HCAPLUS <<LOGINID::20080321>>
DN 106:207662
OREF 106:33520h,33521a
TI Antiviral 5-halo-2'-deoxyuridines
IN Kawaguchi, Takeo; Fujinaga, Shigeki; Suzuki, Yoshiki
PA Teijin Ltd. , Japan
SO PCT Int. Appl., 33 pp.
CODEN: PIXXD2
DT Patent
LA Japanese
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 8700435	A1	19870129	WO 1986-JP383	19860721
	W: AU, JP, US				
	RW: CH, DE, FR, GB, IT, NL, SE				
	AU 8661367	A	19870210	AU 1986-61367	19860721
	AU 593271	B2	19900208		
	EP 227844	A1	19870708	EP 1986-904397	19860721
	EP 227844	B1	19920513		
	R: CH, DE, FR, GB, IT, LI, NL, SE				
	US 4868162	A	19890919	US 1987-28841	19870323
PRAI	JP 1985-160115	A	19850722		
	WO 1986-JP383	A	19860721		

OS MARPAT 106:207662

L28 ANSWER 30 OF 30 HCAPLUS COPYRIGHT 2008 ACS on STN
TI Platinum-(2,4-dioxopyrimidine) complex
AB The title complexes were prepared by treating 2,4-dioxopyrimidine derivs. with cis-diaquadiammineplatinum(II) [20115-64-4] in a 2:1 to 1:1 mole ratio at 0-55°. The complexes showed antitumor, antiviral, and antibacterial activity, high water solubility, and low renal toxicity. For example, 0.01 mole cis-dichlorodiammineplatinum(II) [15663-27-1] was treated with 0.02 mole AgNO3 in the dark to give cis-diaquadiammineplatinum(II). This complex was then treated with uracil in a 1:1 mole ratio at pH 6-7 to give a complex which showed antitumor, antibacterial, and antiviral activity.
AN 1976:428777 HCAPLUS <<LOGINID::20080321>>
DN 85:28777
OREF 85:4645a,4648a
TI Platinum-(2,4-dioxopyrimidine) complex
IN Rosenberg, Barnett; Mansy, Samir A. L. A.; Van Camp, Loretta L.; Peresie, Henry J.; Fischer, Robert George; Davidson, James P.
PA Research Corp., USA
SO Ger. Offen., 51 pp.
CODEN: GWXXBX
DT Patent
LA German
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	DE 2445418	A1	19760401	DE 1974-2445418	19740923
	JP 58028278	B	19830615	JP 1974-112688	19740930
PRAI	DE 1974-2445418		19740923		

```
=> s hematopoi? or (bone marrow)

         63475 HEMATOPOI?
        223644 BONE
         83129 MARROW
         78320 BONE MARROW
                 (BONE(W)MARROW)
L29     122195 HEMATOPOI? OR (BONE MARROW)

=> s l16 and l29

L30          32 L16 AND L29

=> s l30 and (PY<1992 or AY<1992 or PRY<1992)

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       2501307 AY<1992
       1944919 PRY<1992
L31           4 L30 AND (PY<1992 OR AY<1992 OR PRY<1992)

=> file stnguide

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CA SUBSCRIBER PRICE                                     0.00     -40.00

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LAST RELOADED: Mar 14, 2008 (20080314/UP).

=> d l31 1-4 ti abs bib
YOU HAVE REQUESTED DATA FROM FILE 'HCAPLUS' - CONTINUE? (Y)/N:y



L31  ANSWER 1 OF 4  HCAPLUS  COPYRIGHT 2008 ACS on STN
TI   Preparation of acyl 2'-deoxyribonucleoside derivatives for treating or
     preventing biological damage caused by radiation, mutagens, or sunlight
AB   The invention relates to the preparation of acyl derivs. of 2'-deoxyadenosine,
     2'-deoxyguanosine, 2'-deoxycytidine, and 2'-deoxythymidine.  For example,
     to 2'-deoxythymidine in pyridine is added an acid anhydride (e.g., acetic
     anhydride, lactate anhydride, butyric anhydride, etc.) and the mixture is
     heated to 80-85°C for 1-4 h, cooled and extracted to yield
     3',5'-diacyl-2'-deoxythymidine.  The invention also relates to the use of
     these novel acyl derivs. to treat or prevent radiation, mutagen and
     sunlight-induced biol. damage, and methods for improving wound healing and
     tissue repair, comprising administering the compns. to an animal.  After
     receiving γ-ray irradiation (cobalt 60) at 7.3 Rad/min and total doses
     of 750 Rad, mice administered 5'-O-palmitoyl-2'-deoxyadenosine,
     -deoxyguanosine, -deoxycytidine, and -thymidine at 8μM/0.2μM
     physiol. saline 3 times daily for 4 days i.p. had 100% survival rate at 30
     days vs. 80% and 0% for the corresponding 3',5'-di-O-acetyl-2'-
```

deoxyribonucleosides and saline (control).
AN 2000:78901 HCAPLUS <<LOGINID::20080321>>
DN 132:93587
TI Preparation of acyl 2'-deoxyribonucleoside derivatives for treating or preventing biological damage caused by radiation, mutagens, or sunlight
IN Von Borstel, Reid Warren; Bamat, Michael Kevin
PA Pro-Neuron, Inc., USA
SO U.S., 23 pp., Cont. of U.S. Ser. No. 149,469, abandoned.
CODEN: USXXAM
DT Patent
LA English
FAN.CNT 13

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE	
PI	US 6020322	A	20000201	US 1994-309572	19940921	
	IN 177670	A1	19970215	IN 1994-CA701	19940902	
	US 6103701	A	20000815	US 1995-470027	19950606	<--
	US 6297222	B1	20011002	US 1995-466379	19950606	<--
	US 6306834	B1	20011023	US 1995-479516	19950607	<--
	AU 9952624	A	19991202	AU 1999-52624	19991001	
	US 7169765	B1	20070130	US 2000-494243	20000131	<--
	AU 2002320811	A1	20030403	AU 2002-320811	20021223	
	AU 2005232288	A1	20051201	AU 2005-232288	20051110	
PRAI	US 1993-149469	B1	19931109			
	US 1987-115923	B2	19871028	<--		
	WO 1988-US3824	W	19881027	<--		
	US 1990-487984	B3	19900205	<--		
	IN 1992-CA473	A1	19920706			
	US 1994-309572	A3	19940921			
	AU 1995-29150	A3	19950630			
	AU 1999-52624	A3	19991001			
	AU 2002-320811	A3	20021223			

OS MARPAT 132:93587
RE.CNT 67 THERE ARE 67 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L31 ANSWER 2 OF 4 HCAPLUS COPYRIGHT 2008 ACS on STN
TI Treatment of chemotherapeutic agent and antiviral agent toxicity with acylated pyrimidine nucleosides
AB Compds., compns., and methods are disclosed for treatment and prevention of toxicity due to chemotherapeutic agents and antiviral agents. Disclosed are acylated derivs. of nonmethylated pyrimidine nucleosides. These compds. are capable of attenuating damage to the hematopoietic system in animals receiving antiviral or antineoplastic chemotherapy.
AN 1999:670113 HCAPLUS <<LOGINID::20080321>>
DN 131:281604
TI Treatment of chemotherapeutic agent and antiviral agent toxicity with acylated pyrimidine nucleosides
IN Von Borstel, Reid; Bamat, Michael K.
PA Pro-Neuron, Inc., USA
SO U.S., 31 pp., Cont.-in-part U. S. Ser. 176,485.
CODEN: USXXAM
DT Patent
LA English
FAN.CNT 13

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE	
PI	US 5968914	A	19991019	US 1995-472210	19950607	<--
	EP 712629	A1	19960522	EP 1995-203050	19881027	<--
	EP 712629	B1	20030618			

R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE

JP 10001436	A	19980106	JP 1997-36734	19881027	<--
JP 3474073	B2	20031208			
JP 2001192335	A	20010717	JP 2000-379524	19881027	<--
CA 2111571	A1	19930121	CA 1992-2111571	19920625	<--
CA 2111571	C	20050823			
CA 2504078	A1	19930121	CA 1992-2504078	19920625	<--
CA 2504078	C	20070828			
ES 2160579	T3	20011116	ES 1992-914215	19920625	<--
ZA 9204975	A	19930428	ZA 1992-4975	19920703	<--
IN 175688	A1	19950812	IN 1992-CA473	19920706	<--
US 5246708	A	19930921	US 1992-911379	19920713	<--
US 5470838	A	19951128	US 1992-997657	19921230	<--
US 5583117	A	19961210	US 1993-140475	19931025	<--
US 6020320	A	20000201	US 1993-153163	19931117	<--
US 5736531	A	19980407	US 1993-176485	19931230	<--
IN 177670	A1	19970215	IN 1994-CA701	19940902	
US 5770582	A	19980623	US 1995-419767	19950410	<--
US 5691320	A	19971125	US 1995-465454	19950605	<--
US 6054441	A	20000425	US 1995-463790	19950605	<--
US 6060459	A	20000509	US 1995-465016	19950605	<--
US 7307166	B1	20071211	US 1995-463771	19950605	<--
US 6258795	B1	20010710	US 1995-466145	19950606	<--
US 6316426	B1	20011113	US 1995-466144	19950606	<--
US 6232298	B1	20010515	US 1995-479519	19950607	<--
US 6274563	B1	20010814	US 1995-479349	19950607	<--
US 6348451	B1	20020219	US 1995-478736	19950607	<--
US 6919320	B1	20050719	US 1995-473331	19950607	<--
CA 2223640	A1	19961219	CA 1996-2223640	19960606	
WO 9640165	A1	19961219	WO 1996-US10067	19960606	

W: AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG

RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN

AU 9661114	A	19961230	AU 1996-61114	19960606	
AU 724805	B2	20000928			
EP 831849	A1	19980401	EP 1996-918461	19960606	

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI

CN 1192149	A	19980902	CN 1996-195929	19960606	
JP 10511689	T	19981110	JP 1997-502184	19960606	
JP 2003201240	A	20030718	JP 2003-721	19960606	
EP 1491201	A1	20041229	EP 2004-23557	19960606	
EP 1491201	B1	20060322			

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, AL

AT 320813	T	20060415	AT 2004-23557	19960606	
ES 2257721	T3	20060801	ES 2004-23557	19960606	
PT 1491201	T	20060831	PT 2004-23557	19960606	
HK 1072897	A1	20060512	HK 2005-105421	19981003	
US 2001025032	A1	20010927	US 1999-249790	19990216	<--
US 6344447	B2	20020205			
AU 9952624	A	19991202	AU 1999-52624	19991001	
US 6743782	B1	20040601	US 2000-494242	20000131	<--
AU 2002320811	A1	20030403	AU 2002-320811	20021223	
US 2004033981	A1	20040219	US 2003-601863	20030624	<--
US 2004192635	A1	20040930	US 2004-824501	20040415	<--
US 2004220134	A1	20041104	US 2004-855835	20040528	<--
AU 2005232288	A1	20051201	AU 2005-232288	20051110	

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     JP 2006137772        A     20060601    JP 2005-380457         20051228 <--
     JP 2008019268        A     20080131    JP 2007-233452         20070907 <--
PRAI US 1987-115923       B2    19871028  <--
     US 1987-115929       B2    19871028  <--
     US 1989-438493       B2    19890627  <--
     US 1990-487984       B2    19900205  <--
     US 1991-724340       B2    19910705  <--
     US 1992-903107       B2    19920625
     US 1993-61381        B2    19930514
     US 1993-176485       A2    19931230
     US 1988-186031       B2    19880425  <--
     EP 1988-910239       A3    19881027  <--
     JP 1988-509176       A3    19881027  <--
     JP 1994-303877       A3    19881027  <--
     JP 2000-379524       A3    19881027  <--
     US 1989-341925       B1    19890421  <--
     US 1990-533933       B1    19900605  <--
     US 1990-438493       B2    19900626  <--
     US 1991-653882       B2    19910208  <--
     US 1991-737913       B3    19910729  <--
     CA 1992-2111571      A3    19920625
     IN 1992-CA473        A1    19920706
     US 1992-911379       A3    19920713
     US 1992-925931       B2    19920807
     US 1992-958598       B3    19921007
     US 1992-987730       B2    19921208
     US 1992-997657       A3    19921230
     US 1993-96407        B1    19930726
     US 1993-98884        B1    19930729
     US 1993-153163       A1    19931117
     US 1993-158799       B2    19931201
     US 1994-266897       B3    19940701
     US 1994-289214       A3    19940812
     US 1995-419767       A3    19950410
     US 1995-463740       A1    19950605
     US 1995-472210       A     19950607
     AU 1995-29150        A3    19950630
     EP 1996-918461       A3    19960606
     JP 1997-502184       A3    19960606
     WO 1996-US10067      W     19960606
     HK 1998-111095       A3    19981003
     AU 1999-52624        A3    19991001
     US 2000-494242       A3    20000131
     AU 2002-320811       A3    20021223
     JP 2005-380457       A3    20051228
RE.CNT  30    THERE ARE 30 CITED REFERENCES AVAILABLE FOR THIS RECORD
              ALL CITATIONS AVAILABLE IN THE RE FORMAT

L31  ANSWER 3 OF 4  HCAPLUS  COPYRIGHT 2008 ACS on STN
TI   Compositions of chemotherapeutic agent or antiviral agent with acylated
     pyrimidine nucleosides
AB   The subject invention discloses compds., compns. and methods for treatment
     and prevention of toxicity due to chemotherapeutic agents and antiviral
     agents.  Disclosed are acylated derivs. of non-methylated pyrimidine
     nucleosides.  These compds. are capable of attenuating damage to the
     hematopoietic system in animals receiving antiviral or
     antineoplastic chemotherapy.  Thus, biol activity of 5-fluorouracil is
     reported.
AN   1998:236253  HCAPLUS <<LOGINID::20080321>>
DN   128:266247
TI   Compositions of chemotherapeutic agent or antiviral agent with acylated
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pyrimidine nucleosides

IN Von Borstel, Reid W.; Bamat, Michael K.

PA Pro-Neuron, Inc., USA

SO U.S., 26 pp., Cont.-in-part of U.S. Ser. No. 61,381, abandoned.
CODEN: USXXAM

DT Patent

LA English

FAN.CNT 13

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE	
PI	US 5736531	A	19980407	US 1993-176485	19931230	<--
	EP 712629	A1	19960522	EP 1995-203050	19881027	<--
	EP 712629	B1	20030618			
	R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE					
	JP 10001436	A	19980106	JP 1997-36734	19881027	<--
	JP 3474073	B2	20031208			
	JP 2001192335	A	20010717	JP 2000-379524	19881027	<--
	CA 2111571	A1	19930121	CA 1992-2111571	19920625	<--
	CA 2111571	C	20050823			
	CA 2504078	A1	19930121	CA 1992-2504078	19920625	<--
	CA 2504078	C	20070828			
	ES 2160579	T3	20011116	ES 1992-914215	19920625	<--
	ZA 9204975	A	19930428	ZA 1992-4975	19920703	<--
	IN 175688	A1	19950812	IN 1992-CA473	19920706	<--
	US 5246708	A	19930921	US 1992-911379	19920713	<--
	US 5470838	A	19951128	US 1992-997657	19921230	<--
	US 5583117	A	19961210	US 1993-140475	19931025	<--
	US 6020320	A	20000201	US 1993-153163	19931117	<--
	IN 177670	A1	19970215	IN 1994-CA701	19940902	
	US 5770582	A	19980623	US 1995-419767	19950410	<--
	US 5691320	A	19971125	US 1995-465454	19950605	<--
	US 6054441	A	20000425	US 1995-463790	19950605	<--
	US 6060459	A	20000509	US 1995-465016	19950605	<--
	US 7307166	B1	20071211	US 1995-463771	19950605	<--
	US 6258795	B1	20010710	US 1995-466145	19950606	<--
	US 6316426	B1	20011113	US 1995-466144	19950606	<--
	US 5968914	A	19991019	US 1995-472210	19950607	<--
	US 6232298	B1	20010515	US 1995-479519	19950607	<--
	US 6274563	B1	20010814	US 1995-479349	19950607	<--
	US 6348451	B1	20020219	US 1995-478736	19950607	<--
	US 6919320	B1	20050719	US 1995-473331	19950607	<--
	US 7166581	B1	20070123	US 1995-473330	19950607	<--
	US 2001025032	A1	20010927	US 1999-249790	19990216	<--
	US 6344447	B2	20020205			
	AU 9952624	A	19991202	AU 1999-52624	19991001	
	US 6743782	B1	20040601	US 2000-494242	20000131	<--
	AU 2002320811	A1	20030403	AU 2002-320811	20021223	
	US 2004033981	A1	20040219	US 2003-601863	20030624	<--
	US 2004192635	A1	20040930	US 2004-824501	20040415	<--
	US 2004220134	A1	20041104	US 2004-855835	20040528	<--
	AU 2005232288	A1	20051201	AU 2005-232288	20051110	
	JP 2006137772	A	20060601	JP 2005-380457	20051228	<--
	JP 2008019268	A	20080131	JP 2007-233452	20070907	<--
PRAI	US 1987-115923	B2	19871028	<--		
	US 1987-115929	B2	19871028	<--		
	US 1989-438493	B2	19890627	<--		
	US 1990-487984	B2	19900205	<--		
	US 1991-724340	B2	19910705	<--		
	US 1992-903107	B2	19920625			
	US 1993-61381	B2	19930514			
	US 1988-186031	B2	19880425	<--		

EP	1988-910239	A3	19881027	<--
JP	1988-509176	A3	19881027	<--
JP	1994-303877	A3	19881027	<--
JP	2000-379524	A3	19881027	<--
US	1989-341925	B1	19890421	<--
US	1990-533933	B1	19900605	<--
US	1990-438493	B2	19900626	<--
US	1991-653882	B2	19910208	<--
US	1991-737913	B3	19910729	<--
CA	1992-2111571	A3	19920625	
IN	1992-CA473	A1	19920706	
US	1992-911379	A3	19920713	
US	1992-925931	B2	19920807	
US	1992-958598	B3	19921007	
US	1992-987730	B2	19921208	
US	1992-997657	A3	19921230	
US	1993-96407	B1	19930726	
US	1993-98884	B1	19930729	
US	1993-153163	A1	19931117	
US	1993-158799	B2	19931201	
US	1993-176485	A2	19931230	
US	1994-266897	B3	19940701	
US	1994-289214	A3	19940812	
US	1995-419767	A3	19950410	
US	1995-463740	A1	19950605	
US	1995-472210	A1	19950607	
AU	1995-29150	A3	19950630	
AU	1999-52624	A3	19991001	
US	2000-494242	A3	20000131	
AU	2002-320811	A3	20021223	
JP	2005-380457	A3	20051228	

OS MARPAT 128:266247
RE.CNT 34 THERE ARE 34 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L31 ANSWER 4 OF 4 HCAPLUS COPYRIGHT 2008 ACS on STN
TI Methods of reducing toxicity of chemotherapeutic and antiviral agents with acylated non-methylated pyrimidine nucleosides
AB Compds., compns. and methods are disclosed for the treatment and prevention of toxicity due to chemotherapeutic agents and antiviral agents. Disclosed are acylated derivs. of non-methylated pyrimidine nucleosides. These compds. are capable of attenuating damage to the hematopoietic system in animals receiving antiviral or antineoplastic chemotherapy. Oral administration of triacetyluridine ameliorated the hematol. toxicity of 5-fluorouracil. Triacetyluridine and uridine increased the therapeutic index of 5-fluorouracil in tumor-bearing mice. Amelioration of the adverse effects of e.g. AZT is also described.
AN 1997:141015 HCAPLUS <<LOGINID::20080321>>
DN 126:139905
TI Methods of reducing toxicity of chemotherapeutic and antiviral agents with acylated non-methylated pyrimidine nucleosides
IN Vonborstel, Reid W.; Bamat, Michael K.
PA Pro-Neuron, Inc., USA
SO PCT Int. Appl., 142 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 13

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 9640165	A1	19961219	WO 1996-US10067	19960606

W: AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG

RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN

IN	177670	A1	19970215	IN 1994-CA701	19940902	
US	5968914	A	19991019	US 1995-472210	19950607	<--
AU	9661114	A	19961230	AU 1996-61114	19960606	
AU	724805	B2	20000928			
EP	831849	A1	19980401	EP 1996-918461	19960606	

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI

JP	10511689	T	19981110	JP 1997-502184	19960606	
AU	9952624	A	19991202	AU 1999-52624	19991001	
AU	2002320811	A1	20030403	AU 2002-320811	20021223	
AU	2005232288	A1	20051201	AU 2005-232288	20051110	
PRAI US	1995-472210	A	19950607			
US	1987-115923	B2	19871028	<--		
US	1987-115929	B2	19871028	<--		
US	1989-438493	B2	19890627	<--		
US	1990-487984	B2	19900205	<--		
US	1991-724340	B2	19910705	<--		
US	1992-903107	B2	19920625			
IN	1992-CA473	A1	19920706			
US	1993-61381	B2	19930514			
US	1993-176485	A2	19931230			
AU	1995-29150	A3	19950630			
WO	1996-US10067	W	19960606			
AU	1999-52624	A3	19991001			
AU	2002-320811	A3	20021223			

```
=> exp triacetyluridine/cn
E1          1     TRIACETYLTRIBENZYLHEXAAZAISOWURTZITANE/CN
E2          1     TRIACETYLUMBROSIN/CN
E3          0 --> TRIACETYLURIDINE/CN
E4          1     TRIACETYLUSKUDARAMINE/CN
E5          1     TRIACETYLZYGADENINE/CN
E6          1     TRIACID ALIZARINE GREEN G/CN
E7          1     TRIACID AMARANTH A/CN
E8          1     TRIACID AMIDONAPHTHOL RED 6B/CN
E9          1     TRIACID AMIDONAPHTHOL RED G/CN
E10         1     TRIACID AZOEOSINE E/CN
E11         1     TRIACID BENGAL ROSE B/CN
E12         1     TRIACID BLUE AE/CN

=> exp 2',3',5'-triacetyluridine/cn
MISMATCHED QUOTE IN EXPAND TERM
Quotation marks (or apostrophes) must be used in pairs,
one before and one after the expression you are setting
off or masking.

=> exp 2,3,5-triacetyluridine/cn
E1          1     2,3,5-TRIACETOXYPYRIDINE/CN
E2          1     2,3,5-TRIACETYL-D-RIBOFURANOSYL CHLORIDE/CN
E3          0 --> 2,3,5-TRIACETYLURIDINE/CN
E4          1     2,3,5-TRIAMINO-1,4-NAPHTHOQUINONE/CN
E5          1     2,3,5-TRIAMINO-4,6-DIMETHYLPYRIDINE/CN
E6          1     2,3,5-TRIAMINO-4,6-DIMETHYLPYRIDINE BISMETHANESULFONATE/CN
E7          1     2,3,5-TRIAMINOBENZALDEHYDE/CN
E8          1     2,3,5-TRIAMINOBENZONITRILE/CN
E9          1     2,3,5-TRIAMINOBROMOBENZENE/CN
E10         1     2,3,5-TRIAMINOCHLOROBENZENE/CN
E11         1     2,3,5-TRIAZA-1,4-DIBORAHEPTANE-1,1,4-TRIAMINE, 6-METHYL-2-(1
                  -METHYLETHENYL)-N1,N1,N1',N1',3,5-HEXAKIS(1-METHYLETHYL)-/CN
E12         1     2,3,5-TRIAZA-1,4-DIBORAHEXAN-1-AMINE, N,N,1,2,3,4,5-HEPTAMET
                  HYL-/CN

=> exp peracetyluridine/cn
E1          1     PERACETYLSHATAVARIN IV/CN
E2          1     PERACETYLTEULAMIOSIDE/CN
E3          0 --> PERACETYLURIDINE/CN
E4          1     PERACID HYDROLASE/CN
E5          1     PERACIT 4018F/CN
E6          1     PERACIT 4439X1/CN
E7          1     PERACIT 4536K/CN
E8          1     PERACIT 5042/CN
E9          1     PERACIT 5044/CN
E10         1     PERACIT 5046/CN
E11         1     PERACIT 5048/CN
E12         1     PERACIT 5050/CN

=> exp uridine triacetate/cn
E1          1     URIDINE TRANSPORTER/CN
E2          1     URIDINE TRANSPORTER (CRYPTOCOCCUS NEOFORMANS NEOFORMANS STRA
                  IN JEC21)/CN
E3          1 --> URIDINE TRIACETATE/CN
E4          1     URIDINE TRIPHOSPHATASE/CN
E5          1     URIDINE TRIPHOSPHATE/CN
E6          1     URIDINE TRIPHOSPHATE AMINASE/CN
E7          1     URIDINE TRIPHOSPHATE SODIUM SALT/CN
E8          1     URIDINE, ((5,5''':6,6''-DICYCLO)-(5R,6R)-5'-O-(BIS(4-METHOXYP
                  HENYL)PHENYLMETHYL)-P-(2-CYANOETHYL)-5,6-DIHYDROTHYMIDYLYL-(
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                    3'.FWDARW.5'))-2'-DEOXY-5,6-DIHYDRO-, (5S,6S)-/CN
E9          1       URIDINE, ((5,5'':6,6''-DICYCLO)-(5R,6R)-5'-O-(BIS(4-METHOXYP
                    HENYL)PHENYLMETHYL)-P-(2-CYANOETHYL)-5,6-DIHYDROTHYMIDYLYL-(
                    3'.FWDARW.5'))-2'-DEOXY-5,6-DIHYDRO-, 3'-(2,2-DIMETHYLPROPAN
                    OATE), (5S,6S)-/CN
E10         1       URIDINE, ((5,5'':6,6''-DICYCLO)-(5R,6R)-5'-O-(BIS(4-METHOXYP
                    HENYL)PHENYLMETHYL)-P-(2-CYANOETHYL)-5,6-DIHYDROTHYMIDYLYL-(
                    3'.FWDARW.5'))-2'-DEOXY-5,6-DIHYDRO-, 3'-(2-CYANOETHYL BIS(1
                    -METHYLETHYL)PHOSPHO/CN
E11         1       URIDINE, ((5,5'':6,6''-DICYCLO)-(5R,6R)-5,6-DIHYDRO-5-METHYL
                    -2'-O,4'C-METHYLENEURIDYLYL-(3'.FWDARW.5'))-5,6-DIHYDRO-2'-O
                    ,4'-C-METHYLENE-, (5S,6S)-/CN
E12         1       URIDINE, ((5,5'':6,6''-DICYCLO)-(5R,6R)-P-(2-CYANOETHYL)-5,6
                    -DIHYDROTHYMIDYLYL-(3'.FWDARW.5'))-2'-DEOXY-5,6-DIHYDRO-, 3'
                    -(2,2-DIMETHYLPROPANOATE), (5S,6S)-/CN

=> s E3
L1          1 "URIDINE TRIACETATE"/CN

=> exp ethoxycarbonyluridine/cn
E1          1       ETHOXYCARBONYLTHIOUREA/CN
E2          1       ETHOXYCARBONYLURETHANE/CN
E3          0 --> ETHOXYCARBONYLURIDINE/CN
E4          1       ETHOXYCHLOR/CN
E5          1       ETHOXYCHLORODIMETHYLSILANE/CN
E6          1       ETHOXYCHLOROMETHANE/CN
E7          1       ETHOXYCLAVIGERIN B/CN
E8          1       ETHOXYCLUSIN/CN
E9          1       ETHOXYCOUMARIN 6-HYDROXYLASE/CN
E10         1       ETHOXYCOUMARIN DEETHYLASE/CN
E11         1       ETHOXYCOUMARIN O-DEALKYLASE/CN
E12         1       ETHOXYCOUMARIN O-DEETHYLASE/CN

=> exp 5-ethoxycarbonyluridine/cn
E1          1       5-ETHOXYCARBONYLTHIOPHENE-2-ACETIC ACID/CN
E2          1       5-ETHOXYCARBONYLURACIL/CN
E3          1 --> 5-ETHOXYCARBONYLURIDINE/CN
E4          1       5-ETHOXYCREATININE/CN
E5          1       5-ETHOXYDIHYDRO-2(3H)-FURANONE/CN
E6          1       5-ETHOXYDIHYDRO-3-PHENYL-2(3H)-FURANONE/CN
E7          1       5-ETHOXYDIIMINOISOINDOLINE/CN
E8          1       5-ETHOXYFURAN-2-CARBOXYLIC ACID/CN
E9          1       5-ETHOXYFURFURAL/CN
E10         1       5-ETHOXYHEXAMETHYLTRISILOXAN-1-OL/CN
E11         1       5-ETHOXYINDANE-1,3-DIONE/CN
E12         1       5-ETHOXYINDOLE/CN

=> s E3
L2          1 5-ETHOXYCARBONYLURIDINE/CN

=> exp cytidine triacetate/cn
E1          1       CYTIDINE TETRAACETATE/CN
E2          1       CYTIDINE TETRAPHOSPHATE/CN
E3          0 --> CYTIDINE TRIACETATE/CN
E4          1       CYTIDINE TRIPHOSPHATE/CN
E5          1       CYTIDINE TRIPHOSPHATE SYNTHASE/CN
E6          1       CYTIDINE TRIPHOSPHATE SYNTHASE (LACTOBACILLUS SAKEI SAKEI ST
                    RAIN 23K GENE PYRG)/CN
E7          1       CYTIDINE TRIPHOSPHATE SYNTHASE (TRYPANOSOMA BRUCEI STRAIN TR
                    EU927 GENE TB927.1.1240)/CN
E8          1       CYTIDINE TRIPHOSPHATE SYNTHASE II (HUMAN CLONE MGC:32997 IMA
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                    GE:5268973)/CN
E9          1       CYTIDINE TRIPHOSPHATE SYNTHETASE/CN
E10         1       CYTIDINE TRIPHOSPHATE SYNTHETASE (GIARDIA DUODENALIS CLONE 1
                    709A)/CN
E11         1       CYTIDINE TRIPHOSPHATE SYNTHETASE (GIARDIA DUODENALIS CLONE 1
                    709B)/CN
E12         1       CYTIDINE TRIPHOSPHATE SYNTHETASE (GIARDIA DUODENALIS STRAIN
                    1279)/CN

=> exp cytidine 2,3,5-triacetate/cn
E1          1       CYTIDINE 2'-MONOPHOSPHATE TRIHYDRATE/CN
E2          1       CYTIDINE 2'-PHOSPHATE/CN
E3          0 --> CYTIDINE 2,3,5-TRIACETATE/CN
E4          1       CYTIDINE 3',5'-BISPHOSPHATE/CN
E5          1       CYTIDINE 3',5'-CYCLIC MONOPHOSPHATE/CN
E6          1       CYTIDINE 3',5'-CYCLIC MONOPHOSPHORIC ACID/CN
E7          1       CYTIDINE 3',5'-DIPHOSPHATE/CN
E8          1       CYTIDINE 3',5'-DIPHOSPHATE, 5'-(2,4-DINITROPHENYL) ESTER/CN
E9          1       CYTIDINE 3',5'-DIPHOSPHATE, DI-BA SALT/CN
E10         1       CYTIDINE 3',5'-MONOPHOSPHATE/CN
E11         1       CYTIDINE 3'-(TETRAHYDROGEN TRIPHOSPHATE)/CN
E12         1       CYTIDINE 3'-(TETRAHYDROGEN TRIPHOSPHATE), 2'-DEOXY-/CN

=> exp cytidine 2',3',5'-triacetate/cn
MISMATCHED QUOTE IN EXPAND TERM
Quotation marks (or apostrophes) must be used in pairs,
one before and one after the expression you are setting
off or masking.

=> exp 2,3,5-triacetylcytidine/cn
E1          1       2,3,5-TRIACETOXYPYRIDINE/CN
E2          1       2,3,5-TRIACETYL-D-RIBOFURANOSYL CHLORIDE/CN
E3          0 --> 2,3,5-TRIACETYLCYTIDINE/CN
E4          1       2,3,5-TRIAMINO-1,4-NAPHTHOQUINONE/CN
E5          1       2,3,5-TRIAMINO-4,6-DIMETHYLPYRIDINE/CN
E6          1       2,3,5-TRIAMINO-4,6-DIMETHYLPYRIDINE BISMETHANESULFONATE/CN
E7          1       2,3,5-TRIAMINOBENZALDEHYDE/CN
E8          1       2,3,5-TRIAMINOBENZONITRILE/CN
E9          1       2,3,5-TRIAMINOBROMOBENZENE/CN
E10         1       2,3,5-TRIAMINOCHLOROBENZENE/CN
E11         1       2,3,5-TRIAZA-1,4-DIBORAHEPTANE-1,1,4-TRIAMINE, 6-METHYL-2-(1
                    -METHYLETHENYL)-N1,N1,N1',N1',3,5-HEXAKIS(1-METHYLETHYL)-/CN
E12         1       2,3,5-TRIAZA-1,4-DIBORAHEXAN-1-AMINE, N,N,1,2,3,4,5-HEPTAMET
                    HYL-/CN

=> exp peracetylcytidine/cn
E1          1       PERACETYLCASSIGAROL A/CN
E2          1       PERACETYLCHITOBIOSE/CN
E3          0 --> PERACETYLCYTIDINE/CN
E4          1       PERACETYLDIOSPYRODIN/CN
E5          1       PERACETYLEFOMYCIN M/CN
E6          1       PERACETYLGAUANACONETIN/CN
E7          1       PERACETYLGLOCHIDIOSIDE N/CN
E8          1       PERACETYLGLOCHIDIOSIDE Q/CN
E9          2       PERACETYLISORIBOFLAVINE/CN
E10         1       PERACETYLMONAZOMYCIN/CN
E11         1       PERACETYLOBTUSALLENE III/CN
E12         1       PERACETYLPACHYMOSIDE METHYL ESTER/CN

=> exp diacetyldeoxycytidine/cn
E1          1       DIACETYLDENUDATINE/CN
```

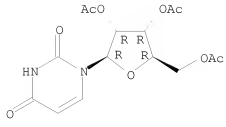
```
E2            1     DIACETYLDEOXAPHOMIN/CN
E3            0 --> DIACETYLDEOXYCYTIDINE/CN
E4            1     DIACETYLDESMYCOSIN/CN
E5            1     DIACETYLDEUTEROHEME/CN
E6            1     DIACETYLDEUTEROHEMIN/CN
E7            1     DIACETYLDEUTEROPORPHYRIN IX/CN
E8            1     DIACETYLDIAMINODIPHENYLSULFONE/CN
E9            1     DIACETYLDIAZOMETHANE/CN
E10           1     DIACETYLDIBUTYLTIN/CN
E11           1     DIACETYLDIDEHYDRO-15-EPIVEATCHINIUM/CN
E12           1     DIACETYLDIDEHYDRO-15-EPIVEATCHINIUM ACETATE/CN

=> exp 2-deoxycytidine-3,5-diacetate/cn
E1            1     2-DEOXYCYTIDINE 5-TRIPHOSPHATE DEAMINASE (NITROBACTER WINOGR
                    ADSKYI STRAIN NB-255)/CN
E2            1     2-DEOXYCYTIDINE 5-TRIPHOSPHATE DEAMINASE (SHIGELLA FLEXNERI
                    STRAIN 2457T GENE DCD)/CN
E3            0 --> 2-DEOXYCYTIDINE-3,5-DIACETATE/CN
E4            1     2-DEOXYDI-O-ACETYL-D-RIBOPYRANOSYL-E-RHODOMYCINONE/C
                    N
E5            1     2-DEOXYDULCITOL/CN
E6            1     2-DEOXYECDYSONE/CN
E7            1     2-DEOXYECDYSONE 2,23-DIACETATE/CN
E8            1     2-DEOXYECDYSONE 22-B-D-GLYCOSIDE/CN
E9            1     2-DEOXYECDYSONE 22-ACETATE/CN
E10           1     2-DEOXYECDYSONE 22-PHOSPHATE/CN
E11           1     2-DEOXYECDYSONE C-2 HYDROXYLASE/CN
E12           1     2-DEOXYECDYSTERONE/CN

=> d l1

L1   ANSWER 1 OF 1  REGISTRY  COPYRIGHT 2008 ACS on STN
RN   4105-38-8  REGISTRY
ED   Entered STN:  16 Nov 1984
CN   Uridine, 2',3',5'-triacetate  (CA INDEX NAME)
OTHER NAMES:
CN   2',3',5'-Tri-O-acetyluridine
CN   2',3',5'-Triacetyluridine
CN   PN 401
CN   RG 2133
CN   Tri-O-acetyl uridine
CN   Uridine triacetate
FS   STEREOSEARCH
DR   293738-13-3
MF   C15 H18 N2 O9
CI   COM
LC   STN Files:   BEILSTEIN*, BIOSIS, CA, CAOLD, CAPLUS, CASREACT, CHEMCATS,
       CHEMINFORMRX, CHEMLIST, CSCHEM, IMSRESEARCH, TOXCENTER, USPAT2,
       USPATFULL, USPATOLD
         (*File contains numerically searchable property data)
     Other Sources:   EINECS**
        (**Enter CHEMLIST File for up-to-date regulatory information)

Absolute stereochemistry.
```



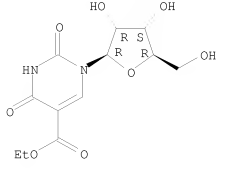
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

220 REFERENCES IN FILE CA (1907 TO DATE)
6 REFERENCES TO NON-SPECIFIC DERIVATIVES IN FILE CA
220 REFERENCES IN FILE CAPLUS (1907 TO DATE)
4 REFERENCES IN FILE CAOLD (PRIOR TO 1967)

=> d 12

L2 ANSWER 1 OF 1 REGISTRY COPYRIGHT 2008 ACS on STN
RN 38934-37-1 REGISTRY
ED Entered STN: 16 Nov 1984
CN 5-Pyrimidinecarboxylic acid, 1,2,3,4-tetrahydro-2,4-dioxo-1-β-D-ribofuranosyl-, ethyl ester (CA INDEX NAME)
OTHER NAMES:
CN 5-Ethoxycarbonyluridine
FS STEREOSEARCH
MF C12 H16 N2 O8
LC STN Files: BEILSTEIN*, CA, CAPLUS, CASREACT, TOXCENTER, USPATFULL
(*File contains numerically searchable property data)

Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

10 REFERENCES IN FILE CA (1907 TO DATE)
10 REFERENCES IN FILE CAPLUS (1907 TO DATE)

=> log hold

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	17.98	18.19

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 SESSION WILL BE HELD FOR 120 MINUTES
STN INTERNATIONAL SESSION SUSPENDED AT 09:42:17 ON 24 MAR 2008

Connecting via Winsock to STN



Welcome to STN International!  Enter x:x

LOGINID:SSPTAEXO1623

PASSWORD:
 * * * * * * RECONNECTED TO STN INTERNATIONAL * * * * * *
SESSION RESUMED IN FILE 'REGISTRY' AT 09:45:28 ON 24 MAR 2008
FILE 'REGISTRY' ENTERED AT 09:45:28 ON 24 MAR 2008
COPYRIGHT (C) 2008 American Chemical Society (ACS)

COST IN U.S. DOLLARS                                SINCE FILE      TOTAL
                                                         ENTRY    SESSION
FULL ESTIMATED COST                                      17.98      18.19

=>
Uploading C:\Program Files\Stnexp\Queries\08460186specific.str
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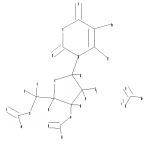
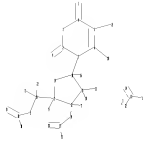




chain nodes :
7 9 15 16 17 18 19 20 21 22 23 24 25 26 27 28 29 30 31 32 33
34 35 37
ring nodes :
1 2 3 4 5 6 10 11 12 13 14
chain bonds :
1-10 2-9 4-7 5-21 6-20 10-16 11-18 11-37 12-17 12-24 13-15 13-19 19-22
19-23 19-25 24-27 25-26 26-29 26-30 27-28 27-31 32-33 33-34 33-35
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 10-11 10-14 11-12 12-13 13-14
exact/norm bonds :
1-2 1-6 1-10 2-3 2-9 3-4 4-5 4-7 5-6 10-11 10-14 11-12 11-37 12-13
12-24 13-14 19-25 24-27 25-26 26-29 27-28 32-33 33-34
exact bonds :
5-21 6-20 10-16 11-18 12-17 13-15 13-19 19-22 19-23 26-30 27-31 33-35

G1:H,[*1]

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 9:CLASS 10:Atom 11:Atom
12:Atom 13:Atom 14:Atom 15:CLASS 16:CLASS 17:CLASS 18:CLASS 19:CLASS
20:CLASS 21:CLASS
22:CLASS 23:CLASS 24:CLASS 25:CLASS 26:CLASS 27:CLASS 28:CLASS 29:CLASS
30:CLASS 31:CLASS
32:CLASS 33:CLASS 34:CLASS 35:CLASS 37:CLASS

L3 STRUCTURE UPLOADED

=> s l3
SAMPLE SEARCH INITIATED 09:46:07 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 139 TO ITERATE

100.0% PROCESSED 139 ITERATIONS 5 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 2073 TO 3487
PROJECTED ANSWERS: 5 TO 234

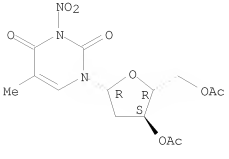
L4 5 SEA SSS SAM L3

=> d l3 scan
L3 HAS NO ANSWERS

=> d l4 scan

L4 5 ANSWERS REGISTRY COPYRIGHT 2008 ACS on STN
IN Thymidine, 3-nitro-, 2',5'-diacetate (9CI)
MF C14 H17 N3 O9

Absolute stereochemistry.



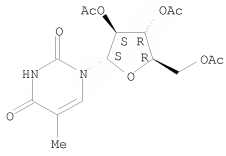
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):2

L4 5 ANSWERS REGISTRY COPYRIGHT 2008 ACS on STN

IN 2,4(1H,3H)-Pyrimidinedione, 5-methyl-1-(2,3,5-tri-O-acetyl-α-D-arabinofuranosyl)-
MF C16 H20 N2 O9

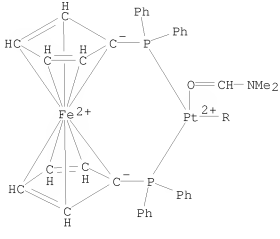
Absolute stereochemistry.

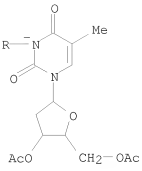


PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L4 5 ANSWERS REGISTRY COPYRIGHT 2008 ACS on STN
IN Platinum(1+), [1,1'-bis(diphenylphosphino)ferrocene-P,P'](N,N-dimethylformamide-O)(thymidine 3',5'-diacetato-N3)-, (SP-4-3)- (9CI)
MF C51 H52 Fe N3 O8 P2 Pt
CI CCS, COM

PAGE 1-A





HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):0

=> s l3 sss full
FULL SEARCH INITIATED 09:46:48 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 3182 TO ITERATE

100.0% PROCESSED 3182 ITERATIONS 79 ANSWERS
SEARCH TIME: 00.00.01

L5 79 SEA SSS FUL L3

=> file caplus
COST IN U.S. DOLLARS SINCE FILE ENTRY TOTAL SESSION
FULL ESTIMATED COST 196.80 197.01

FILE 'CAPLUS' ENTERED AT 09:46:52 ON 24 MAR 2008
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

FILE COVERS 1907 - 24 Mar 2008 VOL 148 ISS 13
FILE LAST UPDATED: 23 Mar 2008 (20080323/ED)

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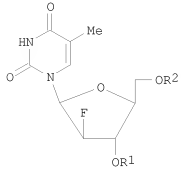
=> s l5/thu
215 L5
990856 THU/RL
L6 5 L5/THU

(L5 (L) THU/RL)

=> d l6 1-5 ti abs bib

L6 ANSWER 1 OF 5 CAPLUS COPYRIGHT 2008 ACS on STN
TI Antiproliferative activity of Pt(II) and Pd(II) phosphine complexes with thymine and thymidine
AB Oxidative addition reactions between [M(PPh3)4] (M = Pt and Pd) and N1-methylthymine (t)/3',5'-di-O-acetylthymidine (T) were carried out to give [M(II)(PPh3)2Cl t (or T)] complexes, in which the metal is coordinated to the N3 of the base. All complexes were characterized by spectroscopic analyses (IR, NMR) and Fast Atom Bombardment mass spectrometry (FAB-MS); x-ray data for the thymine complexes and elemental anal. for the thymidine complexes are reported. The antiproliferative activity of the complexes was tested on human chronic myelogenous leukemia K562 cells. Arrested polymerase-chain reaction anal. was carried on to correlate antiproliferative activity and inhibition of DNA replication. All Pd and Pt complexes exhibit antiproliferative activity, Pd complexes resulting always more active than Pt complexes. Arrested PCR data are strongly in agreement with the effects on cell growth, suggesting that inhibition of the DNA replication by the synthesized compds. is the major basis for their in vitro antiproliferative activity.
AN 2007:49941 CAPLUS <<LOGINID::20080324>>
DN 146:329868
TI Antiproliferative activity of Pt(II) and Pd(II) phosphine complexes with thymine and thymidine
AU Messere, Anna; Fabbri, Enrica; Borgatti, Monica; Gambari, Roberto; Di Blasio, Benedetto; Pedone, Carlo; Romanelli, Alessandra
CS Dipartimento di Scienze Ambientali, Seconda Universita di Napoli, Caserta, 81100, Italy
SO Journal of Inorganic Biochemistry (2007), 101(2), 254-260
CODEN: JIBIDJ; ISSN: 0162-0134
PB Elsevier B.V.
DT Journal
LA English
OS CASREACT 146:329868
RE.CNT 37 THERE ARE 37 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 2 OF 5 CAPLUS COPYRIGHT 2008 ACS on STN
TI Preparation of L-nucleosides as antiviral agents
GI



I

AB Title compds. I (R1 = amino acid residue, alkoxyformyl, acyl, phosphoryl, alkyl; R2 = H, amino acid residue, alkoxyformyl, acyl, phosphoryl, alkyl) and their salts, useful as anti-HBV, anti-EBV and anti-HDV agents, are prepared The invention also relates to use of the above compound for preparing antiviral drugs, such as anti-HBV, anti-EBV and anti-HDV agents. For example, 3'-O-valyl-FMAU (II) was prepared and had an anti-HBV EC50 of 0.03 μM. Formulation containing II was given.
AN 2007:44979 CAPLUS <<LOGINID::20080324>>
DN 146:184679
TI Preparation of L-nucleosides as antiviral agents
IN Yuan, Jiandong; Zhang, Kai; Ye, Xinjian
PA Brightgene Bio-Medical (Suzhou) Co., Ltd., Peop. Rep. China
SO Faming Zhuanli Shenqing Gongkai Shuomingshu, 30pp.
CODEN: CNXXEV
DT Patent
LA Chinese
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI CN 1891710	A	20070110	CN 2005-10040848	20050701
PRAI CN 2005-10040848		20050701		

OS CASREACT 146:184679; MARPAT 146:184679

L6 ANSWER 3 OF 5 CAPLUS COPYRIGHT 2008 ACS on STN
TI A Virtual Screening Approach for Thymidine Monophosphate Kinase Inhibitors as Antitubercular Agents Based on Docking and Pharmacophore Models
AB Docking and pharmacophore screening tools were used to examine the binding of ligands in the active site of thymidine monophosphate kinase of Mycobacterium tuberculosis. Docking anal. of deoxythymidine monophosphate (dTMP) analogs suggests the role of hydrogen bonding and other weak interactions in enzyme selectivity. Water-mediated hydrogen-bond networks and a halogen-bond interaction seem to stabilize the mol. recognition. A pharmacophore model was developed using 20 dTMP analogs. The pharmacophoric features were complementary to the active site residues involved in the ligand recognition. On the basis of these studies, a composite screening model that combines the features from both the docking anal. and the pharmacophore model was developed. The composite model was validated by screening a database spiked with 47 known inhibitors. The model picked up 42 of these, giving an enrichment factor of 17. The validated model was used to successfully screen an inhouse database of about 500,000 compds. Subsequent screening with other filters gave 186 hit mols.
AN 2005:447845 CAPLUS <<LOGINID::20080324>>
DN 143:125824
TI A Virtual Screening Approach for Thymidine Monophosphate Kinase Inhibitors as Antitubercular Agents Based on Docking and Pharmacophore Models
AU Gopalakrishnan, B.; Aparna, V.; Jeevan, J.; Ravi, M.; Desiraju, G. R.
CS Bioinformatics Division, Advanced Technology Centre, TATA Consultancy Services Limited, Hyderabad, 500 081, India
SO Journal of Chemical Information and Modeling (2005), 45(4), 1101-1108
CODEN: JCISD8; ISSN: 1549-9596
PB American Chemical Society
DT Journal
LA English
RE.CNT 42 THERE ARE 42 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

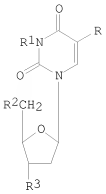
L6 ANSWER 4 OF 5 CAPLUS COPYRIGHT 2008 ACS on STN
TI Preparation of acyl 2'-deoxyribonucleoside derivatives for treating or preventing biological damage caused by radiation, mutagens, or sunlight

AB The invention relates to the preparation of acyl derivs. of 2'-deoxyadenosine, 2'-deoxyguanosine, 2'-deoxycytidine, and 2'-deoxythymidine. For example, to 2'-deoxythymidine in pyridine is added an acid anhydride (e.g., acetic anhydride, lactate anhydride, butyric anhydride, etc.) and the mixture is heated to 80-85°C for 1-4 h, cooled and extracted to yield 3',5'-diacyl-2'-deoxythymidine. The invention also relates to the use of these novel acyl derivs. to treat or prevent radiation, mutagen and sunlight-induced biol. damage, and methods for improving wound healing and tissue repair, comprising administering the comps. to an animal. After receiving γ-ray irradiation (cobalt 60) at 7.3 Rad/min and total doses of 750 Rad, mice administered 5'-O-palmitoyl-2'-deoxyadenosine, -deoxyguanosine, -deoxycytidine, and -thymidine at 8μM/0.2μM physiol. saline 3 times daily for 4 days i.p. had 100% survival rate at 30 days vs. 80% and 0% for the corresponding 3',5'-di-O-acetyl-2'-deoxyribonucleosides and saline (control).
AN 2000:78901 CAPLUS <<LOGINID::20080324>>
DN 132:93587
TI Preparation of acyl 2'-deoxyribonucleoside derivatives for treating or preventing biological damage caused by radiation, mutagens, or sunlight
IN Von Borstel, Reid Warren; Bamat, Michael Kevin
PA Pro-Neuron, Inc., USA
SO U.S., 23 pp., Cont. of U.S. Ser. No. 149,469, abandoned.
CODEN: USXXAM
DT Patent
LA English
FAN.CNT 13

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 6020322	A	20000201	US 1994-309572	19940921
	IN 177670	A1	19970215	IN 1994-CA701	19940902
	US 6103701	A	20000815	US 1995-470027	19950606
	US 6297222	B1	20011002	US 1995-466379	19950606
	US 6306834	B1	20011023	US 1995-479516	19950607
	AU 9952624	A	19991202	AU 1999-52624	19991001
	US 7169765	B1	20070130	US 2000-494243	20000131
	AU 2002320811	A1	20030403	AU 2002-320811	20021223
	AU 2005232288	A1	20051201	AU 2005-232288	20051110
PRAI	US 1993-149469	B1	19931109		
	US 1987-115923	B2	19871028		
	WO 1988-US3824	W	19881027		
	US 1990-487984	B3	19900205		
	IN 1992-CA473	A1	19920706		
	US 1994-309572	A3	19940921		
	AU 1995-29150	A3	19950630		
	AU 1999-52624	A3	19991001		
	AU 2002-320811	A3	20021223		

OS MARPAT 132:93587
RE.CNT 67 THERE ARE 67 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 5 OF 5 CAPLUS COPYRIGHT 2008 ACS on STN
TI Anticancer pharmaceuticals containing 5-fluoro-2'-deoxy-β-uridine derivatives and thymidine derivatives
GI



I, R=F
II, R=Me

AB Anticancer compns. consist of 5-fluoro-2'-deoxy-β-uridine derivs. (I) and thymidine derivs. (II) (where R1 = substituted or unsubstituted acyl; R2 and R3 = protected or nonprotected hydroxy group) at a mol. ratio of 1:0.3-8. In tests with Ehrlich ascites carcinoma-bearing mice, combined administration of 60 mg 3-(3,4-methylenedioxybenzoyl)-5-fluoro-2'-deoxy-β-uridine (TK-117) [74234-11-0] and 170 mg 3-(4-methylbenzoyl)thymidine [100197-94-2]/kg/day for 14 days resulted in 90% inhibition of the growth of carcinoma cells when examined 20 days after expts. Capsules were prepared containing TK-117 50, 3-(4-methylbenzoyl)thymidine 145, lactose 80, corn starch 22 and talc 3 mg. For preparation of 3-(4-methylbenzoyl)thymidine, thymidine was treated with 4-methylbenzoyl chloride.
AN 1986:539610 CAPLUS <<LOGINID::20080324>>
DN 105:139610
OREF 105:22435a,22438a
TI Anticancer pharmaceuticals containing 5-fluoro-2'-deoxy-β-uridine derivatives and thymidine derivatives
PA Toyama Chemical Co., Ltd., Japan
SO Jpn. Kokai Tokkyo Koho, 6 pp.
CODEN: JKXXAF
DT Patent
LA Japanese
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	JP 60126221	A	19850705	JP 1983-234335	19831214
PRAI	JP 1983-234335		19831214		

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L7          38 L1/THU
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=> s l2/thu
            10 L2
        990856 THU/RL
L8           3 L2/THU
               (L2 (L) THU/RL)

=> d l8 -13 ti abs bib

L8   ANSWER 1 OF 3  CAPLUS  COPYRIGHT 2008 ACS on STN
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TI Treatment of chemotherapeutic agent and antiviral agent toxicity with acylated pyrimidine nucleosides

AB Compds., compns., and methods are disclosed for treatment and prevention of toxicity due to chemotherapeutic agents and antiviral agents. Disclosed are acylated derivs. of nonmethylated pyrimidine nucleosides. These compds. are capable of attenuating damage to the hematopoietic system in animals receiving antiviral or antineoplastic chemotherapy.

AN 1999:670113 CAPLUS <<LOGINID::20080324>>
DN 131:281604

TI Treatment of chemotherapeutic agent and antiviral agent toxicity with acylated pyrimidine nucleosides

IN Von Borstel, Reid; Bamat, Michael K.
PA Pro-Neuron, Inc., USA

SO U.S., 31 pp., Cont.-in-part U. S. Ser. 176,485.
CODEN: USXXAM
DT Patent
LA English
FAN.CNT 13

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 5968914	A	19991019	US 1995-472210	19950607
	EP 712629	A1	19960522	EP 1995-203050	19881027
	EP 712629	B1	20030618		
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	CA 2111571	C	20050823		
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	IN 175688	A1	19950812	IN 1992-CA473	19920706
	US 5246708	A	19930921	US 1992-911379	19920713
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	US 5583117	A	19961210	US 1993-140475	19931025
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	US 6316426	B1	20011113	US 1995-466144	19950606
	US 6232298	B1	20010515	US 1995-479519	19950607
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	AU 9661114	A	19961230	AU 1996-61114	19960606
	AU 724805	B2	20000928		

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     EP 831849          A1    19980401    EP 1996-918461         19960606
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     CN 1192149         A     19980902    CN 1996-195929         19960606
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     JP 2003201240      A     20030718    JP 2003-721            19960606
     EP 1491201         A1    20041229    EP 2004-23557          19960606
     EP 1491201         B1    20060322
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     AT 320813          T     20060415    AT 2004-23557          19960606
     ES 2257721         T3    20060801    ES 2004-23557          19960606
     PT 1491201         T     20060831    PT 2004-23557          19960606
     HK 1072897         A1    20060512    HK 2005-105421         19981003
     US 2001025032      A1    20010927    US 1999-249790         19990216
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     US 2004220134      A1    20041104    US 2004-855835         20040528
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     JP 2008019268      A     20080131    JP 2007-233452         20070907
PRAI US 1987-115923     B2    19871028
     US 1987-115929     B2    19871028
     US 1989-438493     B2    19890627
     US 1990-487984     B2    19900205
     US 1991-724340     B2    19910705
     US 1992-903107     B2    19920625
     US 1993-61381      B2    19930514
     US 1993-176485     A2    19931230
     US 1988-186031     B2    19880425
     EP 1988-910239     A3    19881027
     JP 1988-509176     A3    19881027
     JP 1994-303877     A3    19881027
     JP 2000-379524     A3    19881027
     US 1989-341925     B1    19890421
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     US 1990-438493     B2    19900626
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     US 1993-153163     A1    19931117
     US 1993-158799     B2    19931201
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	US 2000-494242	A3	20000131	
	AU 2002-320811	A3	20021223	
	JP 2005-380457	A3	20051228	

RE.CNT 30 THERE ARE 30 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 2 OF 3 CAPLUS COPYRIGHT 2008 ACS on STN

TI Methods of reducing toxicity of chemotherapeutic and antiviral agents with acylated non-methylated pyrimidine nucleosides

AB Compds., compns. and methods are disclosed for the treatment and prevention of toxicity due to chemotherapeutic agents and antiviral agents. Disclosed are acylated derivs. of non-methylated pyrimidine nucleosides. These compds. are capable of attenuating damage to the hematopoietic system in animals receiving antiviral or antineoplastic chemotherapy. Oral administration of triacetyluridine ameliorated the hematol. toxicity of 5-fluorouracil. Triacetyluridine and uridine increased the therapeutic index of 5-fluorouracil in tumor-bearing mice. Amelioration of the adverse effects of e.g. AZT is also described.

AN 1997:141015 CAPLUS <<LOGINID::20080324>>

DN 126:139905

TI Methods of reducing toxicity of chemotherapeutic and antiviral agents with acylated non-methylated pyrimidine nucleosides

IN Vonborstel, Reid W.; Bamat, Michael K.

PA Pro-Neuron, Inc., USA

SO PCT Int. Appl., 142 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 13

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 9640165	A1	19961219	WO 1996-US10067	19960606
W: AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG				
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN				
IN 177670	A1	19970215	IN 1994-CA701	19940902
US 5968914	A	19991019	US 1995-472210	19950607
AU 9661114	A	19961230	AU 1996-61114	19960606
AU 724805	B2	20000928		
EP 831849	A1	19980401	EP 1996-918461	19960606
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI				
JP 10511689	T	19981110	JP 1997-502184	19960606
AU 9952624	A	19991202	AU 1999-52624	19991001
AU 2002320811	A1	20030403	AU 2002-320811	20021223
AU 2005232288	A1	20051201	AU 2005-232288	20051110
PRAI US 1995-472210	A	19950607		
US 1987-115923	B2	19871028		
US 1987-115929	B2	19871028		
US 1989-438493	B2	19890627		
US 1990-487984	B2	19900205		
US 1991-724340	B2	19910705		
US 1992-903107	B2	19920625		
IN 1992-CA473	A1	19920706		

US 1993-61381	B2	19930514	
US 1993-176485	A2	19931230	
AU 1995-29150	A3	19950630	
WO 1996-US10067	W	19960606	
AU 1999-52624	A3	19991001	
AU 2002-320811	A3	20021223	

L8 ANSWER 3 OF 3 CAPLUS COPYRIGHT 2008 ACS on STN
TI Acylated pyrimidine nucleosides for treatment of toxicity from chemotherapeutic and antiviral agents
AB The subject invention discloses compds., compns. and methods for treatment and prevention of toxicity due to chemotherapeutic agents and antiviral agents. Disclosed are acylated derivs. of non-methylated pyrimidine nucleosides. These compds. are capable of attenuating damage to the hematopoietic system in animals receiving antiviral or antineoplastic chemotherapy. Oral administration of triacetyluridine ameliorated the hematol. toxicity of 5-fluorouracil. Effects of other derivs. are also presented. Synthesis of ethoxycarbonyluridine is included.
AN 1995:756200 CAPLUS <<LOGINID::20080324>>
DN 123:160865
TI Acylated pyrimidine nucleosides for treatment of toxicity from chemotherapeutic and antiviral agents
IN Von Borstel, Reid Warren; Bamat, Michael Kevin
PA Pro-Neuron, Inc., USA
SO PCT Int. Appl., 143 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 13

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9426761	A1	19941124	WO 1993-US12689	19931230
	W: AU, CA, JP, KR				
	RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
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	IN 177670	A1	19970215	IN 1994-CA701	19940902
	AU 9952624	A	19991202	AU 1999-52624	19991001
	AU 2002320811	A1	20030403	AU 2002-320811	20021223
	AU 2005232288	A1	20051201	AU 2005-232288	20051110
PRAI	US 1993-61381	A	19930514		
	IN 1992-CA473	A1	19920706		
	WO 1993-US12689	W	19931230		
	AU 1995-29150	A3	19950630		
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	AU 2002-320811	A3	20021223		

OS MARPAT 123:160865

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FILE COVERS 1907 - 24 Mar 2008  VOL 148 ISS 13
FILE LAST UPDATED: 23 Mar 2008  (20080323/ED)

New CAS Information Use Policies, enter HELP USAGETERMS for details.

 This file contains CAS Registry Numbers for easy and accurate
 substance identification.

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        444821 TUMOR
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L9      818726 CANCER OR TUMOR OR NEOPLAS?

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Desk by telephone or via SEND in the STNMAIL file.

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FIEL IS NOT A RECOGNIZED COMMAND
The previous command name entered was not recognized by the system.
For a list of commands available to you in the current file, enter
"HELP COMMANDS" at an arrow prompt (=>).

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COMMAND INTERRUPTED
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FILE COVERS 1907 - 24 Mar 2008  VOL 148 ISS 13
FILE LAST UPDATED: 23 Mar 2008  (20080323/ED)

Effective October 17, 2005, revised CAS Information Use Policies apply.
They are available for your review at:

http://www.cas.org/infopolicy.html

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L11  ANSWER 1 OF 6  CAPLUS  COPYRIGHT 2008 ACS on STN
TI   Treatment of chemotherapeutic agent and antiviral agent toxicity with
     acylated pyrimidine nucleosides
AB   Compds., comps., and methods are disclosed for treatment and prevention
     of toxicity due to chemotherapeutic agents and antiviral agents.
     Disclosed are acylated derivs. of nonmethylated pyrimidine nucleosides.
     These compds. are capable of attenuating damage to the hematopoietic
     system in animals receiving antiviral or antineoplastic chemotherapy.
AN   1999:670113  CAPLUS <<LOGINID::20080324>>
DN   131:281604
TI   Treatment of chemotherapeutic agent and antiviral agent toxicity with
     acylated pyrimidine nucleosides
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IN Von Borstel, Reid; Bamat, Michael K.
PA Pro-Neuron, Inc., USA
SO U.S., 31 pp., Cont.-in-part U. S. Ser. 176,485.
CODEN: USXXAM
DT Patent
LA English
FAN.CNT 13

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     ES 2257721          T3    20060801    ES 2004-23557          19960606
     PT 1491201          T     20060831    PT 2004-23557          19960606
     HK 1072897          A1    20060512    HK 2005-105421         19981003
     US 2001025032       A1    20010927    US 1999-249790         19990216 <--
     US 6344447          B2    20020205
     AU 9952624          A     19991202    AU 1999-52624          19991001
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     AU 2002320811       A1    20030403    AU 2002-320811         20021223
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     US 2004192635       A1    20040930    US 2004-824501         20040415 <--
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     AU 2005232288       A1    20051201    AU 2005-232288         20051110
     JP 2006137772       A     20060601    JP 2005-380457         20051228 <--
     JP 2008019268       A     20080131    JP 2007-233452         20070907 <--
PRAI US 1987-115923      B2    19871028  <--
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     US 1989-438493      B2    19890627  <--
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     US 1993-176485      A2    19931230
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     EP 1988-910239      A3    19881027  <--
     JP 1988-509176      A3    19881027  <--
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     US 1991-653882      B2    19910208
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     US 1992-987730      B2    19921208
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     US 1993-158799      B2    19931201
     US 1994-266897      B3    19940701
     US 1994-289214      A3    19940812
     US 1995-419767      A3    19950410
     US 1995-463740      A1    19950605
     US 1995-472210      A     19950607
     AU 1995-29150       A3    19950630
     EP 1996-918461      A3    19960606
     JP 1997-502184      A3    19960606
     WO 1996-US10067     W     19960606
     HK 1998-111095      A3    19981003
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     US 2000-494242      A3    20000131
     AU 2002-320811      A3    20021223
     JP 2005-380457      A3    20051228
RE.CNT  30    THERE ARE 30 CITED REFERENCES AVAILABLE FOR THIS RECORD
              ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11  ANSWER 2 OF 6  CAPLUS  COPYRIGHT 2008 ACS on STN
```

TI Methods of reducing toxicity of chemotherapeutic and antiviral agents with acylated non-methylated pyrimidine nucleosides
AB Compds., compns. and methods are disclosed for the treatment and prevention of toxicity due to chemotherapeutic agents and antiviral agents. Disclosed are acylated derivs. of non-methylated pyrimidine nucleosides. These compds. are capable of attenuating damage to the hematopoietic system in animals receiving antiviral or antineoplastic chemotherapy. Oral administration of triacetyluridine ameliorated the hematol. toxicity of 5-fluorouracil. Triacetyluridine and uridine increased the therapeutic index of 5-fluorouracil in tumor -bearing mice. Amelioration of the adverse effects of e.g. AZT is also described.
AN 1997:141015 CAPLUS <<LOGINID::20080324>>
DN 126:139905
TI Methods of reducing toxicity of chemotherapeutic and antiviral agents with acylated non-methylated pyrimidine nucleosides
IN Vonborstel, Reid W.; Bamat, Michael K.
PA Pro-Neuron, Inc., USA
SO PCT Int. Appl., 142 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 13

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9640165	A1	19961219	WO 1996-US10067	19960606
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	RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN				
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	US 5968914	A	19991019	US 1995-472210	19950607 <--
	AU 9661114	A	19961230	AU 1996-61114	19960606
	AU 724805	B2	20000928		
	EP 831849	A1	19980401	EP 1996-918461	19960606
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PRAI	US 1995-472210	A	19950607		
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	US 1987-115929	B2	19871028	<--	
	US 1989-438493	B2	19890627	<--	
	US 1990-487984	B2	19900205		
	US 1991-724340	B2	19910705		
	US 1992-903107	B2	19920625		
	IN 1992-CA473	A1	19920706		
	US 1993-61381	B2	19930514		
	US 1993-176485	A2	19931230		
	AU 1995-29150	A3	19950630		
	WO 1996-US10067	W	19960606		
	AU 1999-52624	A3	19991001		
	AU 2002-320811	A3	20021223		

L11 ANSWER 3 OF 6 CAPLUS COPYRIGHT 2008 ACS on STN
TI Pyrimidine nucleotide precursors for treatment of systemic inflammation and inflammatory hepatitis

AB Pyrimidine nucleotide precursors, including acyl derivs. of cytidine, uridine, and orotate, and uridine phosphorylase inhibitors, and their use in enhancing resistance to sepsis or systemic inflammation, are disclosed. Triacetyluridine improved survival of mice treated with a LD of Salmonella typhimurium endotoxin, reduced endotoxin-caused tissue damage, reduced mortality in viral hepatitis in mice, and improved recovery from ethanol intoxication.

AN 1996:205056 CAPLUS <<LOGINID::20080324>>

DN 124:250921

TI Pyrimidine nucleotide precursors for treatment of systemic inflammation and inflammatory hepatitis

IN Von Borstel, Reid W.; Bamat, Michael K.; Hiltbrand, Bradley M.

PA Pro-Neuron, Inc., USA

SO PCT Int. Appl., 95 pp.
CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 13

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE	
PI	WO 9601115	A1	19960118	WO 1995-US8259	19950630	
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	CA 2193967	A1	19960118	CA 1995-2193967	19950630	
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	AU 9529150	A	19960125	AU 1995-29150	19950630	
	AU 712679	B2	19991111			
	EP 768883	A1	19970423	EP 1995-924764	19950630	
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE					
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	JP 10505578	T	19980602	JP 1996-503935	19950630	
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	AU 9952624	A	19991202	AU 1999-52624	19991001	
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	JP 2008007525	A	20080117	JP 2007-250303	20070926	
PRAI	US 1994-266897	A	19940701			
	US 1987-115929	B2	19871028	<--		
	US 1989-438493	B2	19890627	<--		
	US 1990-438493	B2	19900626			
	IN 1992-CA473	A1	19920706			
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	US 1995-463740	A1	19950605			
	US 1995-479519	A1	19950607			
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	CN 1995-194806	A3	19950630			
	JP 1996-503935	A3	19950630			
	WO 1995-US8259	W	19950630			
	AU 1999-52624	A3	19991001			
	US 2000-702876	A3	20001101			
	AU 2002-320811	A3	20021223			

L11 ANSWER 4 OF 6 CAPLUS COPYRIGHT 2008 ACS on STN
TI Platinum-dioxopyrimidine complexes
AB Complexes of 2,4-dioxopyrimidines with cis-diaquodiamineplatinum (II) were prepared and tested for antitumor, antibacterial and antiviral activity. The complexes appear to have good activity with low renal toxicity.
AN 1984:114992 CAPLUS <<LOGINID::20080324>>
DN 100:114992
OREF 100:17361a,17364a
TI Platinum-dioxopyrimidine complexes
IN Rosenberg, Barnett; Van Camp, Loretta; Ficher, Robert G.; Kansy, Samir; Peresie, Henry J.; Davidson, James P.
PA Research Corp. , USA
SO U.S., 11 pp. Cont. of U.S. Ser. No. 803,269, abandoned.
CODEN: USXXAM
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 4419351	A	19831206	US 1978-970524	19781218 <--
PRAI US 1974-508854	A1	19740924	<--	
US 1977-803269	A1	19770603	<--	
OS MARPAT 100:114992				

L11 ANSWER 5 OF 6 CAPLUS COPYRIGHT 2008 ACS on STN
TI Platinum-(2,4-dioxopyrimidine) complex
AB The title complexes were prepared by treating 2,4-dioxopyrimidine derivs. with cis-diaquadiammineplatinum(II) [20115-64-4] in a 2:1 to 1:1 mole ratio at 0-55°. The complexes showed antitumor, antiviral, and antibacterial activity, high water solubility, and low renal toxicity. For example, 0.01 mole cis-dichlorodiammineplatinum(II) [15663-27-1] was treated with 0.02 mole AgNO3 in the dark to give cis-diaquadiammineplatinum(II). This complex was then treated with uracil in a 1:1 mole ratio at pH 6-7 to give a complex which showed antitumor, antibacterial, and antiviral activity.
AN 1976:428777 CAPLUS <<LOGINID::20080324>>
DN 85:28777
OREF 85:4645a,4648a
TI Platinum-(2,4-dioxopyrimidine) complex
IN Rosenberg, Barnett; Mansy, Samir A. L. A.; Van Camp, Loretta L.; Peresie, Henry J.; Fischer, Robert George; Davidson, James P.
PA Research Corp., USA
SO Ger. Offen., 51 pp.
CODEN: GWXXBX
DT Patent
LA German
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI DE 2445418	A1	19760401	DE 1974-2445418	19740923 <--
JP 58028278	B	19830615	JP 1974-112688	19740930 <--
PRAI DE 1974-2445418		19740923	<--	

L11 ANSWER 6 OF 6 CAPLUS COPYRIGHT 2008 ACS on STN
TI Platinum-pyrimidine blues and related complexes. New class of potent antitumor agents
AB Many of the complexes of diaquo species of cis-dichlorodiammineplatinum (II) and pyrimidines and substituted pyrimidines showed superior activity against the ascites Sarcoma 180 tumor in mice when compared to cis-dichlorodiammineplatinum [15663-27-1]. Activity was also shown against the Rauscher leukemia, Ehrlich ascites, and ADJ/PC6A tumors. The

platinum-uracil complex caused only minor focal damage to the proximal convoluted tubules of the kidney. The methods for synthesis and characterization of some of the complexes are described, though the structure of the complexes are largely uncertain at this time.
AN 1975:508573 CAPLUS <<LOGINID::20080324>>
DN 83:108573
OREF 83:16985a,16988a
TI Platinum-pyrimidine blues and related complexes. New class of potent antitumor agents
AU Davidson, James P.; Faber, Paula J.; Fischer, Robert G., Jr.; Mansy, Samir; Peresie, Henry J.; Rosenberg, Barnett; VanCamp, Loretta
CS Dep. Biophys., Michigan State Univ., East Lansing, MI, USA
SO Cancer Chemotherapy Reports, Part 1 (1975), 59(2), 287-300
CODEN: CCROBU; ISSN: 0576-6559
DT Journal
LA English

=> d his

(FILE 'HOME' ENTERED AT 09:36:51 ON 24 MAR 2008)

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EXP TRIACETYLURIDINE/CN
EXP 2,3,5-TRIACETYLURIDINE/CN
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EXP ETHOXYCARBONYLURIDINE/CN
EXP 5-ETHOXYCARBONYLURIDINE/CN
L2 1 S E3
EXP CYTIDINE TRIACETATE/CN
EXP CYTIDINE 2,3,5-TRIACETATE/CN
EXP 2,3,5-TRIACETYLCYTIDINE/CN
EXP PERACETYLCYTIDINE/CN
EXP DIACETYLDEOXYCYTIDINE/CN
EXP 2-DEOXYCYTIDINE-3,5-DIACETATE/CN
L3 STRUCTURE UPLOADED
L4 5 S L3
L5 79 S L3 SSS FULL

FILE 'CAPLUS' ENTERED AT 09:46:52 ON 24 MAR 2008
L6 5 S L5/THU
L7 38 S L1/THU
L8 3 S L2/THU

FILE 'STNGUIDE' ENTERED AT 09:47:21 ON 24 MAR 2008

FILE 'HCAPLUS' ENTERED AT 09:47:58 ON 24 MAR 2008
L9 818726 S CANCER OR TUMOR OR NEOPLAS?

FILE 'CAPLUS' ENTERED AT 09:48:16 ON 24 MAR 2008
L10 21 S L7 AND L9
L11 6 S L10 AND (PY<1990 OR AY<1990 OR PRY<1990)

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COST IN U.S. DOLLARS SINCE FILE ENTRY TOTAL SESSION
FULL ESTIMATED COST 30.66 260.54

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS) SINCE FILE TOTAL

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CA SUBSCRIBER PRICE                                    -4.80     -11.20

 SESSION WILL BE HELD FOR 120 MINUTES
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Connecting via Winsock to STN



Welcome to STN International!  Enter x:x

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PASSWORD:
 * * * * * * RECONNECTED TO STN INTERNATIONAL * * * * * *
SESSION RESUMED IN FILE 'CAPLUS' AT 10:19:56 ON 24 MAR 2008
FILE 'CAPLUS' ENTERED AT 10:19:56 ON 24 MAR 2008
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COST IN U.S. DOLLARS                                SINCE FILE      TOTAL
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FULL ESTIMATED COST                                    30.66     260.54

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)          SINCE FILE      TOTAL
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CA SUBSCRIBER PRICE                                    -4.80     -11.20

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FULL ESTIMATED COST                                    31.14     261.02

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CA SUBSCRIBER PRICE                                    -4.80     -11.20

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USE IS SUBJECT TO THE TERMS OF YOUR CUSTOMER AGREEMENT
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FILE CONTAINS CURRENT INFORMATION.
LAST RELOADED: Mar 21, 2008 (20080321/UP).

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FULL ESTIMATED COST                                     0.18     261.20

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CA SUBSCRIBER PRICE                                     0.00     -11.20

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USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
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FILE COVERS 1907 - 24 Mar 2008 VOL 148 ISS 13
FILE LAST UPDATED: 23 Mar 2008 (20080323/ED)

New CAS Information Use Policies, enter HELP USAGETERMS for details.

 This file contains CAS Registry Numbers for easy and accurate
 substance identification.

=> s (uridine phosphorylase)

         28638 URIDINE
         19148 PHOSPHORYLASE
L12   647 (URIDINE PHOSPHORYLASE)
               (URIDINE(W)PHOSPHORYLASE)

=> s (cytidine deaminase)

         13640 CYTIDINE
         14764 DEAMINASE
L13   1408 (CYTIDINE DEAMINASE)
               (CYTIDINE(W)DEAMINASE)

=> s nucleoside(w)(uptake or transport)

         49881 NUCLEOSIDE
        307195 UPTAKE
        777383 TRANSPORT
L14   1387 NUCLEOSIDE(W)(UPTAKE OR TRANSPORT)

=> s l9 and l12

L15   237 L9 AND L12

=> s l9 and l13

L16   303 L9 AND L13

=> s l9 and l14

L17   266 L9 AND L14

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        2389086 AY<1991
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=> s l16 and (PY<1991 or AY<1991 or PRY<1991)

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=> s l17 and (PY<1991 or AY<1991 or PRY<1991)

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      1831064 PRY<1991
L20        124 L17 AND (PY<1991 OR AY<1991 OR PRY<1991)

=> file stnguide

=> s (side effect) or (adverse effect) or (toxicity)

       643930 SIDE
      4884484 EFFECT
        14012 SIDE EFFECT
              (SIDE(W)EFFECT)
        98954 ADVERSE
      4884484 EFFECT
        17741 ADVERSE EFFECT
              (ADVERSE(W)EFFECT)
       360364 TOXICITY
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=> s l18 and l21

L22         13 L18 AND L21

=> s l19 and l21

L23          9 L19 AND L21

=> s l20 and l21

L24         24 L20 AND L21

=> file stnguide

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CA SUBSCRIBER PRICE                                 0.00     -11.20

FILE 'STNGUIDE' ENTERED AT 10:22:49 ON 24 MAR 2008
USE IS SUBJECT TO THE TERMS OF YOUR CUSTOMER AGREEMENT
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FILE CONTAINS CURRENT INFORMATION.
LAST RELOADED: Mar 21, 2008 (20080321/UP).

=> d l22 1-13 ti abs bib
YOU HAVE REQUESTED DATA FROM FILE 'HCAPLUS' - CONTINUE? (Y)/N:y



L22  ANSWER 1 OF 13  HCAPLUS  COPYRIGHT 2008 ACS on STN
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TI Treatment of chemotherapeutic agent and antiviral agent toxicity with acylated pyrimidine nucleosides

AB Compds., compns., and methods are disclosed for treatment and prevention of toxicity due to chemotherapeutic agents and antiviral agents. Disclosed are acylated derivs. of nonmethylated pyrimidine nucleosides. These compds. are capable of attenuating damage to the hematopoietic system in animals receiving antiviral or antineoplastic chemotherapy.

AN 1999:670113 HCAPLUS <<LOGINID::20080324>>

DN 131:281604

TI Treatment of chemotherapeutic agent and antiviral agent toxicity with acylated pyrimidine nucleosides

IN Von Borstel, Reid; Bamat, Michael K.

PA Pro-Neuron, Inc., USA

SO U.S., 31 pp., Cont.-in-part U. S. Ser. 176,485.
CODEN: USXXAM

DT Patent

LA English

FAN.CNT 13

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PI	US 5968914	A	19991019	US 1995-472210	19950607	<--
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	IN 175688	A1	19950812	IN 1992-CA473	19920706	
	US 5246708	A	19930921	US 1992-911379	19920713	<--
	US 5470838	A	19951128	US 1992-997657	19921230	<--
	US 5583117	A	19961210	US 1993-140475	19931025	<--
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	WO 9640165	A1	19961219	WO 1996-US10067	19960606	
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	RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN					
	AU 9661114	A	19961230	AU 1996-61114	19960606	
	AU 724805	B2	20000928			

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     EP 831849           A1    19980401    EP 1996-918461         19960606
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     CN 1192149          A     19980902    CN 1996-195929         19960606
     JP 10511689         T     19981110    JP 1997-502184         19960606
     JP 2003201240       A     20030718    JP 2003-721            19960606
     EP 1491201          A1    20041229    EP 2004-23557          19960606
     EP 1491201          B1    20060322
        R:  AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
            IE, SI, LT, LV, FI, AL
     AT 320813           T     20060415    AT 2004-23557          19960606
     ES 2257721          T3    20060801    ES 2004-23557          19960606
     PT 1491201          T     20060831    PT 2004-23557          19960606
     HK 1072897          A1    20060512    HK 2005-105421         19981003
     US 2001025032       A1    20010927    US 1999-249790         19990216 <--
     US 6344447          B2    20020205
     AU 9952624          A     19991202    AU 1999-52624          19991001
     US 6743782          B1    20040601    US 2000-494242         20000131 <--
     AU 2002320811       A1    20030403    AU 2002-320811         20021223
     US 2004033981       A1    20040219    US 2003-601863         20030624 <--
     US 2004192635       A1    20040930    US 2004-824501         20040415 <--
     US 2004220134       A1    20041104    US 2004-855835         20040528 <--
     AU 2005232288       A1    20051201    AU 2005-232288         20051110
     JP 2006137772       A     20060601    JP 2005-380457         20051228 <--
     JP 2008019268       A     20080131    JP 2007-233452         20070907 <--
PRAI US 1987-115923      B2    19871028  <--
     US 1987-115929      B2    19871028  <--
     US 1989-438493      B2    19890627  <--
     US 1990-487984      B2    19900205  <--
     US 1991-724340      B2    19910705
     US 1992-903107      B2    19920625
     US 1993-61381       B2    19930514
     US 1993-176485      A2    19931230
     US 1988-186031      B2    19880425  <--
     EP 1988-910239      A3    19881027  <--
     JP 1988-509176      A3    19881027  <--
     JP 1994-303877      A3    19881027  <--
     JP 2000-379524      A3    19881027  <--
     US 1989-341925      B1    19890421  <--
     US 1990-533933      B1    19900605  <--
     US 1990-438493      B2    19900626  <--
     US 1991-653882      B2    19910208
     US 1991-737913      B3    19910729
     CA 1992-2111571     A3    19920625
     IN 1992-CA473       A1    19920706
     US 1992-911379      A3    19920713
     US 1992-925931      B2    19920807
     US 1992-958598      B3    19921007
     US 1992-987730      B2    19921208
     US 1992-997657      A3    19921230
     US 1993-96407       B1    19930726
     US 1993-98884       B1    19930729
     US 1993-153163      A1    19931117
     US 1993-158799      B2    19931201
     US 1994-266897      B3    19940701
     US 1994-289214      A3    19940812
     US 1995-419767      A3    19950410
     US 1995-463740      A1    19950605
     US 1995-472210      A     19950607
     AU 1995-29150       A3    19950630
     EP 1996-918461      A3    19960606
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     JP 1997-502184       A3    19960606
     WO 1996-US10067      W     19960606
     HK 1998-111095       A3    19981003
     AU 1999-52624        A3    19991001
     US 2000-494242       A3    20000131
     AU 2002-320811       A3    20021223
     JP 2005-380457       A3    20051228
RE.CNT  30    THERE ARE 30 CITED REFERENCES AVAILABLE FOR THIS RECORD
              ALL CITATIONS AVAILABLE IN THE RE FORMAT

L22  ANSWER 2 OF 13  HCAPLUS  COPYRIGHT 2008 ACS on STN
TI   Methods of reducing toxicity of chemotherapeutic and antiviral
     agents with acylated non-methylated pyrimidine nucleosides
AB   Compds., compns. and methods are disclosed for the treatment and
     prevention of toxicity due to chemotherapeutic agents and
     antiviral agents.  Disclosed are acylated derivs. of non-methylated
     pyrimidine nucleosides.  These compds. are capable of attenuating damage
     to the hematopoietic system in animals receiving antiviral or
     antineoplastic chemotherapy.  Oral administration of triacetyluridine
     ameliorated the hematol. toxicity of 5-fluorouracil.
     Triacetyluridine and uridine increased the therapeutic index of
     5-fluorouracil in tumor-bearing mice.  Amelioration of the
     adverse effects of e.g. AZT is also described.
AN   1997:141015  HCAPLUS <<LOGINID::20080324>>
DN   126:139905
TI   Methods of reducing toxicity of chemotherapeutic and antiviral
     agents with acylated non-methylated pyrimidine nucleosides
IN   Vonborstel, Reid W.; Bamat, Michael K.
PA   Pro-Neuron, Inc., USA
SO   PCT Int. Appl., 142 pp.
     CODEN: PIXXD2
DT   Patent
LA   English
FAN.CNT 13
     PATENT NO.           KIND   DATE        APPLICATION NO.        DATE
     --------------       ----   --------    -------------------    --------
PI   WO 9640165           A1     19961219    WO 1996-US10067        19960606
         W:  AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE,
             ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS,
             LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD,
             SE, SG
         RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR,
             IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN
     IN 177670             A1     19970215    IN 1994-CA701          19940902
     US 5968914            A      19991019    US 1995-472210         19950607 <--
     AU 9661114            A      19961230    AU 1996-61114          19960606
     AU 724805             B2     20000928
     EP 831849             A1     19980401    EP 1996-918461         19960606
         R:  AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
             IE, SI, LT, LV, FI
     JP 10511689           T      19981110    JP 1997-502184         19960606
     AU 9952624            A      19991202    AU 1999-52624          19991001
     AU 2002320811         A1     20030403    AU 2002-320811         20021223
     AU 2005232288         A1     20051201    AU 2005-232288         20051110
PRAI US 1995-472210        A      19950607
     US 1987-115923        B2     19871028  <--
     US 1987-115929        B2     19871028  <--
     US 1989-438493        B2     19890627  <--
     US 1990-487984        B2     19900205  <--
     US 1991-724340        B2     19910705
     US 1992-903107        B2     19920625
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IN	1992-CA473	A1	19920706
US	1993-61381	B2	19930514
US	1993-176485	A2	19931230
AU	1995-29150	A3	19950630
WO	1996-US10067	W	19960606
AU	1999-52624	A3	19991001
AU	2002-320811	A3	20021223

L22 ANSWER 3 OF 13 HCAPLUS COPYRIGHT 2008 ACS on STN

TI Pyrimidine nucleotide precursors for treatment of systemic inflammation and inflammatory hepatitis

AB Pyrimidine nucleotide precursors, including acyl derivs. of cytidine, uridine, and orotate, and uridine phosphorylase inhibitors, and their use in enhancing resistance to sepsis or systemic inflammation, are disclosed. Triacetyluridine improved survival of mice treated with a LD of Salmonella typhimurium endotoxin, reduced endotoxin-caused tissue damage, reduced mortality in viral hepatitis in mice, and improved recovery from ethanol intoxication.

AN 1996:205056 HCAPLUS <<LOGINID::20080324>>

DN 124:250921

TI Pyrimidine nucleotide precursors for treatment of systemic inflammation and inflammatory hepatitis

IN Von Borstel, Reid W.; Bamat, Michael K.; Hiltbrand, Bradley M.

PA Pro-Neuron, Inc., USA

SO PCT Int. Appl., 95 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 13

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE	
PI	WO 9601115	A1	19960118	WO 1995-US8259	19950630	
	W: AU, CA, CN, JP, KR, MX					
	RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE					
	IN 177670	A1	19970215	IN 1994-CA701	19940902	
	US 5691320	A	19971125	US 1995-465454	19950605	<--
	US 6232298	B1	20010515	US 1995-479519	19950607	<--
	CA 2193967	A1	19960118	CA 1995-2193967	19950630	
	CA 2193967	C	20070911			
	AU 9529150	A	19960125	AU 1995-29150	19950630	
	AU 712679	B2	19991111			
	EP 768883	A1	19970423	EP 1995-924764	19950630	
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE					
	CN 1156409	A	19970806	CN 1995-194806	19950630	
	JP 10505578	T	19980602	JP 1996-503935	19950630	
	CN 101066276	A	20071107	CN 2006-10105555	19950630	
	AU 9952624	A	19991202	AU 1999-52624	19991001	
	AU 2002320811	A1	20030403	AU 2002-320811	20021223	
	US 2003212036	A1	20031113	US 2003-421831	20030424	
	US 2004033981	A1	20040219	US 2003-601863	20030624	<--
	US 2004220134	A1	20041104	US 2004-855835	20040528	<--
	AU 2005232281	A1	20051201	AU 2005-232281	20051110	
	AU 2005232286	A1	20051201	AU 2005-232286	20051110	
	AU 2005232288	A1	20051201	AU 2005-232288	20051110	
	JP 2008007525	A	20080117	JP 2007-250303	20070926	
PRAI	US 1994-266897	A	19940701			
	US 1987-115929	B2	19871028	<--		
	US 1989-438493	B2	19890627	<--		
	US 1990-438493	B2	19900626	<--		
	IN 1992-CA473	A1	19920706			
	US 1992-987730	B2	19921208			

US 1993-158799	B2	19931201	
US 1995-463740	A1	19950605	
US 1995-479519	A1	19950607	
AU 1995-29150	A3	19950630	
CN 1995-194806	A3	19950630	
JP 1996-503935	A3	19950630	
WO 1995-US8259	W	19950630	
AU 1999-52624	A3	19991001	
US 2000-702876	A3	20001101	
AU 2002-320811	A3	20021223	

L22 ANSWER 4 OF 13 HCAPLUS COPYRIGHT 2008 ACS on STN
TI Pyrimidine nucleotide precursors for treatment of systemic inflammation and inflammatory hepatitis
AB Pyrimidine nucleotide precursors including acyl derivs. of cytidine, uridine, and orotate, and uridine phosphorylase inhibitors, and their use in enhancing resistance to sepsis or systemic inflammation and treating or preventing inflammatory hepatitis are disclosed. Triacetyluridine and uridine improved survival of mice treated with killed Escherichia coli.
AN 1994:549080 HCAPLUS <<LOGINID::20080324>>
DN 121:149080
TI Pyrimidine nucleotide precursors for treatment of systemic inflammation and inflammatory hepatitis
IN Von Borstel, Reid Warren; Bamat, Michael Kevin; Hiltbrand, Bradley M.
PA Pro-Neuron, Inc., USA
SO PCT Int. Appl., 81 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 13

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 9413687	A1	19940623	WO 1993-US11531	19931201
W: AT, AU, BB, BG, BR, BY, CA, CH, CZ, DE, DK, ES, FI, GB, HU, JP, KP, KR, KZ, LK, LU, MG, MN, MW, NL, NO, NZ, PL, PT, RO, RU, SD, SE, SK, UA, VN				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
CA 2150940	A1	19940623	CA 1993-2150940	19931201
CA 2150940	C	20070821		
CA 2588495	A1	19940623	CA 1993-2588495	19931201
AU 9457305	A	19940704	AU 1994-57305	19931201
EP 679160	A1	19951102	EP 1994-903322	19931201
EP 679160	B1	20041117		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
JP 08503699	T	19960423	JP 1994-510442	19931201
AT 282627	T	20041215	AT 1994-903322	19931201
EP 1486210	A1	20041215	EP 2004-20415	19931201
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE				
PT 679160	T	20050228	PT 1994-903322	19931201
ES 2229212	T3	20050416	ES 1994-903322	19931201
IL 107900	A	19991222	IL 1993-107900	19931206
CN 1095268	A	19941123	CN 1993-121700	19931207
CN 1089239	B	20020821		
ZA 9309208	A	19940808	ZA 1993-9208	19931208
IN 177670	A1	19970215	IN 1994-CA701	19940902
US 5691320	A	19971125	US 1995-465454	19950605 <--
US 6232298	B1	20010515	US 1995-479519	19950607 <--
HK 1004484	A1	20050422	HK 1998-103632	19980429
AU 9878813	A	19981008	AU 1998-78813	19980805

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     AU 732120            B2    20010412
     AU 9952624           A     19991202    AU 1999-52624          19991001
     CN 1309970           A     20010829    CN 2000-134481         20001129
     AU 2002320811        A1    20030403    AU 2002-320811         20021223
     US 2004033981        A1    20040219    US 2003-601863         20030624 <--
     US 2004220134        A1    20041104    US 2004-855835         20040528 <--
     JP 2005162757        A     20050623    JP 2004-348587         20041201
     AU 2005232288        A1    20051201    AU 2005-232288         20051110
     JP 2007332144        A     20071227    JP 2007-177101         20070705
PRAI US 1992-987730       A     19921208
     US 1993-158799               19931201
     US 1987-115929       B2    19871028  <--
     US 1989-438493       B2    19890627  <--
     US 1990-438493       B2    19900626  <--
     IN 1992-CA473        A1    19920706
     CA 1993-2150940      A3    19931201
     EP 1994-903322       A3    19931201
     JP 1994-510442       A3    19931201
     WO 1993-US11531      W     19931201
     US 1994-266897       B3    19940701
     US 1995-463740       A1    19950605
     AU 1995-29150        A3    19950630
     AU 1999-52624        A3    19991001
     AU 2002-320811       A3    20021223
OS   MARPAT 121:149080

L22  ANSWER 5 OF 13  HCAPLUS  COPYRIGHT 2008 ACS on STN
TI   5-benzyl barbiturate derivatives as uridine
     phosphorylase inhibitors, and their uses
AB   The title compds. are provided as water-soluble uridine
     phosphorylase (I) inhibitors.  The compds. are useful for
     potentiating anticancer drugs and combating their host toxicity,
     as well as for reducing the toxicity and anemia induced by
     antiviral drugs, e.g. 3'-azido-3'-deoxythymidine (AZT).  Solys. in water
     and apparent inhibition consts. for I inhibition are given for compds. of
     the invention.
AN   1992:51555  HCAPLUS <<LOGINID::20080324>>
DN   116:51555
TI   5-benzyl barbiturate derivatives as uridine
     phosphorylase inhibitors, and their uses
IN   Naguib, Fardos N. M.; El Kouni, Mahmoud H.; Panzica, Raymond P.; Cha,
     Sungman
PA   Brown University Research Foundation, USA
SO   PCT Int. Appl., 44 pp.
     CODEN: PIXXD2
DT   Patent
LA   English
FAN.CNT 1
     PATENT NO.           KIND   DATE        APPLICATION NO.        DATE
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PI   WO 9116315           A1     19911031    WO 1991-US2522         19910412 <--
         W:  AU, CA, FI, JP, KR, NO
         RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LU, NL, SE
     US 5141943           A      19920825    US 1990-508363         19900412 <--
     CA 2080343           A1     19911013    CA 1991-2080343        19910412 <--
     CA 2080343           C      20011023
     AU 9177768           A      19911111    AU 1991-77768          19910412 <--
     EP 526537            A1     19930210    EP 1991-908585         19910412 <--
     EP 526537            B1     19950712
         R:  AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE
     JP 05506240          T      19930916    JP 1991-508360         19910412 <--
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     JP 3001972           B2    20000124
     ES 2077850           T3    19951201    ES 1991-908585         19910412 <--
PRAI US 1990-508363       A     19900412  <--
     WO 1991-US2522       A     19910412
OS   MARPAT 116:51555

L22  ANSWER 6 OF 13  HCAPLUS  COPYRIGHT 2008 ACS on STN
TI   Use of oral uridine as a substitute for parenteral uridine rescue of
     5-fluorouracil therapy, with and without the uridine
     phosphorylase inhibitor 5-benzylacyclouridine
AB   Using a tumor-bearing murine model the authors investigated
     whether low doses of oral uridine (Urd) coupled with a Urd phosphorylase
     inhibitor benzylacyclouridine (BAU), would effect safe rescue of
     5-fluorouracil (FUra) toxicity with preservation of antitumor
     activity.  A high-dose FUra-containing drug combination that included
     parenteral Urd rescue was used as a control; other groups of tumor
     -bearing mice received the same drug combination, except that p.o. Urd was
     substituted for i.p. Urd.  In the absence of BAU, p.o. Urd could effect
     rescue while maintaining an antitumor effect comparable to that obtained
     with i.p. Urd.  When given concomitantly with BAU, a 50% reduction in the oral
     Urd dose (i.e., from 4,000 to 2,000 mg/kg) enabled the achievement of a
     comparable therapeutic index.  I.p. Urd produces very high (6-8 mM) plasma
     and tissue Urd levels, which remain above 100 μM for at least 6 h.  In
     contrast, neither oral Urd nor oral BAU alone raised plasma Urd concns.
     above about 50 μM.  However, the combination of oral Urd plus oral BAU
     gave a peak plasma Urd level of about 300 μM, and the level was
     maintained above 100 μM for 6 h.  Following oral Urd administration,
     gut tissue levels of Urd were in the mM range and those of BAU were in the
     range of 10-20 μg/g tissue, a level sufficient to result in substantial
     inhibition of Urd phosphorylase.  Oral Urd plus oral BAU appears to be a
     promising clin. alternative to parenteral administration of Urd for
     selective rescue of FUra toxicity.
AN   1989:470450  HCAPLUS <<LOGINID::20080324>>
DN   111:70450
TI   Use of oral uridine as a substitute for parenteral uridine rescue of
     5-fluorouracil therapy, with and without the uridine
     phosphorylase inhibitor 5-benzylacyclouridine
AU   Martin, Daniel S.; Stolfi, Robert L.; Sawyer, Robert C.
CS   Mem. Sloan-Kettering Cancer Cent., New York, NY, 10021, USA
SO   Cancer Chemotherapy and Pharmacology (1989), 24(1), 9-14
     CODEN: CCPHDZ; ISSN: 0344-5704
DT   Journal
LA   English

L22  ANSWER 7 OF 13  HCAPLUS  COPYRIGHT 2008 ACS on STN
TI   Biological activity of the potent uridine phosphorylase
     inhibitor 5-ethyl-2,2'-anhydrouridine
GI   For diagram(s), see printed CA Issue.
AB   5-Ethyl-2,2'-anhydrouridine (ANEUR) (I) proved to be a potent inhibitor of
     uridine phosphorylase (URPase) isolated from sarcoma 180
     cells with an apparent Ki(Ki(app) value of 99 nM.  Coadministration of
     ANEUR with 5-fluorouridine (FUR) resulted in increased toxicity
     of FUR.  The LD50 value of FUR alone was 9 mg/kg (when administered for 5
     consecutive days) while the LD50 was 3 mg/kg when FUR was administered
     together with ANEUR in vivo.  There was no significant difference in mean
     tumor weight on day 10 between control animals and animals treated
     with FUR (5 mg/kg/day for 3 days) or ANEUR (280 mg/kg/day for 3 days).
     When FUR was coadministered with ANEUR, mean tumor weight was 91%
     less than that of the untreated controls, showing that ANEUR, the potent
     URPase inhibitor, increases the antitumor effect of FUR.
AN   1988:68450  HCAPLUS <<LOGINID::20080324>>
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DN 108:68450
TI Biological activity of the potent uridine phosphorylase inhibitor 5-ethyl-2,2'-anhydrouridine
AU Veres, Z.; Szinai, I.; Szabolcs, A.; Ujszaszy, K.; Denes, G.
CS Cent. Res. Inst. Chem., Hung. Acad. Sci., Budapest, 1525, Hung.
SO Drugs under Experimental and Clinical Research (1987), 13(10), 615-21
CODEN: DECRDP; ISSN: 0378-6501
DT Journal
LA English

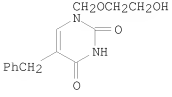
L22 ANSWER 8 OF 13 HCAPLUS COPYRIGHT 2008 ACS on STN
TI Fluoropyrimidines, with special reference to their tumor selective toxicity in man
AB The activity of the metabolizing enzymes of fluoropyrimidines thymidine phosphorylase [9030-23-3], uridine phosphorylase [9030-22-2], thymidine kinase [9002-06-6], and uridine kinase [9026-39-5] was higher in gastric cancer tissues of humans than in other organ tissues, which may be related to the selective toxicity to the gastric cancer since fluoropyrimidines are metabolized to their more active metabolites by these enzyme.
AN 1986:545770 HCAPLUS <<LOGINID::20080324>>
DN 105:145770
OREF 105:23335a,23338a
TI Fluoropyrimidines, with special reference to their tumor selective toxicity in man
AU Suga, Shoji; Yasue, Keiji; Hashizume, Hakutaka; Sawada, Hideo; Saji, Eizo; Takahashi, Yohei; Ohkita, Tsuyoshi; Yokoyama, Yasuhisa
CS Dep. Gastroenterol., Natl. Nagoya Hosp., Nagoya, Japan
SO Saishin Igaku (1986), 41(3), 458-64
CODEN: SAIGAK; ISSN: 0370-8241
DT Journal
LA Japanese

L22 ANSWER 9 OF 13 HCAPLUS COPYRIGHT 2008 ACS on STN
TI Sensitivity of human, murine, and rat cells to 5-fluorouracil and 5'-deoxy-5-fluorouridine in relation to drug-metabolizing enzymes
AB Six cell lines differing in histol. origin were studied regarding the growth-inhibitory effect of fluoropyrimidines in relation to their metabolism The human colon carcinoma cell line WiDr was most sensitive to 5-fluorouracil (FUra) [51-21-8] (50% growth-inhibitory concentration, 0.7 μM) and to its analog 5'deoxy-5-fluorouridine (5'dFUR) [3094-09-5] (50% growth-inhibitory concentration, 18 μM). The murine B16 melanoma cell line was moderately sensitive to FUra but least sensitive to 5'dFUR. The 50% growth-inhibitory concentration values in the human melanoma cell lines IGR3 and
M5, the transformed human intestine cell line Intestine 407, and the rat hepatoma cell line H35 varied for FUra between 1.7 and 5.0 μM, and for 5'dFUR between 54 and 160 μM. Several enzymes from pyrimidine metabolism responsible for FUra metabolism were measured with FUra as a substrate. The activity of uridine phosphorylase [9030-22-2], which catalyzes the conversion of 5'dFUR to FUR, was lowest in B16 cells correlating with the low sensitivity to 5'dFUR. When ATP was included in the reaction mixture for uridine phosphorylase, FUra was rapidly channeled into FUra nucleotides via its nucleoside. The rate of channeling appeared to correlate with the pyrimidine nucleoside phosphorylase [9055-35-0] activity in the various cell lines. In several cell lines, activities of nucleotide-degrading enzymes were rather high and interfered with the measurement of orotate phosphoribosyl transferase (OPRT) [9030-25-5] with FUra as substrate. Addition of the phosphatase inhibitor glycerol-2-phosphate partly prevented breakdown of the newly

formed 5-fluorouridine 5'-monophosphate [796-66-7] and enabled measurement of OPRT. The WiDr cell line had a relatively high OPRT activity which could explain its sensitivity to FUra. The activity of thymidylate synthase [9031-61-2] was measured at a suboptimal concentration of 1
μM and at the optimal concentration of 10 μM deoxyuridine 5'-phosphate. With all cell lines the ratio between the activities at 10 and 1 μM was between 2.3 and 3.6. The activity of thymidylate synthase was lowest in WiDr and IGR3 cells and 3-4 times higher in M5 and Intestine 407 cells. The inhibition of 0.01 μM 5-fluorodeoxyuridine 5'-monophosphate [134-46-3] was 80-90% at 1 μM deoxyuridine 5'-phosphate and 50-70% at 10 μM deoxyuridine 5'-phosphate with all cell lines. At 0.1 μM 5-fluorodeoxyuridine 5'-monophosphate, enzyme activity was inhibited by 95-100%. The incorporation of FUra into RNA was relatively low in IGR3 cells and 3-5 times higher in all other cell lines. Incorporation of FUra into DNA showed the same pattern. The amount of 5-fluorouridine 5'-triphosphate [3828-96-4] was comparable in the 3 melanoma cell lines although they showed a completely different enzyme pattern. Thus, the inhibition of thymidylate synthase by 5-fluorodeoxyuridine 5'-monophosphate and incorporation of FUra into RNA contribute to FUra toxicity to a different extent in the various cell lines tested. These factors do not solely determine the sensitivity to FUra or 5'dFUR. A very low uridine phosphorylase activity is limiting for conversion of 5'dFUR to Fura but a high uridine phosphorylase activity does not correlate with a high sensitivity to either 5'dFUR or FUra. OPRT appears to play an appreciable role in the sensitivity of several cell lines to both FUra and 5'dFUR.

AN 1986:61634 HCAPLUS <<LOGINID::20080324>>
DN 104:61634
OREF 104:9717a,9720a
TI Sensitivity of human, murine, and rat cells to 5-fluorouracil and 5'-deoxy-5-fluorouridine in relation to drug-metabolizing enzymes
AU Peters, Godefridus J.; Laurensse, Emile; Leyva, Albert; Lankelma, Jan; Pinedo, Herbert M.
CS Dep. Oncol., Free Univ. Hosp., Amsterdam, Neth.
SO Cancer Research (1986), 46(1), 20-8
CODEN: CNREA8; ISSN: 0008-5472
DT Journal
LA English

L22 ANSWER 10 OF 13 HCAPLUS COPYRIGHT 2008 ACS on STN
TI Tissue-specific enhancement of uridine utilization and 5-fluorouracil therapy in mice by benzylacyclouridine
GI



I

AB 5-Benzylacyclouridine (BAU)(I) [82857-69-0], a potent inhibitor of uridine phosphorylase [9030-22-2], delays the disappearance of uridine [58-96-8] from plasma, affects the utilization of uridine by selected tissues, and enhances the therapeutic effects of

5-fluorouracil (FUra) [51-21-8] in female C57BL/6 mice. A single 30-mg/kg i.v. injection of BAU lengthens the plasma half-life of both a tracer dose of [3H]uridine (3 μg/kg) and a pharmacol. dose of uridine (250 mg/kg) by 250 and 83%, resp. This dose of BAU also increases the normal plasma concentration of uridine about 4-fold to 9 μM and sustains these levels for 4 h. Four injections of BAU at 30 mg/kg over 6 h or a single injection at 240 mg/kg increases the plasma concentration of uridine over 10-fold to .apprx.50 μM. In addition to affecting the pharmacokinetics of uridine, a 30-mg/kg dose of BAU selectively increases up to 4-fold the ability of normal host tissues to salvage a tracer dose of [3H]uridine for nucleic acid biosynthesis, the uracil nucleotide pool size, and the incorporation of uridine into nucleic acids. However, uridine salvage from plasma by colon tumor 38 is increased only slightly by BAU, while the uracil nucleotide pool size and uridine incorporation into tumor nucleic acids are actually decreased by 15 and 37%. The selective effect of BAU on uridine utilization is reflected in the ability of BAU to modify FUra-induced host toxicity. The dose of FUra required to kill 50% of the treated normal mice (350 mg/kg) is modestly increased by "rescue" regimens consisting of the subsequent administration of repeated injections of either BAU alone (30 mg/kg/injection) or uridine alone (250 mg/kg/injection). However, an increase of 54% is achieved when repeated injections of the combination of BAU and uridine are administered. In C57BL/6 mice bearing advanced transplants of colon tumor 38, the period of tumor growth inhibition resulting from multiple courses of FUra-containing drug regimens can be increased by the delayed administration of BAU alone or BAU combined with uridine.

AN 1986:14629 HCAPLUS <<LOGINID::20080324>>
DN 104:14629
OREF 104:2381a,2384a
TI Tissue-specific enhancement of uridine utilization and 5-fluorouracil therapy in mice by benzylacyclouridine
AU Darnowski, James W.; Handschumacher, Robert E.
CS Sch. Med., Yale Univ., New Haven, CT, 06510, USA
SO Cancer Research (1985), 45(11, Pt. 1), 5364-8
CODEN: CNREA8; ISSN: 0008-5472
DT Journal
LA English

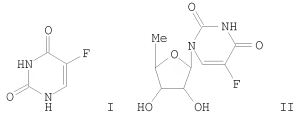
L22 ANSWER 11 OF 13 HCAPLUS COPYRIGHT 2008 ACS on STN
TI Potentiation of 5-fluoro-2'-deoxyuridine antineoplastic activity by the uridine phosphorylase inhibitors benzylacyclouridine and benzyloxybenzylacyclouridine
AB At a nontoxic concentration (50 μM), the 2 potent uridine phosphorylase [9030-22-2] inhibitors benzylacyclouridine [82857-69-0] and benzyloxybenzylacyclouridine (BBAU) [82857-75-8] potentiated 5-fluoro-2'deoxyuridine (FdUrd) [50-91-9]-induced growth inhibition of human pancreatic carcinoma (DAN) and, to a lesser extent, human lung carcinoma (LX-1) cells in culture. BBAU was more effective than benzylacyclouridine. BBAU (50 μM) enhanced the cytocidal effect of FdUrd (1 μM, 3 h) on DAN grown on soft agar from 75 to 88%. In antithymocyte serum-immunosuppressed mice bearing DAN, the mean tumor weight in animals treated with FdUrd (50 mg/kg/day for 2 days) was 11% less than that of untreated controls. When BBAU (10 mg/kg/day for 2 days) was coadministered, the mean tumor weight at day 10 was 78% less than untreated controls, with no apparent host toxicity, clearly demonstrating the potentiation of the antitumor effects of FdUrd by BBAU. The fact that DAN responded better than LX-1 to benzylacyclouridine and BBAU could be due, in part, to the lower relative activity of thymidine phosphorylase [9030-23-3] to uridine

phosphorylase in DAN compared to LX-1. The activities of other enzymes involved in FdUrd metabolism did not differ between the 2 cell lines.

AN 1984:416920 HCAPLUS <<LOGINID::20080324>>
DN 101:16920
OREF 101:2587a,2590a
TI Potentiation of 5-fluoro-2'-deoxyuridine antineoplastic activity by the uridine phosphorylase inhibitors benzylacyclouridine and benzyloxybenzylacyclouridine
AU Chu, Ming Yu W.; Naguib, Fardos N. M.; Iltzsch, Max H.; El Kouni, Mahmoud H.; Chu, Shih Hsi; Cha, Sungman; Calabresi, Paul
CS Div. Biol. Med., Brown Univ., Providence, RI, 02912, USA
SO Cancer Research (1984), 44(5), 1852-6
CODEN: CNREA8; ISSN: 0008-5472
DT Journal
LA English

L22 ANSWER 12 OF 13 HCAPLUS COPYRIGHT 2008 ACS on STN
TI Prodrugs: an approach to target-directed chemotherapy
AB The mechanism of action of 5'-deoxy-5-fluorouridine (I) [3094-09-5] is similar to that of 5-fluorouracil (II) [51-21-8] once this prodrug is converted to II and metabolized intracellularly to various II nucleotides. The therapeutic efficacy of I depends on quant. metabolic differences between normal and tumor tissues; i.e. the level of uridine phosphorylase [9030-22-2]. I differs from other II prodrugs in that it is selectively activated in target cells rich in nucleoside phosphorylase. In contrast to II, I showed no significant hematopoietic toxicity in rats following 7 days continuous exposure at therapeutic concns. In rats, treatment with II at nonlethal doses (25 mg/kg/d) which yielded plasma concns. of 135 ng/mL comparable to those achieved by infusion of I, only about 30% of the animals were tumor free as compared to 87% with II. When II doses were increased to 35 mg/kg/d, although the antitumor activity (87%) was comparable to that of I (at 500 and 250 mg/kg), 20% of the II treated animals died.
AN 1984:29256 HCAPLUS <<LOGINID::20080324>>
DN 100:29256
OREF 100:4471a,4474a
TI Prodrugs: an approach to target-directed chemotherapy
AU Rustum, Y. M.
CS Dep. Exp. Ther., Roswell Park Mem. Inst., Buffalo, NY, 14263, USA
SO Progress in Cancer Research and Therapy (1983), 28(Dev. Target-Oriented Anticancer Drugs), 119-28
CODEN: PCRTDK; ISSN: 0145-3726
DT Journal
LA English

L22 ANSWER 13 OF 13 HCAPLUS COPYRIGHT 2008 ACS on STN
TI Reduced toxicity of intravenous 5'-deoxy-5-fluorouridine (5'-DFUR) in comparison with 5-fluorouracil in rats
GI



AB Rats received daily i.v. injections of 5'-deoxy-5-fluorouridine (5'-DFUR)(I) [3094-09-5] in doses of 50, 150 and 300 mg/kg/day for 5 consecutive weeks. Similar groups received physiol. saline (controls) and 5-fluorouracil (5-Fu)(II) [316-46-1] i.v. in doses of 10 mg/kg/day for the first 2 wk and 20 mg/kg/day in weeks 3 and 4; 5-FU-treated rats remained free of test compound administration in week 5. 5-FU 10 mg/kg/day was well tolerated; 20 mg/kg/day caused immediate body weight loss, deterioration of general condition, alopecia, diarrhea, anemia, leukocytopenia, thrombocytopenia, proteinurea and death in several rats. Bone marrow examns. showed markedly reduced cellularity and megaloblastic cell line changes. In contrast, 50, 150 and 300 mg/kg/day of 5'-DFUR were generally well tolerated. Hematol. only mild to moderate redns. of red and white blood counts were noted in the rats given the highest dose. Pronounced anemia and leukocytopenia were only seen in two high dose rats. Histol. the bone marrow showed only minor degrees of depletion. The antineoplastic activities of 5'-DFUR are considered to be due to its conversion to 5-FU by the enzymes uridine phosphorylase .. Tumor cells contain higher uridine phosphorylase concns. than normal cells resulting in selective accumulation of 5-FU with distinctly reduced toxicity.
AN 1982:62645 HCAPLUS <<LOGINID::20080324>>
DN 96:62645
OREF 96:10167a,10170a
TI Reduced toxicity of intravenous 5'-deoxy-5-fluorouridine (5'-DFUR) in comparison with 5-fluorouracil in rats
AU Teelmann, Kampe
CS Biol. Pharm. Res. Dep., F. Hoffmann-La Roche and Co. Ltd., Basel, CH-4002, Switz.
SO Organ-Directed Toxic.: Chem. Indices Mech., Proc. Symp. (1981), 25-9. Editor(s): Brown, Stanley S.; Davies, Donald Selwyn. Publisher: Pergamon, Oxford, Engl.
CODEN: 46XDAG
DT Conference
LA English

=> d l23 1-9 ti abs bib
YOU HAVE REQUESTED DATA FROM FILE 'HCAPLUS' - CONTINUE? (Y)/N:y

L23 ANSWER 1 OF 9 HCAPLUS COPYRIGHT 2008 ACS on STN
TI Treatment of chemotherapeutic agent and antiviral agent toxicity with acylated pyrimidine nucleosides
AB Compds., compns., and methods are disclosed for treatment and prevention of toxicity due to chemotherapeutic agents and antiviral agents. Disclosed are acylated derivs. of nonmethylated pyrimidine nucleosides. These compds. are capable of attenuating damage to the hematopoietic system in animals receiving antiviral or antineoplastic chemotherapy.
AN 1999:670113 HCAPLUS <<LOGINID::20080324>>
DN 131:281604
TI Treatment of chemotherapeutic agent and antiviral agent toxicity with acylated pyrimidine nucleosides
IN Von Borstel, Reid; Bamat, Michael K.
PA Pro-Neuron, Inc., USA
SO U.S., 31 pp., Cont.-in-part U. S. Ser. 176,485.
CODEN: USXXAM
DT Patent

LA English
FAN.CNT 13

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE	
PI	US 5968914	A	19991019	US 1995-472210	19950607	<--
	EP 712629	A1	19960522	EP 1995-203050	19881027	<--
	EP 712629	B1	20030618			
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	JP 10001436	A	19980106	JP 1997-36734	19881027	<--
	JP 3474073	B2	20031208			
	JP 2001192335	A	20010717	JP 2000-379524	19881027	<--
	CA 2111571	A1	19930121	CA 1992-2111571	19920625	
	CA 2111571	C	20050823			
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	CA 2504078	C	20070828			
	ES 2160579	T3	20011116	ES 1992-914215	19920625	
	ZA 9204975	A	19930428	ZA 1992-4975	19920703	
	IN 175688	A1	19950812	IN 1992-CA473	19920706	
	US 5246708	A	19930921	US 1992-911379	19920713	<--
	US 5470838	A	19951128	US 1992-997657	19921230	<--
	US 5583117	A	19961210	US 1993-140475	19931025	<--
	US 6020320	A	20000201	US 1993-153163	19931117	<--
	US 5736531	A	19980407	US 1993-176485	19931230	<--
	IN 177670	A1	19970215	IN 1994-CA701	19940902	
	US 5770582	A	19980623	US 1995-419767	19950410	<--
	US 5691320	A	19971125	US 1995-465454	19950605	<--
	US 6054441	A	20000425	US 1995-463790	19950605	<--
	US 6060459	A	20000509	US 1995-465016	19950605	<--
	US 7307166	B1	20071211	US 1995-463771	19950605	<--
	US 6258795	B1	20010710	US 1995-466145	19950606	<--
	US 6316426	B1	20011113	US 1995-466144	19950606	<--
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	US 6274563	B1	20010814	US 1995-479349	19950607	<--
	US 6348451	B1	20020219	US 1995-478736	19950607	<--
	US 6919320	B1	20050719	US 1995-473331	19950607	<--
	CA 2223640	A1	19961219	CA 1996-2223640	19960606	
	WO 9640165	A1	19961219	WO 1996-US10067	19960606	
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	RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN					
	AU 9661114	A	19961230	AU 1996-61114	19960606	
	AU 724805	B2	20000928			
	EP 831849	A1	19980401	EP 1996-918461	19960606	
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	CN 1192149	A	19980902	CN 1996-195929	19960606	
	JP 10511689	T	19981110	JP 1997-502184	19960606	
	JP 2003201240	A	20030718	JP 2003-721	19960606	
	EP 1491201	A1	20041229	EP 2004-23557	19960606	
	EP 1491201	B1	20060322			
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	AT 320813	T	20060415	AT 2004-23557	19960606	
	ES 2257721	T3	20060801	ES 2004-23557	19960606	
	PT 1491201	T	20060831	PT 2004-23557	19960606	
	HK 1072897	A1	20060512	HK 2005-105421	19981003	
	US 2001025032	A1	20010927	US 1999-249790	19990216	<--
	US 6344447	B2	20020205			

	AU 9952624	A	19991202	AU 1999-52624	19991001	
	US 6743782	B1	20040601	US 2000-494242	20000131	<--
	AU 2002320811	A1	20030403	AU 2002-320811	20021223	
	US 2004033981	A1	20040219	US 2003-601863	20030624	<--
	US 2004192635	A1	20040930	US 2004-824501	20040415	<--
	US 2004220134	A1	20041104	US 2004-855835	20040528	<--
	AU 2005232288	A1	20051201	AU 2005-232288	20051110	
	JP 2006137772	A	20060601	JP 2005-380457	20051228	<--
	JP 2008019268	A	20080131	JP 2007-233452	20070907	<--
PRAI	US 1987-115923	B2	19871028	<--		
	US 1987-115929	B2	19871028	<--		
	US 1989-438493	B2	19890627	<--		
	US 1990-487984	B2	19900205	<--		
	US 1991-724340	B2	19910705			
	US 1992-903107	B2	19920625			
	US 1993-61381	B2	19930514			
	US 1993-176485	A2	19931230			
	US 1988-186031	B2	19880425	<--		
	EP 1988-910239	A3	19881027	<--		
	JP 1988-509176	A3	19881027	<--		
	JP 1994-303877	A3	19881027	<--		
	JP 2000-379524	A3	19881027	<--		
	US 1989-341925	B1	19890421	<--		
	US 1990-533933	B1	19900605	<--		
	US 1990-438493	B2	19900626	<--		
	US 1991-653882	B2	19910208			
	US 1991-737913	B3	19910729			
	CA 1992-2111571	A3	19920625			
	IN 1992-CA473	A1	19920706			
	US 1992-911379	A3	19920713			
	US 1992-925931	B2	19920807			
	US 1992-958598	B3	19921007			
	US 1992-987730	B2	19921208			
	US 1992-997657	A3	19921230			
	US 1993-96407	B1	19930726			
	US 1993-98884	B1	19930729			
	US 1993-153163	A1	19931117			
	US 1993-158799	B2	19931201			
	US 1994-266897	B3	19940701			
	US 1994-289214	A3	19940812			
	US 1995-419767	A3	19950410			
	US 1995-463740	A1	19950605			
	US 1995-472210	A	19950607			
	AU 1995-29150	A3	19950630			
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	WO 1996-US10067	W	19960606			
	HK 1998-111095	A3	19981003			
	AU 1999-52624	A3	19991001			
	US 2000-494242	A3	20000131			
	AU 2002-320811	A3	20021223			
	JP 2005-380457	A3	20051228			

RE.CNT 30 THERE ARE 30 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L23 ANSWER 2 OF 9 HCAPLUS COPYRIGHT 2008 ACS on STN

TI Methods of reducing toxicity of chemotherapeutic and antiviral agents with acylated non-methylated pyrimidine nucleosides

AB Compds., compns. and methods are disclosed for the treatment and prevention of toxicity due to chemotherapeutic agents and antiviral agents. Disclosed are acylated derivs. of non-methylated

pyrimidine nucleosides. These compds. are capable of attenuating damage to the hematopoietic system in animals receiving antiviral or antineoplastic chemotherapy. Oral administration of triacetyluridine ameliorated the hematol. toxicity of 5-fluorouracil. Triacetyluridine and uridine increased the therapeutic index of 5-fluorouracil in tumor-bearing mice. Amelioration of the adverse effects of e.g. AZT is also described.

AN 1997:141015 HCAPLUS <<LOGINID::20080324>>

DN 126:139905

TI Methods of reducing toxicity of chemotherapeutic and antiviral agents with acylated non-methylated pyrimidine nucleosides

IN Vonborstel, Reid W.; Bamat, Michael K.

PA Pro-Neuron, Inc., USA

SO PCT Int. Appl., 142 pp.
CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 13

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9640165	A1	19961219	WO 1996-US10067	19960606
	W: AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG				
	RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN				
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	US 5968914	A	19991019	US 1995-472210	19950607 <--
	AU 9661114	A	19961230	AU 1996-61114	19960606
	AU 724805	B2	20000928		
	EP 831849	A1	19980401	EP 1996-918461	19960606
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI				
	JP 10511689	T	19981110	JP 1997-502184	19960606
	AU 9952624	A	19991202	AU 1999-52624	19991001
	AU 2002320811	A1	20030403	AU 2002-320811	20021223
	AU 2005232288	A1	20051201	AU 2005-232288	20051110
PRAI	US 1995-472210	A	19950607		
	US 1987-115923	B2	19871028	<--	
	US 1987-115929	B2	19871028	<--	
	US 1989-438493	B2	19890627	<--	
	US 1990-487984	B2	19900205	<--	
	US 1991-724340	B2	19910705		
	US 1992-903107	B2	19920625		
	IN 1992-CA473	A1	19920706		
	US 1993-61381	B2	19930514		
	US 1993-176485	A2	19931230		
	AU 1995-29150	A3	19950630		
	WO 1996-US10067	W	19960606		
	AU 1999-52624	A3	19991001		
	AU 2002-320811	A3	20021223		

L23 ANSWER 3 OF 9 HCAPLUS COPYRIGHT 2008 ACS on STN

TI Antitumor characteristics of the conjugate of N4-(4-carboxybutyryl)-ara-C with ethylenediamine-introduced dextran and its resistance to cytidine deaminase

AB By oxidation of dextran, and reduction of the Schiff bases formed by reaction of the oxidized dextran with diaminoalkanes, several diaminoalkane-induced dextrans were prepared and evaluated as drug carriers. Conjugates between

N4-(4-carboxyburyryl)-1-β-D-arabinofuranosylcytosine (glu-ara-C) and such drug carriers were prepared, and selected conjugates were tested in vivo, and investigated for inhibitory effects on cytidine deaminase. Ethylenediamine-introduced dextran prepared under 10% oxidation conditions was found to be most useful as a drug carrier from its chemical characteristics and toxicity evaluation in BDF1 mice. The conjugate obtained from glu-ara-C and ethylenediamine-induced dextran 2000 showed high antitumor activity, significant at the relatively low dose of 100 mg equivalent ara-C/kg, in BDF1 mice bearing L1210 leukemia cells. Glu-ara-C and the conjugate were unaffected by cytidine deaminase under conditions in which 1-β-D-arabinofuranosylcytosine was degraded rapidly to 1-β-D-arabinofuranosyluracil.

AN 1991:421691 HCAPLUS <<LOGINID::20080324>>
DN 115:21691
TI Antitumor characteristics of the conjugate of N4-(4-carboxybutyryl)-ara-C with ethylenediamine-introduced dextran and its resistance to cytidine deaminase
AU Onishi, Hiraku; Pithayanukul, Pimolpan; Nagai, Tsuneji
CS Fac. Pharm. Sci., Hoshi Univ., Tokyo, Japan
SO Drug Design and Delivery (1990), 6(4), 273-80
CODEN: DDDEEJ; ISSN: 0884-2884
DT Journal
LA English

L23 ANSWER 4 OF 9 HCAPLUS COPYRIGHT 2008 ACS on STN
TI Reducing the side effects of a drug by antibody-targetting of antidotes
AB Drug antidotes are attached to antibodies which have affinity to cells which are not the drug target, therefore reducing the side effects of the drug. Attachment of the antibodies is preferably by way of liposomes. The antidotes are folinic acid, thymidine, deoxycytidine, uridine, etc. Unilamellar liposomes containing Na folinate are made, using egg phosphatidylcholine, cholesterol, and dipalmitoylphosphatidylethanolamine 3-(2-pyridyldithio)propionate (64:35:1 mol. ratio). To the lipsosomes were bound antibodies with affinity to bone marrow precursors of white blood corpuscles, using the method of J. Barbet, et al. (1981). The product, injected i.v. prior to methotrexate administration in cancer treatment, reduced the toxicity of methotrexate to the bone marrow.

AN 1991:136055 HCAPLUS <<LOGINID::20080324>>
DN 114:136055
TI Reducing the side effects of a drug by antibody-targetting of antidotes
IN Matsumura, Kenneth Naoyuki
PA USA
SO PCT Int. Appl., 16 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 2

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 9010460	A1	19900920	WO 1990-US1264	19900308 <--
W: AU, BG, BR, CA, DK, FI, HU, JP, KP, KR, LK, MC, MG, NO, RO, SD, SU				
RW: AT, BE, BF, BJ, CF, CG, CH, CM, DE, DK, ES, FR, GA, GB, IT, LU, ML, MR, NL, SE, SN, TD, TG				
AU 9053492	A	19901009	AU 1990-53492	19900308 <--
EP 464135	A1	19920108	EP 1990-905767	19900308 <--
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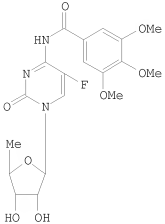
CN 1046464	A	19901031	CN 1990-101328	19900310 <--

	CN 1032190	B	19960703	
PRAI	US 1989-322209	A	19890313	<--
	WO 1990-US1264	A	19900308	<--

L23 ANSWER 5 OF 9 HCAPLUS COPYRIGHT 2008 ACS on STN

TI Comparative studies on the antitumor and immunosuppressive effects of the new fluorouracil derivative N4-trimethoxybenzoyl-5'-deoxy-5-fluorocytidine and its parent drug 5'-deoxy-5-fluorouridine

GI



I

AB N4-Trimethoxybenzoyl-5'-deoxy-5-fluorocytidine (Ro 09-1390) (I) a new prodrug of 5'-deoxy-5-fluorouridine (5'-dFUrd), was synthesized for the purpose of finding a drug with less intestinal toxicity than the parent compound The present study compared the antitumor activity and immunotoxicity of Ro 09-1390 with those of 5'-dFUrd, 5-fluorouracil (5-FUra) and tegafur in various transplantable tumor models. The antitumor efficacy of Ro 09-1390 was comparable to 5'-dFUrd and these two agents were much more effective than the others. However, Ro 09-1390 was much less toxic to the intestinal tract and less immunosuppressive in both humoral and cellular immune reactions than 5'-dFUrd. Consequently, Ro 09-1390 showed higher therapeutic indexes and higher efficacy than 5'-dFUrd, though it shows the efficacy after it converts to 5'-dFUrd. The activity of Ro 09-1390 was partly associated with cytidine deaminase in the tumors treated. Ro 09-1390 appeared to be more effective against tumors with a high concentration of the enzyme by which the major metabolite 5'-deoxy-5-fluorocytidine is metabolized to 5'-dFUrd.

AN 1990:470805 HCAPLUS <<LOGINID::20080324>>

DN 113:70805

TI Comparative studies on the antitumor and immunosuppressive effects of the new fluorouracil derivative N4-trimethoxybenzoyl-5'-deoxy-5-fluorocytidine and its parent drug 5'-deoxy-5-fluorouridine

AU Miwa, Masanori; Ishikawa, Tohru; Eda, Hiroyuki; Ryu, Mayumi; Fujimoto, Kaori; Ninomiya, Yasuyuki; Umeda, Isao; Yokose, Kazuteru; Ishitsuka, Hideo

CS Nippon Roche Res. Cent., Kamakura, 247, Japan

SO Chemical & Pharmaceutical Bulletin (1990), 38(4), 998-1003
CODEN: CPBTAL; ISSN: 0009-2363

DT Journal

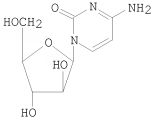
LA English

L23 ANSWER 6 OF 9 HCAPLUS COPYRIGHT 2008 ACS on STN
TI Reversal of deamination-related cytotoxicity of 5-methyl-2'-deoxycytidine by tetrahydrouridine in human leukemia cells
AB The present expts. were conducted to test the effects of the potent cytidine deaminase inhibitor tetrahydrouridine (THU) [18771-50-1] on the metabolism and cytotoxicity of 5-methyl-2'-deoxycytidine (5-Med-Cyd) [838-07-3] in several human leukemia cell lines in vitro. 5-Med-Cyd exerts its effects via deamination to thymidine [50-89-5], which is particularly toxic to human promyelocytic (HL-60) and T-cell (JM) leukemia cell lines in vitro. The deamination and the cytotoxicity of 5-Med-Cyd were effectively hindered by 10-3 M THU in 3-day cultures of HL-60 cells. Although the catabolism of [14C]5-Med-Cyd in the HL-60 cell cultures was blocked by THU, no radioactive 5-Med-Cyd was incorporated into DNA. The cytotoxicity and DNA incorporation of 5-fluoro-2-deoxycytidine [10356-76-0] are enhanced by THU. Unlike that compound 5-Med-Cyd resembled more 5-bromo-2-deoxycytidine [1022-79-3] and iododeoxycytidine [611-53-0]; THU decreases the toxicity of both of these deoxycytidine analogs.
AN 1985:17277 HCAPLUS <<LOGINID::20080324>>
DN 102:17277
OREF 102:2741a,2744a
TI Reversal of deamination-related cytotoxicity of 5-methyl-2'-deoxycytidine by tetrahydrouridine in human leukemia cells
AU Jekunen, Antti; Vilpo, Juhani A.
CS Dep. Clin. Chem., Univ. Oulu, Oulu, SF-90220/22, Finland
SO JNCI, Journal of the National Cancer Institute (1984), 73(5), 1087-91
CODEN: JJIND8; ISSN: 0198-0157
DT Journal
LA English

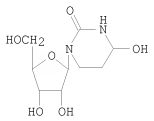
L23 ANSWER 7 OF 9 HCAPLUS COPYRIGHT 2008 ACS on STN
TI 1-β-D-Arabinofuranosylcytosine conjugates of corticosteroids as potential antitumor agents
AB The antitumor activity and toxicity of 2 new 1-β-D-arabinofuranosyl-cytosine (ara-C) conjugates of cortisol and corticosterone (linked through a phosphodiester bond between the 5'- and 21-positions of the resp. moieties), cortisol- [74517-55-8] and corticosterone-p-ara-C [74517-62-7]), were investigated in L1210 lymphoid leukemia cells in mice. They are highly active against both i.p.- and i.c.-implanted ara-C-sensitive lymphoid leukemia in mice, exceeding the activity produced by the parent drug, ara-C [147-94-4]. For example, corticosterone-p-ara-C increased the life spans by 306% at 50 mg/kg/day + 9 and 294% at 75 mg/kg/day + 9 of i.p.- and i.c.-inoculated L1210 leukemic mice, resp. The effectiveness of the conjugates seems to depend on the schedules of treatment. The 9-day continuous treatments showed a better therapeutic effectiveness than those with either a 5-day, a single, or a widely spaced (days 1, 5, and 9) treatment. However, they were found to be marginally effective against i.p.-implanted ara-C-resistant L1210 leukemia in mice. They were also inhibitory against proliferation of human leukemia-lymphoid cells in culture. Their superior antitumor activity and resistance to cytidine deaminase [9025-06-3] suggests that they serve as a prodrug form of ara-C or ara-CMP [7075-11-8].
AN 1983:569170 HCAPLUS <<LOGINID::20080324>>
DN 99:169170
OREF 99:25795a,25798a
TI 1-β-D-Arabinofuranosylcytosine conjugates of corticosteroids as potential antitumor agents
AU Hong, Chung I.; Nechaev, Alexander; Kirisits, Alan J.; Buchheit, David J.;

West, Charles R.
CS Dep. Neurosurg., Roswell Park Meml. Inst., Buffalo, NY, 14263, USA
SO European Journal of Cancer & Clinical Oncology (1983), 19(8), 1105-12
CODEN: EJCODS; ISSN: 0277-5379
DT Journal
LA English

L23 ANSWER 8 OF 9 HCAPLUS COPYRIGHT 2008 ACS on STN
TI Combinations of tetrahydrouridine and cytosine arabinoside in mouse tumors
GI



I



II

AB Thirteen exptl. mouse neoplasms were tested for cytidine deaminase [9025-06-3] and deoxycytidine kinase ((dCR)-kinase) [9039-45-6] levels. Four neoplasms, sarcoma T241, adenocarcinoma E0771, Lewis lung carcinoma (LL), and sarcoma 180 Japan (S180J), considered to have high deaminase and sufficient dCR-kinase activities, were tested in vivo for combination chemotherapy with cytosine arabinoside (I) [147-94-4] and the CR-deaminase inhibitor, tetrahydrouridine (II) [18771-50-1]. II did not significantly improve the growth inhibition of I in a wide range of combinations in T241, E0771, LL, and the solid form of S180J, but more than doubled the survival time of the S180J ascites-bearing animals. Toxicity in the form of weight loss and toxic deaths was observed in some but not all groups, especially at high dosages of I and II. Tissue distribution of [3H]-I and [14C]-II in T241-bearing mice revealed an accelerated clearance of I-derived radioactivity under the influence of II in the tumor and 5 host tissues, but not in the small intestines. With the exception of the small intestines, clearance of II-derived radioactivity was faster in all tissues studied compared to the clearance of [3H]-I-derived radioactivity. Intracellular cytidine deaminase levels were inhibited significantly, i.e., dose-dependently, in tumor and host kidney after a single i.p. injection of II to E0771-bearing mice. In the solid S180J, with or without simultaneous i.p. administration of II, [3H]-I was not converted to 5'-di- and tri-phosphates at all. In mice bearing the ascites form of S180J, [3H]-I was extensively converted to I 5'-di- and tri-phosphates. II increased both overall I-derived radioactivity and the relative amts. of I 5'-di- and tri-phosphates.
AN 1978:332 HCAPLUS <<LOGINID::20080324>>
DN 88:332
OREF 88:67a,70a
TI Combinations of tetrahydrouridine and cytosine arabinoside in mouse tumors
AU Kreis, Willi; Hession, Catherine; Soricelli, Angela; Scully, Kevin
CS Lab. Biochem. Pharmacol., Mem. Sloan-Kettering Cancer Cent., Rye, NY, USA
SO Cancer Treatment Reports (1977), 61(7), 1355-64
CODEN: CTRRDO; ISSN: 0361-5960
DT Journal

LA English

L23 ANSWER 9 OF 9 HCAPLUS COPYRIGHT 2008 ACS on STN
TI Effect of inhibition of cytidine deaminase by tetrahydrouridine on the utilization of deoxycytidine and 5-bromodeoxycytidine for deoxyribonucleic acid synthesis
AB The effect of cytidine deaminase activity on the use of deoxycytidine and 5-bromodeoxycytidine for DNA synthesis in normal and neoplastic mouse tissues was investigated using tetrahydrouridine to inhibit cytidine deaminase in vivo. Tetrahydrouridine increased .apprx.3-fold the incorporation of deoxycytidine into the DNA of 2 transplantable lymphomas, a mammary adenocarcinoma, and bone marrow. The use of deoxycytidine for DNA synthesis was also increased by tetrahydrouridine in mouse testes, but not in the spleen or small intestine. The toxicity of 5-fluorodeoxycytidine was similarly increased by inhibition of cytidine deaminase. In contrast to the effect of tetrahydrouridine on deoxycytidine, the incorporation of 5-bromodeoxycytidine into DNA was decreased .apprx.74% by inhibition of cytidine deaminase with tetrahydrouridine. This suggests that the incorporation of 5-bromodeoxycytidine into DNA proceeds mainly by deamination of the nucleoside to 5-bromodeoxyuridine, followed by phosphorylation to 5-bromodeoxyuridylate, rather than the alternative pathway proceeding by phosphorylation of 5-bromodeoxycytidine to 5-bromodeoxycytidylate, followed by deamination of the nucleotide to 5-bromodeoyuridylate.
AN 1974:35471 HCAPLUS <<LOGINID::20080324>>
DN 80:35471
OREF 80:5829a,5832a
TI Effect of inhibition of cytidine deaminase by tetrahydrouridine on the utilization of deoxycytidine and 5-bromodeoxycytidine for deoxyribonucleic acid synthesis
AU Cooper, Geoffrey M.; Greer, Sheldon
CS Dep. Biochem., Univ. Miami, Coral Gables, FL, USA
SO Molecular Pharmacology (1973), 9(6), 698-703
CODEN: MOPMA3; ISSN: 0026-895X
DT Journal
LA English

=> d l24 1-24 ti abs bib
YOU HAVE REQUESTED DATA FROM FILE 'HCAPLUS' - CONTINUE? (Y)/N:y

L24 ANSWER 1 OF 24 HCAPLUS COPYRIGHT 2008 ACS on STN
TI Potentiation of the antitumor effect of methotrexate by dipyridamole
AB The cytotoxicity of antimetabolites to mammalian cells can be reversed by exogenous nucleosides. Dipyridamole (DP), a nucleoside transport inhibitor, can block the reversal effect, thus potentiating the cytotoxicity of antimetabolites to tumor cells. The potentiation of antimetabolites by DP in vivo has not yet been reported. In this study, thymidine and hypoxanthine markedly reversed the cytotoxicity of methotrexate (MTX) to murine leukemia L1210 cells, and DP effectively blocked the reversal in vitro. In combination with amphotericin B (AmB), DP enhanced the inhibitory effect of MTX on sarcoma 180 in mice without increased toxicity. This combination may be useful in cancer chemotherapy.
AN 1989:417278 HCAPLUS <<LOGINID::20080324>>
DN 111:17278

TI Potentiation of the antitumor effect of methotrexate by dipyridamole
AU Cao, Shousong; Zhen, Yongsu
CS Inst. Med. Biotechnol., Chin. Acad. Med. Sci., Beijing, Peop. Rep. China
SO Zhongguo Yixue Kexueyuan Xuebao (1989), 11(1), 7-12
CODEN: CIHPDR; ISSN: 1000-503X
DT Journal
LA Chinese

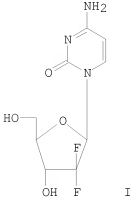
L24 ANSWER 2 OF 24 HCAPLUS COPYRIGHT 2008 ACS on STN
TI Augmentation of 1-β-D-arabinofuranosylcytosine cytotoxicity in human tumor cells by inhibiting drug efflux
AB Dipyridamole is a potent inhibitor of membrane nucleoside transport into mammalian cells. Since the membrane transporter mediates both the influx and the efflux of nucleosides, dipyridamole may block nucleoside efflux from cells as well. In human ovarian carcinoma cells (2008) and promyelocytic leukemic cells (HL60), the sequential treatment with 20 μM dipyridamole 2 h after their initial exposure to varying concns. of 1-β-D-arabinofuranosylcytosine (ara-C) increased the cytotoxicity of this nucleoside analog by 100-300% at all drug concns. tested. In washout expts. in which cells were exposed to radiolabeled ara-C for 2 h and reincubated in fresh medium, the presence of 20 μM dipyridamole in the reincubation medium elevated levels of intracellular radioactivity at the end of a 24-h period. HPLC analyses of cellular nucleotide pools during this 24-h period revealed that cells treated with the sequential ara-C/dipyridamole regimen had 2-3-fold higher levels of ara-CTP at all time-points studied. Using alkaline elution assays, a 30% increase in DNA strand breaks was found in cells treated with ara-C followed by dipyridamole when compared to cells treated with ara-C alone, while dipyridamole alone did not produce DNA lesions. The ara-C resistance in tumor cells is associated with either the natural substrates competing with ara-C for phosphorylation and incorporation into macromols. or increased catabolism of the parent drug. Sequential exposure regimens may overcome such tumor resistance by increasing the cellular pools of ara-C and its metabolites. A 2nd advantage to the sequential regimen is that the prolonged retention of ara-C in non-S-phase cells may improve its efficacy. The applicability of such regimens in treating human cancer awaits the results from preclin. efficacy and toxicity trials.
AN 1989:417243 HCAPLUS <<LOGINID::20080324>>
DN 111:17243
TI Augmentation of 1-β-D-arabinofuranosylcytosine cytotoxicity in human tumor cells by inhibiting drug efflux
AU Chan, Thomas C. K.
CS Sch. Vet. Med., Purdue Univ., West Lafayette, IN, 47907, USA
SO Cancer Research (1989), 49(10), 2656-60
CODEN: CNREA8; ISSN: 0008-5472
DT Journal
LA English

L24 ANSWER 3 OF 24 HCAPLUS COPYRIGHT 2008 ACS on STN
TI Biochemical assessment of the effects of acivicin and dipyridamole given as a continuous 72-hour intravenous infusion
AB Since this Phase I trial was based on a strategy of biochem. modulation, namely, the inhibition of nucleoside uptake by dipyridamole, a biochem. assessment of the actions of acivicin and dipyridamole was undertaken in order to aid the interpretation of the clin. findings. At the maximally tolerated dose of dipyridamole (23.1 mg/kg/72 h), the steady-state concns. of total and free dipyridamole averaged 11.9 μM and 27.8 nM, resp. These levels were sufficient to inhibit cytidine (1 μM) uptake by >50% in the lymphocytes of 5 of 6 patients so treated. Using lymphocytes obtained from normal volunteers

the concentration of free dipyridamole needed to inhibit the uptake of 1 μM cytidine by 50% averaged 13.8 nM. The plasma levels of α1-acid glycoprotein, which tightly binds dipyridamole, ranged 60-300 mg/dL in the patients in this study. As a consequence there were wide variations in the percentage of dipyridamole present as the unbound, pharmacol. active form and in the rates of dipyridamole clearance. The decreased rate of dipyridamole clearance seen in patients with high levels of α1-acid glycoprotein resulted in higher plasma concns. of total dipyridamole and compensated for the reduced fraction of free drug. Therefore, the plasma concentration of free dipyridamole varied much less than the total drug concentration in these patients. CTP synthetase activity was inhibited in peripheral mononuclear cells in a time-dependent fashion by >5% in 7 of 13 evaluable courses; GMP synthetase was similarly inhibited in only 3 of 10 cases. CTP pool redns. of 30-50% were seen in lymphocytes from 9 of 19 cases, but in only 4 cases was the inhibition >50%. Similarly, in 6 of 19 courses GTP pool reduction of 30-50% was evident, and in 4 of 19 cases the inhibition was >50%. Considering data from all courses, drug therapy did not reduce any of the ribonucleoside triphosphate pools. Apparently, blood levels of dipyridamole sufficient to inhibit nucleoside salvage can be achieved in vivo; however, the lack of a consistent, pronounced effect of acivicin on de novo nucleotide biosynthesis precludes anal. of the role of salvage in modulating the toxicity of acivicin in vivo.

AN 1988:563097 HCAPLUS <<LOGINID::20080324>>
DN 109:163097
TI Biochemical assessment of the effects of acivicin and dipyridamole given as a continuous 72-hour intravenous infusion
AU Fischer, Paul H.; Willson, James K. V.; Risueno, Concepcion; Tutsch, Kendra; Bruggink, Joan; Ranhosky, Alan; Trump, Donald L.
CS Clin. Cancer Cent., Univ. Wisconsin, Madison, WI, 53792, USA
SO Cancer Research (1988), 48(19), 5591-6
CODEN: CNREA8; ISSN: 0008-5472
DT Journal
LA English

L24 ANSWER 4 OF 24 HCAPLUS COPYRIGHT 2008 ACS on STN
TI Comparison of the cellular pharmacokinetics and toxicity of 2',2'-difluorodeoxycytidine and 1-β-D-arabinofuranosylcytosine
GI



I

AB The cellular metabolism and cytotoxic properties of 2',2'-difluorodeoxycytidine (dFdC) (I) and 1-β-D-arabinofuranosylcytosine (ara-C) were compared in Chinese hamster ovary cells. In wild-type cells, dFdC was more cytotoxic than ara-C after both 4- and 18-h incubations. The 5'-triphosphate of dFdC (dFdCTP) was the major cellular metabolite (85-90%), reaching cellular concns. up to 20-fold greater than those observed for ara-C 5'-triphosphate at equimolar concns. of the parent drug. A deoxycytidine kinase-deficient mutant neither accumulated dFdCTP nor showed any cytotoxic response up to drug concns. of 100 μm. The cytotoxicity of dFdC could be competitively reversed by deoxycytidine, further suggesting that dFdC, like ara-C, required phosphorylation by deoxycytidine kinase for biol. activity. Several explanations for the different cellular accumulation of the drug triphosphates were established: (a) nucleoside transport studies demonstrated that the membrane permeation of dFdC was 65% more rapid than that of ara-C; (b) deoxycytidine kinase had a higher affinity for dFdC (Km = 3.6 μM) than for ara-C (Km = 8.8 μM), while the Km for deoxycytidine was 1.4 μM; (c) the elimination of intracellular dFdCTP was biphasic with t1/2α = 3.9 and t1/2β > 16 h while the degradation of ara-CTP was monophasic and significantly faster (t1/2 = 0.7 h). The comparatively long half-life of dFdCTP was related to the prolonged inhibition of DNA synthesis after removal of exogenous nucleoside. Together these factors contribute to the more potent cytotoxicity of dFdC compared with ara-C.
AN 1988:522089 HCAPLUS <<LOGINID::20080324>>
DN 109:122089
TI Comparison of the cellular pharmacokinetics and toxicity of 2',2'-difluorodeoxycytidine and 1-β-D-arabinofuranosylcytosine
AU Heinemann, Volker; Hertel, Larry W.; Grindey, Gerald B.; Plunkett, William
CS Dep. Oncol., Univ. Texas, Houston, TX, 77030, USA
SO Cancer Research (1988), 48(14), 4024-31
CODEN: CNREA8; ISSN: 0008-5472
DT Journal
LA English

L24 ANSWER 5 OF 24 HCAPLUS COPYRIGHT 2008 ACS on STN
TI Prevention of 1-beta-D-arabinofuranosylcytosine toxicity by 4-nitrobenzyl-6-thioinosine or dipyridamole in human leukemia cell lines
AB The ability of the nucleoside transport inhibitors, 4-nitrobenzyl-6-thioinosine (NBTI) and dipyridamole (DP) to prevent Ara-C toxicity was evaluated in 2 human leukemia cell lines, Molt 4 and HL-60. At non-toxic concns., DP (in Molt 4 and HL-60) and NBTI (only in Molt 4) provided significant protection, whereas HL-60 was quite insensitive to NBTI. The different response of these 2 cell lines to NBTI and DP was also noted in the toxicity of other nucleoside analogs, including Ara-A, 2'-chlorodeoxyadenosine, tubercidin and 5'bromodeoxyuridine. A transport study of [3H]-Ara-C revealed that NBTI partially inhibited the drug entry into HL-60 cells, which correlated well with Ara-CTP generation.
AN 1988:522086 HCAPLUS <<LOGINID::20080324>>
DN 109:122086
TI Prevention of 1-beta-D-arabinofuranosylcytosine toxicity by 4-nitrobenzyl-6-thioinosine or dipyridamole in human leukemia cell lines
AU Kubota, Masaru; Takimoto, Tetsuya; Kitoh, Toshiyuki; Tanizawa, Akihiko; Kiriyama, Yukio; Akiyama, Yuichi; Mikawa, Haruki
CS Dep. Pediatr., Kyoto Univ., Kyoto, 606, Japan
SO Anticancer Research (1988), 8(3), 339-42
CODEN: ANTRD4; ISSN: 0250-7005
DT Journal
LA English

L24 ANSWER 6 OF 24 HCAPLUS COPYRIGHT 2008 ACS on STN
TI Potentiation of quinazoline antifolate (CB3717) toxicity by dipyridamole in human lung carcinoma, A549, cells
AB A potent quinazoline antifolate inhibitor of thymidylate synthase, CB37178 inhibited the growth of A549 human lung carcinoma cells, with a 50% inhibitory concentration (IC50) of 2.74 μM. The nucleoside transport inhibitor, dipyridamole, at a nontoxic concentration of 1 μM, inhibited [3H]thymidine uptake/incorporation by >95% and reduced the 50% inhibitory concentration of CB3717 to 0.98 μM. Elimination of salvageable thymidine by the use of dialyzed serum also enhanced CB3717 toxicity. Since dipyridamole was equally effective in the presence or absence of dialyzed serum and was more effective than dialyzed serum alone, inhibition of nucleoside efflux may be an important aspect of its potentiation. Efflux of [5-3H]deoxyuridine was inhibited by 89% and [3H]thymidine efflux by 61% in the presence of 1 μM dipyridamole. Inhibition of thymidylate synthase increases the deoxyuridine nucleotide; thymidine nucleotide pool ratio. Dipyridamole could exacerbate the nucleotide pool imbalance caused by CB3717, thereby potentiating its toxicity.
AN 1988:447971 HCAPLUS <<LOGINID::20080324>>
DN 109:47971
TI Potentiation of quinazoline antifolate (CB3717) toxicity by dipyridamole in human lung carcinoma, A549, cells
AU Curtin, Nicola J.; Harris, Adrian L.
CS R. Victoria Infirm., Univ. Newcastle upon Tyne, Newcastle upon Tyne, NE1 4LP, UK
SO Biochemical Pharmacology (1988), 37(11), 2113-20
CODEN: BCPCA6; ISSN: 0006-2952
DT Journal
LA English

L24 ANSWER 7 OF 24 HCAPLUS COPYRIGHT 2008 ACS on STN
TI Characterization of conditions in which dipyridamole enhances methotrexate toxicity in L1210 cells
AB In vitro studies in exponentially growing L1210 cells utilizing DNA flow cytometry and cell proliferation measurements indicate enhancement of methotrexate effects by dipyridamole provided: (a) Methotrexate concns. exceed those required to shut off maximally de novo pathways of purine and pyrimidine synthesis (i.e. 30 nM for 48h), and (b) Dipyridamole concns. exceed 3 μM. In 10% fetal calf serum, this concentration inhibits tritiated thymidine uptake by .apprx.80%. These data should prove helpful in the planning of clin. studies with dipyridamole or other inhibitors of nucleoside transport used to potentiate inhibitors of de novo pathways.
AN 1987:526603 HCAPLUS <<LOGINID::20080324>>
DN 107:126603
OREF 107:20303a,20306a
TI Characterization of conditions in which dipyridamole enhances methotrexate toxicity in L1210 cells
AU Muggia, Franco M.; Slowiaczek, Peter; Tattersall, Martin H. N.
CS Compr. Cancer Cent., Univ. South. California, Los Angeles, CA, 20033, USA
SO Anticancer Research (1987), 7(2), 161-6
CODEN: ANTRD4; ISSN: 0250-7005
DT Journal
LA English

L24 ANSWER 8 OF 24 HCAPLUS COPYRIGHT 2008 ACS on STN
TI Augmentation of methotrexate cytotoxicity in human colon cancer cells achieved through inhibition of thymidine salvage by dipyridamole
AB In HCT 116 cells, a human colon cancer cell line, the levels of thymidine [50-89-5] (0.6 μM) and hypoxanthine [68-94-0] (9 μM)

contributed to the tissue culture medium by the fetal bovine serum significantly reduced the growth inhibition and lethality produced by 0.1 μM methotrexate [59-05-2]. Dipyridamole [58-32-2], an inhibitor of nucleoside transport, potentiated the growth inhibitory effects of methotrexate when the cells were grown in medium that was changed daily. However, when the medium was supplemented with dialyzed serum, methotrexate cytotoxicity was not increased by dipyridamole. Similarly, in cloning expts., dipyridamole increased the cell killing produced by methotrexate. The potentiation of methotrexate toxicity produced by dipyridamole was mediated through inhibition of thymidine uptake. The uptake of 1 μM thymidine was inhibited 50% by 0.12 μM dipyridamole but neither hypoxanthine nor guanine [73-40-5] uptake was decreased by dipyridamole (5 μM). As a result, the decrease in dTTP [365-08-2] pools produced by methotrexate was augmented by dipyridamole. In contrast, dipyridamole did not influence the effect of methotrexate on ribonucleoside triphosphate pools. HCT 116 cells avidly salvaged low concns. of thymidine, and methotrexate increased this capacity. Conversion of 0.11 μM thymidine to thymidine triphosphate [365-08-2] was increased by 55%, from 16.6 to 25.7 pmoles/106 cells, following exposure to 1.0 μM methotrexate. Dipyridamole blocked this pool expansion. This study suggests that the salvage of physiol. levels of thymidine may diminish the cytotoxic effects of methotrexate on human colon cancer cells. Inhibition of thymidine uptake by dipyridamole may be an effective strategy to increase the cytotoxicity of methotrexate.

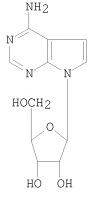
AN 1987:207325 HCAPLUS <<LOGINID::20080324>>
DN 106:207325
OREF 106:33453a,33456a
TI Augmentation of methotrexate cytotoxicity in human colon cancer cells achieved through inhibition of thymidine salvage by dipyridamole
AU Van Mouwerik, Timothy J.; Pangallo, Cynthia A.; Willson, James K. V.; Fischer, Paul H.
CS Sch. Med., Univ. Wisconsin, Madison, WI, 53792, USA
SO Biochemical Pharmacology (1987), 36(6), 809-14
CODEN: BCPCA6; ISSN: 0006-2952
DT Journal
LA English

L24 ANSWER 9 OF 24 HCAPLUS COPYRIGHT 2008 ACS on STN
TI Alteration of fluorouracil metabolism in human colon cancer cells by dipyridamole with a selective increase in fluorodeoxyuridine monophosphate levels
AB The nucleoside transport inhibitor dipyridamole [58-32-2] can increase the cytotoxicity of 5-fluorouracil in a human colon cancer cell line (HCT 116) without affecting the total amount of fluorouracil incorporated into the acid soluble and insol. fractions. Dipyridamole altered the pattern of fluorouracil [51-21-8] metabolism and provided a selective increase in intracellular fluorodeoxyuridine monophosphate (FdUMP) [134-46-3] levels. At 2 and 4 h after exposure to fluorouracil and dipyridamole, FdUMP levels were approx. 5-fold higher in the presence of dipyridamole. The ratio of FdUMP to fluorouridine triphosphate [3828-96-4] at 4 h was substantially increased in the presence of dipyridamole compared to fluorouracil alone. In cells preloaded with fluorodeoxyuridine (FdUrd) [50-91-9], dipyridamole potently inhibited the efflux of FdUrd, leading to an increased retention of intracellular FdUMP. One h following removal of [6-3H]FdUrd, the FdUMP levels were increased 8-fold in the presence of dipyridamole, and the half-life of intracellular FdUMP was increased from 24 to 78 min. It was previously shown that the addition of sufficient thymidine (25 μM) can prevent the augmentation of fluorouracil toxicity produced by dipyridamole. In these studies, the addition of 25 μM thymidine reduced

the FdUMP levels to less than half of those measured in the presence of fluorouracil plus dipyridamole for the first 8 h of exposure, and reduced the FdUMP levels to 6% of the FdUMP levels seen with fluorouracil and dipyridamole after 24 h of exposure. Thymidine prevented the enhanced intracellular retention of FdUMP produced by dipyridamole in cells preloaded with FdUrd. In addition, thymidine inhibited the accumulation of FdUMP in cells exposed to FUrd. In cancer cells which significantly catabolize FdUMP, the ability of dipyridamole to block the efflux of FdUrd may provide an effective means of selectively increasing FdUMP levels and enhancing the toxicity of fluorouracil. Furthermore, dipyridamole blocked the efflux of deoxyuridine and prolonged the intracellular half-life of deoxyuridine monophosphate. In cells prelabeled with [2'-3H]dUrd, transfer of tritium to FdUrd and FdUMP occurred in cells exposed to fluorouracil and dipyridamole. These data suggest that blockade of nucleoside efflux can enhance the availability of deoxyribose-1-phosphate donors for the synthesis of FdUrd. Thus, dipyridamole's ability to inhibit nucleoside transport can perturb the metabolism of a nucleobase, fluorouracil.

AN 1987:43581 HCAPLUS <<LOGINID::20080324>>
DN 106:43581
OREF 106:7097a,7100a
TI Alteration of fluorouracil metabolism in human colon cancer cells by dipyridamole with a selective increase in fluorodeoxyuridine monophosphate levels
AU Grem, Jean L.; Fischer, Paul H.
CS Clin. Cancer Cent., Univ. Wisconsin, Madison, WI, 53792, USA
SO Cancer Research (1986), 46(12, Pt. 1), 6191-9
CODEN: CNREA8; ISSN: 0008-5472
DT Journal
LA English

L24 ANSWER 10 OF 24 HCAPLUS COPYRIGHT 2008 ACS on STN
TI Selective protection of tubercidin toxicity by nitrobenzylthioinosine in normal tissues but not in human neuroblastoma cells
GI



I

AB Tubercidin (I) [69-33-0], an adenosine analog, is toxic to human neuroblastoma cell lines, to peripheral blood mononuclear cells (PBMCs), and to myeloid colony-foring cells (CFU-C) as tested by a short-term labeled precursor uptake and by a clonogenic assay. With the addition of a

potent purine transport inhibitor, nitrobenzylthioinosine (NBTI) [38048-32-7], the cytotoxic effect of tubercidin was abolished in PBMCs but not in neuroblastoma cells. Studies of nucleoside transport in neuroblastoma cells demonstrate that although [3H]NBTI binds to the plasma membrane of these cells, the transport of thymidine [50-89-5] into the cells is only partially inhibited in the presence of excess NBTI. These data imply that neuroblastoma cells contain a nucleoside transport mechanism which is insensitive to NBTI. Host protection with a nucleoside transport inhibitor such as NBTI, may allow effective therapy with otherwise toxic dosages of tubercidin and other cytotoxic nucleosides in patients with neuroblastoma.

AN 1986:564572 HCAPLUS <<LOGINID::20080324>>
DN 105:164572
OREF 105:26361a,26364a
TI Selective protection of tubercidin toxicity by nitrobenzylthioinosine in normal tissues but not in human neuroblastoma cells
AU Kaplinsky, Chaim; Yeger, Herman; Estrov, Zeev; Barankiewicz, Jerzy; Pawlin, Gladys; Freedman, Melvin H.; Cohen, Amos
CS Res. Inst., Hosp. Sick Child., Toronto, ON, M5G 1X8, Can.
SO Cancer Chemotherapy and Pharmacology (1986), 17(3), 264-8
CODEN: CCPHDZ; ISSN: 0344-5704
DT Journal
LA English

L24 ANSWER 11 OF 24 HCAPLUS COPYRIGHT 2008 ACS on STN
TI Modulation of fluorouracil metabolism and cytotoxicity by nitrobenzylthioinosine
AB The nucleoside transport inhibitor nitrobenzylthiolinosine (I) [38048-32-7] augmented the toxicity of fluorouracil (II) [51-21-8] in a human colon cancer cell line (HCT 116). Furthermore, I produced a selective 3-fold increase in intracellular fluorodeoxyuridine monophosphate (FdUMP) [134-46-3], a potent inhibitor of thymidylate synthetase [9031-61-2], which can prevent the formation of deoxythymidine monophosphate and subsequently interfere with DNA synthesis. The mechanism by which I increases the levels of FdUMP appears to be blockade of the efflux of fluorodeoxyuridine [50-91-9]. Thus, nucleoside transport inhibitors may provide a novel means of enhancing the cytotoxicity of II through increased FdUMP accumulation.
AN 1986:526954 HCAPLUS <<LOGINID::20080324>>
DN 105:126954
OREF 105:20325a,20328a
TI Modulation of fluorouracil metabolism and cytotoxicity by nitrobenzylthioinosine
AU Grem, Jean L.; Fischer, Paul H.
CS Clin. Cancer Cent., Univ. Wisconsin, Madison, WI, 53792, USA
SO Biochemical Pharmacology (1986), 35(16), 2651-4
CODEN: BCPCA6; ISSN: 0006-2952
DT Journal
LA English

L24 ANSWER 12 OF 24 HCAPLUS COPYRIGHT 2008 ACS on STN
TI Effects of nucleoside transport inhibitors on the salvage and toxicity of adenosine and deoxyadenosine in L1210 and P388 mouse leukemia cells
AB Incubation of deoxycoformycin [53910-25-1]-treated L1210 leukemia cells with dipyridamole [58-32-2] or nitrobenzylthioinosine [38048-32-7], inhibitors of nucleoside transport, enhanced the long-term incorporation of 2'-deoxyadenosine [958-09-8] and adenosine

[58-61-7] into the nucleotide pool and the toxicity of 2'-deoxyadenosine to the cells. In contrast, 2'-deoxyadenosine uptake in deoxycoformycin-treated P388 leukemia cells, which was about 10 times greater than that in L1210 cells, was inhibited by dipyridamole and nitrobenzylthionosine, and 2'-deoxyadenosine toxicity was not significantly affected by the transport inhibitors. P388 cells also were about 6 times more resistant to 2'-deoxyadenosine than were L1210 cells, in spite of the greater uptake of the nucleoside. Purine nucleoside transport in L1210 and P388 cells exhibited similar kinetic properties and sensitivity to dipyridamole and nitrobenzylthioinosine (both influx and efflux) and the stimulation of 2'-deoxyadenosine uptake by the inhibitors in L1210 cells is not mediated at the level of its transport into the cells but rather reflects an enhanced intracellular net accumulation of deoxyadenosine nucleotides.
AN 1986:14682 HCAPLUS <<LOGINID::20080324>>
DN 104:14682
OREF 104:2393a,2396a
TI Effects of nucleoside transport inhibitors on the salvage and toxicity of adenosine and deoxyadenosine in L1210 and P388 mouse leukemia cells
AU Plagemann, Peter G. W.; Wohlhueter, Robert M.
CS Dep. Microbiol., Univ. Minnesota, Minneapolis, MN, 55455, USA
SO Cancer Research (1985), 45(12, Pt. 1), 6418-24
CODEN: CNREA8; ISSN: 0008-5472
DT Journal
LA English

L24 ANSWER 13 OF 24 HCAPLUS COPYRIGHT 2008 ACS on STN
TI Differential sensitivity of RSVts (temperature-sensitive Rous-sarcoma virus)-infected rat kidney cells to nucleoside antibiotics at permissive and non-permissive temperatures
AB Among a variety of antitumor agents tested, oxanosine [80394-72-5] and 5-azacytidine [320-67-2] were more effective in inhibiting growth of rat kidney cells infected with a temperature-sensitive mutant of Rous sarcoma virus at a permissive temperature (33°) than at a nonpermissive temperature (39°). These 2 nucleoside antibiotics were antagonistic to each other and seemed to share the same carrier-mediated membrane-transport system, because dipyridamole, a potent inhibitor of nucleoside transport, protected cells from the cytotoxicity of both drugs. Thymidine [50-89-5] transport, which is twice as fast in cells at 33° as at 39°, was competitively inhibited by both drugs. Thus, the differential toxicity of oxanosine and 5-azacytidine at the 2 temps. may be due to their increased transport via the thymidine-transport system, which is somehow under the influence of the active src-gene product.
AN 1986:398 HCAPLUS <<LOGINID::20080324>>
DN 104:398
OREF 104:67a,70a
TI Differential sensitivity of RSVts (temperature-sensitive Rous-sarcoma virus)-infected rat kidney cells to nucleoside antibiotics at permissive and non-permissive temperatures
AU Uehara, Yoshimasa; Hasegawa, Masami; Hori, Makoto; Umezawa, Hamao
CS Inst. Microb. Chem., Tokyo, 141, Japan
SO Biochemical Journal (1985), 232(3), 825-31
CODEN: BIJOAK; ISSN: 0306-3275
DT Journal
LA English

L24 ANSWER 14 OF 24 HCAPLUS COPYRIGHT 2008 ACS on STN
TI Protection of cells by nucleoside transport inhibitor combined with nebularine and therapeutic effect against transplantable

mouse tumors
AB Nucleoside transport inhibitors nitrobenzyldeoxyadenosine (NBdAdo) [56527-33-4] at 0.1-5 μm or dilazep [35898-87-4] at 5-20 μM effectively protected S49 cells against nebularine [550-33-4] cytotoxic effects. The tolerance against nebularine toxicity in mice pretreated with NBdAdo or dilazep was doubled. When NBdAdo or dilazep combined with a LD of nebularine was used, the therapeutic effect was greatly enhanced against some transplantable mouse tumors, the most marked of which was Ehrlich ascites carcinoma. The activity of serum amylase and glutamic-pyruvic transaminase in the mice was greatly elevated but that of alkaline phosphatase was reduced by a LD of nebularine. There was no change in serum creatinine or bilirubin. NBdAdo can protect the liver and pancreas of the mice from the toxic effect of nebularine.
AN 1985:589296 HCAPLUS <<LOGINID::20080324>>
DN 103:189296
OREF 103:30305a,30308a
TI Protection of cells by nucleoside transport inhibitor combined with nebularine and therapeutic effect against transplantable mouse tumors
AU Fu, Naiwu
CS Cancer Inst., Chin. Acad. Med. Sci., Beijing, Peop. Rep. China
SO Zhonghua Zhongliu Zazhi (1985), 7(2), 94-8
CODEN: CCLCDY; ISSN: 0253-3766
DT Journal
LA Chinese

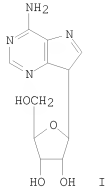
L24 ANSWER 15 OF 24 HCAPLUS COPYRIGHT 2008 ACS on STN
TI Augmentation of 5-fluorouracil cytotoxicity in human colon cancer cells by dipyridamole
AB The effect of dipyridamole (DP) [58-32-2], an inhibitor of nucleoside transport, on the uptake and toxicity of 5-fluorouracil (FUra) [51-21-8] was examined in a human colon cancer cell line (HCT 116). DP substantially increased the cytotoxicity of FUra in cell growth expts. and in viability assays measuring colony formation. The augmentation by DP was dose- and time-dependent. Several possible mechanisms by which DP enhanced FUra toxicity were investigated. DP did not alter the uptake of FUra into the acid-soluble and -insol. fractions of f HCT 116 cells. While DP did not affect the uptake of FUra, it did inhibit the transport of the nucleoside analogs, fluorouridine and fluorodeoxyuridine, of FUra. Although DP effectively inhibited the uptake of thymidine and uridine in a dose-dependent manner, several lines of evidence suggested that inhibition of nucleoside salvage was not the critical effect. The toxicity of FUra was not prevented by thymidine, uridine, or the combination of thymidine and uridine. Thymidine triphosphate pools, decreased by 50% during the initial 8 h of exposure to FUra, were not further depleted by the addition of DP. The shrinkage in deoxythymidine triphosphate pools produced by FUra was prevented by concomitant exposure to thymidine; however, this did not translate into protection from FUra lethality. The use of dialyzed serum, which greatly diminished the availability of nucleic acid precursors, did not increase the toxicity of FUra. DP increased the cytotoxicity fUra as effectively in expts. utilizing dialyzed serum as when nondialyzed serum was used. Surprisingly, however, the addition of sufficient thymidine to overcome the DP block did prevent the augmentation of FUra toxicity produced by DP. DP may provide a novel means of enhancing the cytotoxicity of FUra.
AN 1985:464497 HCAPLUS <<LOGINID::20080324>>
DN 103:64497
OREF 103:10237a,10240a
TI Augmentation of 5-fluorouracil cytotoxicity in human colon cancer

cells by dipyridamole
AU Grem, Jean L.; Fischer, Paul H.
CS Sch. Med., Univ. Wisconsin, Madison, WI, 53792, USA
SO Cancer Research (1985), 45(7), 2967-72
CODEN: CNREA8; ISSN: 0008-5472
DT Journal
LA English

L24 ANSWER 16 OF 24 HCAPLUS COPYRIGHT 2008 ACS on STN
TI Modulation of the activity of PALA by dipyridamole
AB Dipyridamole [58-32-2], a nucleoside transport inhibitor which can block restoration of nucleotide levels via the salvage pathway, was tested for its ability to augment the cytotoxicity of PALA [51321-79-0] against normal and malignant human cells in vitro. At the clin. relevant concentration of 1 μM, dipyridamole increased the cytotoxicity of PALA against a melanoma, a colon carcinoma, a premyelocytic leukemia (HL-60), and normal marrow (CFU-GM) in clonogenic assays. Dipyridamole produced 50% inhibition of uridine [58-96-8] uptake in these cells at concns. of <0.1 μM and reduced the LD50 of PALA by approx. 50% in mice. Apparently, dipyridamole can markedly potentiate the activity of PALA in vitro and in vivo.
AN 1985:178808 HCAPLUS <<LOGINID::20080324>>
DN 102:178808
OREF 102:27923a,27926a
TI Modulation of the activity of PALA by dipyridamole
AU Chan, Thomas C. K.; Young, Benjamin; King, Mark E.; Taetle, Raymond; Howell, Stephen B.
CS Dep. Med., Univ. California, San Diego, La Jolla, CA, 92093, USA
SO Cancer Treatment Reports (1985), 69(4), 425-30
CODEN: CTRRDO; ISSN: 0361-5960
DT Journal
LA English

L24 ANSWER 17 OF 24 HCAPLUS COPYRIGHT 2008 ACS on STN
TI Effect of an inhibitor of nucleoside transport on the disposition of uridine in mice
AB I.p. treatment of mice with the 5'-monophosphate of p-nitrobenzylmercaptopurine ribonucleoside (I) [65199-10-2] (25 mg/kg), 1 h prior to i.v. injection of 3H-labeled uridine [58-96-8], had only a modest inhibitory effect on the salvage of circulatory uridine in several tissues and increased uridine salvage by 63% in the kidney. Although I administration did not greatly change the overall efficiency of uridine salvage, the tissue-selective effects of I administration suggest that inhibitors of nucleoside transport maybe useful in modifying the selective toxicity of nucleoside analogs.
AN 1984:522689 HCAPLUS <<LOGINID::20080324>>
DN 101:122689
OREF 101:18527a,18530a
TI Effect of an inhibitor of nucleoside transport on the disposition of uridine in mice
AU Moyer, James D.; Paterson, Alan R. P.; Henderson, J. Frank
CS Cancer Res. Group, Univ. Alberta, Edmonton, AB, T6G 2H7, Can.
SO Biochemical Pharmacology (1984), 33(14), 2327-9
CODEN: BCPCA6; ISSN: 0006-2952
DT Journal
LA English

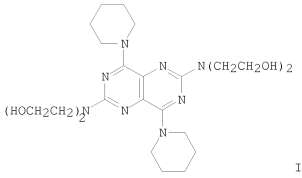
L24 ANSWER 18 OF 24 HCAPLUS COPYRIGHT 2008 ACS on STN
TI 9-Deazaadenosine - a new potent antitumor agent
GI

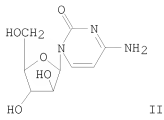


I

AB 9-Deazaadenosine (9-DAA)(I) [77691-03-3] a novel purine analog, was a potent inhibitor of the growth of 9 different human solid tumor cell lines in vitro and of pancreatic carcinoma (DAN) in antithymocyte serum (ATS)-immunosuppressed mice. In culture, IC50 values ranged from 1.1 to 8.5 + 10-8M. Ovarian carcinoma was the only cell line in which the activity of 9-DAA was potentiated (about 10-fold) by pretreatment with the adenosine deaminase inhibitor 2'-deoxycoformycin (dCF). After incubation of cultured pancreatic DAN cells with 9-DAA (10-5M) for 2 h, a peak appeared in the triphosphate region of HPLC nucleotide profiles that was identified tentatively as 9-deazaATP [10058-66-9]. Under the same incubation conditions, the incorporation of [3H]uridine into RNA and of [3H]thymidine into DNA was inhibited by 34 and 80%, resp. In vivo studies using ATS-immunosuppressed mice showed that 9-DAA at 0.4 mg/kg/day for 3 consecutive days reduced DAN tumor wts. to approx. 50% of untreated controls. The nucleoside transport inhibitor p-nitrobenzyl-6-thioinosine [38048-32-7], selectively protected host tissues from 9-DAA toxicity and, thereby, potentiated the antitumor activity of 9-DAA in vivo at optimal dosages.
AN 1984:400347 HCAPLUS <<LOGINID::20080324>>
DN 101:347
OREF 101:55a,58a
TI 9-Deazaadenosine - a new potent antitumor agent
AU Chu, Ming Y.; Zuckerman, Linda B.; Sato, Seiji; Crabtree, Gerald W.; Bogden, Arthur E.; Lim, Mu Ill; Klein, Robert S.
CS Dep. Med., Roger Williams Gen. Hosp., Providence, RI, 02908, USA
SO Biochemical Pharmacology (1984), 33(8), 1229-34
CODEN: BCPCA6; ISSN: 0006-2952
DT Journal
LA English

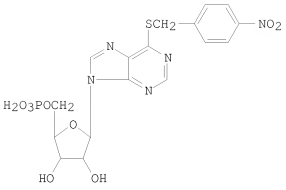
L24 ANSWER 19 OF 24 HCAPLUS COPYRIGHT 2008 ACS on STN
TI Modulation of cytarabine uptake and toxicity by dipyridamole
GI





AB The effect of dipyridamole (I) [58-32-2], an inhibitor of membrane nucleoside transport, on the uptake and toxicity of cytarabine (II) [147-94-4] was examined in normal and malignant tissues. Preliminary pharmacokinetic data were obtained in mice and humans to determine appropriate dipyridamole dosage ranges for in vitro testing. At concns. achievable in man, dipyridamole produced 75% and 94% redns. in cytarabine uptake in freshly harvested normal mouse and human bone marrow cells, resp. Under the same conditions, >90% redns. in cytarabine uptake were also seen in both L1210 murine leukemia and HL-60 human leukemia cells. In addition, treatment with dipyridamole also reduced the growth-inhibitory effects of cytarabine on HL-60 cells in culture and protected mice from toxic doses of this antimetabolite. These results demonstrate the ability of dipyridamole to modulate the activity of cytarabine in both murine and human cells.
AN 1984:132188 HCAPLUS <<LOGINID::20080324>>
DN 100:132188
OREF 100:19989a,19992a
TI Modulation of cytarabine uptake and toxicity by dipyridamole
AU King, Mark E.; Naporn, Atania; Young, Benjamin; Howell, Stephen B.
CS Dep. Med., Univ. California, San Diego, La Jolla, CA, 92093, USA
SO Cancer Treatment Reports (1984), 68(2), 361-6
CODEN: CTRRDO; ISSN: 0361-5960
DT Journal
LA English

L24 ANSWER 20 OF 24 HCAPLUS COPYRIGHT 2008 ACS on STN
TI In vivo studies with an inhibitor of nucleoside transport, nitrobenzylthioinosine 5'-monophosphate
GI



I

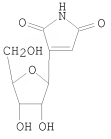
AB Coadministration of nitrobenzylthioinosine 5'-monophosphate (I) [65199-10-2] protected mice against the toxicity of the nucleosides, tubercidin (II) [69-33-0] and nebularine [550-33-4]. The I metabolite, nitrobenzylthioinosine [38048-32-7] prevented the uptake of cytidine [65-46-3] and pseudoisocytidine [57100-18-2] by mouse liver. In mice with exptl. tumors, antineoplastic effects were achieved with high, potentially LDs of nucleoside analogs made tolerable by protecting vital tissues with I. It appears that the neoplastic cells are less well protected against the toxic nucleosides than vital tissues in the neoplastic host.
AN 1982:155137 HCAPLUS <<LOGINID::20080324>>
DN 96:155137
OREF 96:25347a,25350a
TI In vivo studies with an inhibitor of nucleoside transport, nitrobenzylthioinosine 5'-monophosphate
AU Paterson, Alan R. P.; Kolassa, Norbert; Lynch, Thomas P.; Jakobs, Ewa S.; Cass, Carol E.
CS Cancer Res. Unit, Univ. Alberta, Edmonton, AB, Can.
SO Nucleosides Cancer Treat., Proc. Symp. (1981), Meeting Date 1980, 84-95. Editor(s): Tattersall, Martin Henry Norman; Fox, Richard M. Publisher: Academic, Sydney, Australia. CODEN: 47FYAU
DT Conference
LA English

L24 ANSWER 21 OF 24 HCAPLUS COPYRIGHT 2008 ACS on STN
TI Liposome-cell interactions. A study of the interactions of liposomes containing entrapped anti-cancer drugs with the EMT6, S49 and AE1 (transport-deficient) cell lines
AB In preliminary expts. with the EMT6 cell line in monolayer culture, the cytotoxicity observed when the cells were exposed to a range of concns. of liposome-entrapped methotrexate [59-05-2], actinomycin D [50-76-0] and cytosine arabinoside [147-94-4] for a variety of liposome compns. was somewhat less than that observed when the cells were exposed to similar concns. of free drug. The cytotoxicity was mediated via uptake of free drug leaked from liposomes. This was confirmed in expts. involving the EMT6 and S49 cell lines in monolayer or suspension culture, resp., in the absence and presence of the nucleoside transport inhibitor, 6-((4-nitrobenzyl)thio)-9-β-D-ribofuranosylpurine [38048-32-7]. Addnl. expts. were performed on a transport-deficient mutant of the S49 cell line, the AE1 cell line. No evidence for liposome-mediated cell death could be found in these cell lines when tubercidin 5'-monophosphate [16719-46-3] was entrapped in either large or small unilamellar liposomes composed of egg phosphatidylcholine/cholestero

1 [57-88-5] (2:1), bovine brain phosphatidylserine/egg phosphatidylcholine/cholesterol (8:2:5) or egg phosphatidylcholine/stearylamine/cholesterol (10:1:5). Considerable toxicity due to empty liposomes of a variety of compns. was observed in the S49 cell line at high lipid concns.

AN 1981:430342 HCAPLUS <<LOGINID::20080324>>
DN 95:30342
OREF 95:5161a,5164a
TI Liposome-cell interactions. A study of the interactions of liposomes containing entrapped anti-cancer drugs with the EMT6, S49 and AE1 (transport-deficient) cell lines
AU Allen, T. M.; McAllister, L.; Mausolf, S.; Gyorffy, E.
CS Pharmacol. Dep., Univ. Alberta, Edmonton, AB, T6G 2H7, Can.
SO Biochimica et Biophysica Acta, Biomembranes (1981), 643(2), 346-62
CODEN: BBBMBS; ISSN: 0005-2736
DT Journal
LA English

L24 ANSWER 22 OF 24 HCAPLUS COPYRIGHT 2008 ACS on STN
TI Showdomycin and its reactive moiety, maleimide. A comparison in selective toxicity and mechanism of action in vitro
GI



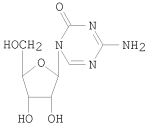
I

AB Showdomycin (I) [16755-07-0], a C-nucleoside antibiotic, was twice as toxic to L1210 murine leukemia cells as to murine bone marrow progenitor cells, whereas its aglycone, maleimide [541-59-3] showed equal toxicity to both cell lines. Cysteine, adenosine, and a nucleoside transport inhibitor, reversed the early I toxicity to L1210 cells but did not reduce maleimide toxicity. At cytotoxic concns., I progressively and totally inhibited the nucleoside uptake system; cysteine reversed this concomitantly with cytotoxicity reversal. Binding inhibition studies indicated that the antibiotic inactivated the nucleoside transport site. The C-nucleoside structure may confer some selectivity to the cytotoxic action of maleimide, directing it toward the nucleoside transport system of the tumor cell.

AN 1981:125 HCAPLUS <<LOGINID::20080324>>
DN 94:125
OREF 94:19a,22a
TI Showdomycin and its reactive moiety, maleimide. A comparison in selective toxicity and mechanism of action in vitro
AU Uehara, Yoshimasa; Fisher, Joyce M.; Rabinovitz, Marco
CS Lab. Med. Chem. Biol., Natl. Cancer Inst., Bethesda, MD, 20205, USA
SO Biochemical Pharmacology (1980), 29(16), 2199-204
CODEN: BCPCA6; ISSN: 0006-2952

DT Journal
LA English

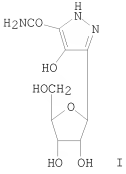
L24 ANSWER 23 OF 24 HCAPLUS COPYRIGHT 2008 ACS on STN
TI Metabolism and cytotoxicity of 5-azacytidine in cultured Novikoff rat hepatoma and P388 mouse leukemia cells and their enhancement by preincubation with pyrazofurin
GI



I

AB NSC 102816 (5-azacytidine)(I) [320-67-2] transport into cells was measured in the absence of metabolism in ATP [56-65-5]-depleted and uridine kinase [9026-39-5]-deficient Novikoff cells. I was transported with about the same efficiency as uridine and cytidine by the facilitated nucleoside transport system of these cells. The phosphorylation of I in untreated, wild-type cells, however, was much more inhibited by uridine [58-96-8] and cytidine [65-46-3] than was its transport into the cell. This inhibition seemed to be responsible for the sp. protection of cells by these nucleosides from I toxicity. I was incorporated by Novikoff and P388 cells into both RNA and DNA, and this incorporation seemed to be responsible for its cytotoxicity; an inhibition of de novo pyrimidine nucleotide synthesis was not a major contributory factor. Incorporation of I into nucleic acids was relatively slow, but it was enhanced 3 to 4 times when cells were preincubated with pyrazofurin [30868-30-5]. Pyrazofurin inhibited de novo pyrimidine synthesis and thus caused a depletion of cellular pyrimidine nucleotides. I was largely cytostatic for Novikoff and P388 cells, but a sequential treatment with pyrazofurin and I markedly increased the cytotoxicity over that observed with drug alone. Increased cytotoxicity correlated with the increased incorporation of I into nucleic acids.
AN 1978:557234 HCAPLUS <<LOGINID::20080324>>
DN 89:157234
OREF 89:24251a,24254a
TI Metabolism and cytotoxicity of 5-azacytidine in cultured Novikoff rat hepatoma and P388 mouse leukemia cells and their enhancement by preincubation with pyrazofurin
AU Plagemann, Peter G. W.; Behrens, Marsha; Abraham, David
CS Dep. Microbiol., Univ. Minnesota Med. Sch., Minneapolis, MN, USA
SO Cancer Research (1978), 38(8), 2458-66
CODEN: CNREA8; ISSN: 0008-5472
DT Journal
LA English

L24 ANSWER 24 OF 24 HCAPLUS COPYRIGHT 2008 ACS on STN
TI Inhibition of de novo pyrimidine nucleotide and DNA synthesis and growth of cultured Novikoff rat hepatoma cells and other cell lines by pyrazofurin (NSC 143095)
GI



I

AB NSC 143095 (pyrazofurin)(I) [30868-30-5] inhibited the replication of cultured Novikoff rat hepatoma cells, HeLa cells, and mouse L-cells at concns. as low as 0.1 to 10 μM, but Novikoff cells were more sensitive than the cells of the other two cell lines. Inhibition of cell replication was completely prevented by the presence of 0.1-1 mM uridine in the medium, and partly by the presence of other pyrimidines, but not purine nucleosides. A 2- to 4-hr treatment of the cells with 10 μM I resulted in a 2-fold increase in the rate of incorporation of uridine into the acid-soluble pool and nucleic acids, while the rate of incorporation of adenosine into RNA was reduced about 85%. The incorporation of adenosine and deoxyuridine into DNA were reduced about 85 and 50%, respectively. The results are consistent with the view that I inhibits the de novo synthesis of pyrimidine nucleosides. The inhibition of cell replication seems to be due mainly to an inhibition of DNA rather than RNA synthesis, resulting from a rapid depletion of the pyrimidine deoxynucleotide pool, since addition of thymidine and deoxycytidine reversed the inhibition of DNA synthesis and cell replication by I. I must enter the cells to exert its toxicity since the toxicity was reduced 70-80% by the presence of 8 μM Persantin, a potent inhibitor of the facilitated and simple diffusion of various substrates, in the medium. If I is incorporated via normal nucleoside salvage pathways, its affinity for the nucleoside transport system(s) and kinases, must be low since, even at a concentration of 1 mM, it had only a slight effect on the initial rates of incorporation of various nucleosides into the nucleotide pool.

AN 1976:553816 HCAPLUS <<LOGINID::20080324>>

DN 85:153816

OREF 85:24574h,24575a

TI Inhibition of de novo pyrimidine nucleotide and DNA synthesis and growth of cultured Novikoff rat hepatoma cells and other cell lines by pyrazofurin (NSC 143095)

AU Plagemann, Peter G. W.; Behrens, Marsha

CS Med. Sch., Univ. Minnesota, Minneapolis, MN, USA

SO Cancer Research (1976), 36(10), 3807-12
CODEN: CNREA8; ISSN: 0008-5472

DT Journal

LA English

=> exp 5-fluoro-2

E1 1 5-90-3G/BI

```
E2            2     5-BCD/BI
E3            0 --> 5-FLUORO-2/BI
E4            1     5-G/BI
E5            1     5-METHYL-2-PYRIDYL/BI
E6            1     5-THREONINE/BI
E7            1     5.,11/BI
E8            1     5.,MO/BI
E9          281     5.0/BI
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E3            0 --> 5-FLUORO-2/CN
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E5            1     5-FLUORO-2',3'-ISOPROPYLIDENEURIDINE/CN
E6            1     5-FLUORO-2',3'-O-ISOPROPYLIDENEURIDINE/CN
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E8            1     5-FLUORO-2'-DEOXY-B-URIDINE/CN
E9            1     5-FLUORO-2'-DEOXY-3'-O-PALMITOYLURIDINE/CN
E10           1     5-FLUORO-2'-DEOXY-UMP/CN
E11           1     5-FLUORO-2'-DEOXYCYTIDINE/CN
E12           1     5-FLUORO-2'-DEOXYCYTIDINE 5'-MONOPHOSPHATE/CN

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L25           1 "5-FLUORO-2'-DEOXY-B-URIDINE"/CN

=> d l25

L25  ANSWER 1 OF 1  REGISTRY  COPYRIGHT 2008 ACS on STN
RN   50-91-9  REGISTRY
ED   Entered STN:  16 Nov 1984
CN   Uridine, 2'-deoxy-5-fluoro-  (CA INDEX NAME)
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CN   1-(2-Deoxy-β-D-ribofuranosyl)-5-fluorouracil
CN   2'-Deoxy-5-fluorouridine
CN   5-Fluoro-2'-deoxy-β-uridine
CN   5-Fluoro-2'-deoxyuridine
CN   5-Fluorodeoxyuridine
CN   5-Fluorouracil 2'-deoxyriboside
CN   5-Fluorouracil deoxyriboside
CN   FdUrd
CN   Floxuridin
CN   Floxuridine
CN   FUDR
CN   NSC 26740
CN   NSC 27640
FS   STEREOSEARCH
DR   888-03-9, 3460-74-0
MF   C9 H11 F N2 O5
CI   COM
LC   STN Files:   ADISNEWS, AGRICOLA, ANABSTR, BEILSTEIN*, BIOSIS, BIOTECHNO,
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       IFIPAT, IFIUDB, IMSCOSEARCH, IPA, MEDLINE, MRCK*, MSDS-OHS, NAPRALERT,
       PROMT, RTECS*, SPECINFO, SYNTHLINE, TOXCENTER, USAN, USPAT2, USPATFULL,
       USPATOLD
         (*File contains numerically searchable property data)
     Other Sources:   EINECS**, WHO
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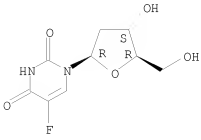
(**Enter CHEMLIST File for up-to-date regulatory information)

Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

2671 REFERENCES IN FILE CA (1907 TO DATE)
94 REFERENCES TO NON-SPECIFIC DERIVATIVES IN FILE CA
2676 REFERENCES IN FILE CAPLUS (1907 TO DATE)
34 REFERENCES IN FILE CAOLD (PRIOR TO 1967)

=> s dipyridamole/cn
L26 1 DIPYRIDAMOLE/CN

=> d l26 scan

L26 1 ANSWERS REGISTRY COPYRIGHT 2008 ACS on STN
IN Ethanol, 2,2',2'',2'''-[(4,8-di-1-piperidinylpyrimido[5,4-d]pyrimidine-2,6-diyl)dinitrilo]tetrakis-
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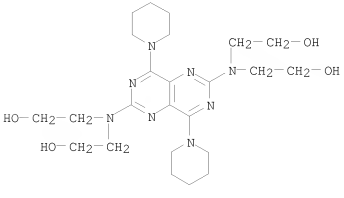

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

ALL ANSWERS HAVE BEEN SCANNED

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COST IN U.S. DOLLARS                                SINCE FILE      TOTAL
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FULL ESTIMATED COST                                    13.68     422.49

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)          SINCE FILE      TOTAL
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CA SUBSCRIBER PRICE                                     0.00     -48.00

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FILE COVERS 1907 - 24 Mar 2008  VOL 148 ISS 13
FILE LAST UPDATED: 23 Mar 2008  (20080323/ED)

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=> s l25 and l26
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L27         29 L25 AND L26

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L28         11 L27 AND (PY<1992 OR AY<1992 OR PRY<1992)

=> d l28 1-11 ti abs bib

L28  ANSWER 1 OF 11  CAPLUS  COPYRIGHT 2008 ACS on STN
TI   Treatment of chemotherapeutic agent and antiviral agent toxicity with
     acylated pyrimidine nucleosides
AB   Compds., compns., and methods are disclosed for treatment and prevention
     of toxicity due to chemotherapeutic agents and antiviral agents.
     Disclosed are acylated derivs. of nonmethylated pyrimidine nucleosides.
     These compds. are capable of attenuating damage to the hematopoietic
     system in animals receiving antiviral or antineoplastic chemotherapy.
AN   1999:670113  CAPLUS <<LOGINID::20080324>>
DN   131:281604
TI   Treatment of chemotherapeutic agent and antiviral agent toxicity with
     acylated pyrimidine nucleosides
IN   Von Borstel, Reid; Bamat, Michael K.
```

PA Pro-Neuron, Inc., USA
SO U.S., 31 pp., Cont.-in-part U. S. Ser. 176,485.
CODEN: USXXAM
DT Patent
LA English
FAN.CNT 13

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	EP 712629	A1	19960522	EP 1995-203050	19881027	<--
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	IN 175688	A1	19950812	IN 1992-CA473	19920706	<--
	US 5246708	A	19930921	US 1992-911379	19920713	<--
	US 5470838	A	19951128	US 1992-997657	19921230	<--
	US 5583117	A	19961210	US 1993-140475	19931025	<--
	US 6020320	A	20000201	US 1993-153163	19931117	<--
	US 5736531	A	19980407	US 1993-176485	19931230	<--
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	US 5691320	A	19971125	US 1995-465454	19950605	<--
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     AU 2002320811        A1    20030403    AU 2002-320811         20021223
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     JP 2006137772        A     20060601    JP 2005-380457         20051228 <--
     JP 2008019268        A     20080131    JP 2007-233452         20070907 <--
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     US 1992-903107       B2    19920625
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     US 1993-176485       A2    19931230
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     JP 1994-303877       A3    19881027  <--
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     US 1991-737913       B3    19910729  <--
     CA 1992-2111571      A3    19920625
     IN 1992-CA473        A1    19920706
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     US 1992-958598       B3    19921007
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     US 1992-997657       A3    19921230
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     US 1993-153163       A1    19931117
     US 1993-158799       B2    19931201
     US 1994-266897       B3    19940701
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     US 1995-472210       A     19950607
     AU 1995-29150        A3    19950630
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     US 2000-494242       A3    20000131
     AU 2002-320811       A3    20021223
     JP 2005-380457       A3    20051228
RE.CNT  30    THERE ARE 30 CITED REFERENCES AVAILABLE FOR THIS RECORD
              ALL CITATIONS AVAILABLE IN THE RE FORMAT

L28  ANSWER 2 OF 11  CAPLUS  COPYRIGHT 2008 ACS on STN
TI   Methods of reducing toxicity of chemotherapeutic and antiviral agents with
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acylated non-methylated pyrimidine nucleosides
AB Compds., compns. and methods are disclosed for the treatment and prevention of toxicity due to chemotherapeutic agents and antiviral agents. Disclosed are acylated derivs. of non-methylated pyrimidine nucleosides. These compds. are capable of attenuating damage to the hematopoietic system in animals receiving antiviral or antineoplastic chemotherapy. Oral administration of triacetyluridine ameliorated the hematol. toxicity of 5-fluorouracil. Triacetyluridine and uridine increased the therapeutic index of 5-fluorouracil in tumor-bearing mice. Amelioration of the adverse effects of e.g. AZT is also described.
AN 1997:141015 CAPLUS <<LOGINID::20080324>>
DN 126:139905
TI Methods of reducing toxicity of chemotherapeutic and antiviral agents with acylated non-methylated pyrimidine nucleosides
IN Vonborstel, Reid W.; Bamat, Michael K.
PA Pro-Neuron, Inc., USA
SO PCT Int. Appl., 142 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 13

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE	
PI	WO 9640165	A1	19961219	WO 1996-US10067	19960606	
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	RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN					
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	AU 9661114	A	19961230	AU 1996-61114	19960606	
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	AU 2005232288	A1	20051201	AU 2005-232288	20051110	
PRAI	US 1995-472210	A	19950607			
	US 1987-115923	B2	19871028	<--		
	US 1987-115929	B2	19871028	<--		
	US 1989-438493	B2	19890627	<--		
	US 1990-487984	B2	19900205	<--		
	US 1991-724340	B2	19910705	<--		
	US 1992-903107	B2	19920625			
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	US 1993-176485	A2	19931230			
	AU 1995-29150	A3	19950630			
	WO 1996-US10067	W	19960606			
	AU 1999-52624	A3	19991001			
	AU 2002-320811	A3	20021223			

L28 ANSWER 3 OF 11 CAPLUS COPYRIGHT 2008 ACS on STN
TI Treatment of chemotherapeutic agent and antiviral agent toxicity with acylated pyrimidine nucleosides
AB The toxicity of antiviral and antineoplastic agents, resulting from their damage to the hematopoietic system or mucosal tissue, is prevented or

treated with acylated derivs. of nonmethylated pyrimidine nucleosides. These derivs. may themselves be antineoplastic, antiviral, or antimalarial agents; they may be administered together with inhibitors of uridine phosphorylase, of cytidine deaminase, or of nucleotide transport. Thus, oral administration of triacetyluridine (500 mg/kg 8 times in 2 days) rescued mice from the hematol. toxicity of 5-fluorouracil (150 mg/kg i.p.), as shown by leukocyte and platelet counts.

AN 1993:205218 CAPLUS <<LOGINID::20080324>>

DN 118:205218

TI Treatment of chemotherapeutic agent and antiviral agent toxicity with acylated pyrimidine nucleosides

IN Von Borstel, Reid W.; Bamat, Michael K.

PA Pro-Neuron, Inc., USA

SO PCT Int. Appl., 130 pp.
CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 13

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE	
PI	WO 9301202	A1	19930121	WO 1992-US5324	19920625	<--
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	ES 2160579	T3	20011116	ES 1992-914215	19920625	<--
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	CN 1071577	A	19930505	CN 1992-108868	19920704	<--
	CN 1050996	B	20000405			
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	IN 177670	A1	19970215	IN 1994-CA701	19940902	
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	WO 1992-US5324	A	19920625			
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	AU 1999-52624	A3	19991001			
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OS MARPAT 118:205218

L28 ANSWER 4 OF 11 CAPLUS COPYRIGHT 2008 ACS on STN

TI Organ culture as a model for investigating the effects of antimetabolites and nucleoside transport inhibitors on rodent colonic mucosa

AB The in-vitro effects of hydroxyurea, 5-FU and 5-FUdR have been extensively

studied in exptl. systems employing cell-line techniques. The effects of these drugs were examined on the levels of incorporation of labeled nucleosides into DNA in explants of intact rat colonic mucosa maintained in organ culture. The effects of the nucleoside transport inhibitors nitrobenzylthioinosine (NBMPR) and dipyridamole, which are modulators of antimetabolite cytotoicity, on the incorporation of tritiated thymidine [(3H]TdR) into DNA were also studied. The incorporation of tritiated TdR into DNA was reduced by hydroxyurea but was not altered by either 5-FU or 5-FUdR. The levels of tritiated deoxyuridine were reduced by 5-FU and 5-FUdR in sep. expts.; this is in keeping with thymidylate synthase inhibition. NBMPR and dipyridamole also reduced 3H-TdR incorporation into DNA. These results can be explained in terms of the known mechanisms of action of these drugs. This exptl. model is therefore useful in assessing the effects of antimetabolites and nucleoside transport inhibitors in intact colonic mucosa.

AN 1992:120506 CAPLUS <<LOGINID::20080324>>
DN 116:120506
TI Organ culture as a model for investigating the effects of antimetabolites and nucleoside transport inhibitors on rodent colonic mucosa
AU Moorghen, M.; Ince, P.; Finney, Karen J.; Watson, A. J.; Harris, A. L.
CS Dep. Pathol., Univ. Newcastle upon Tyne, Newcastle upon Tyne, NE1 4LP, UK
SO In Vitro Cellular & Developmental Biology: Animal (1991), 27A(11), 873-7
CODEN: IVCAED; ISSN: 0883-8364
DT Journal
LA English

L28 ANSWER 5 OF 11 CAPLUS COPYRIGHT 2008 ACS on STN
TI The effects of leucovorin and dipyridamole on fluoropyrimidine-induced radiosensitization
AB The biomodulators leucovorin and dipyridamole potentiate the cytotoxicity of 5-fluorodeoxy uridine (FdUrd) and 5-fluorouracil (5-FU), resp. It was hypothesized that these biomodulators would increase fluoropyrimidine-mediated radiosensitization. This hypothesis was tested using cultured HT29 human colon cancer cells. As was predicted, leucovorin increased both FdUrd-mediated cytotoxicity and radiosensitization. The increase in γ-ray sensitivity was associated with a decrease in the repair of radiation-induced DNA double-strand breaks (DSB's). Dipyridamole potentiated the cytotoxicity produced by 5-FU-mediated radiosensitization. This demonstrates that the simple fact that a biomodulator can increase fluoropyrimidine-induced cytotoxicity does not guarantee a corresponding increase in radiation sensitivity. Clin. trials combining fluoropyrimidines and their biomodulators will need to take these potentially complex interactions into account.
AN 1991:202587 CAPLUS <<LOGINID::20080324>>
DN 114:202587
TI The effects of leucovorin and dipyridamole on fluoropyrimidine-induced radiosensitization
AU Lawrence, Theodore S.; Heimburger, David K.; Shewach, Donna S.
CS Med. Cent., Univ. Michigan, Ann Arbor, MI, 48109-0582, USA
SO International Journal of Radiation Oncology, Biology, Physics (1991), 20(2), 377-81
CODEN: IOBPD3; ISSN: 0360-3016
DT Journal
LA English

L28 ANSWER 6 OF 11 CAPLUS COPYRIGHT 2008 ACS on STN
TI Effect of dipyridamole on fluorodeoxyuridine cytotoxicity in vitro and in cancer patients
AB Dipyridamole (DP) in combination with fluorodeoxyuridine (FUDR) was studied in human colorectal cancer. Using a human colony-forming assay,

0.05 μM DP increased the cytotoxicity of FUDR 33.5-fold against human colon cancer cell lines. The mechanism of the DP-enhanced antitumor activity of FUDR may be related to a profound inhibition by DP of thymidine accumulation in and FUDR efflux from colon cancer cells. Patients with metastatic colon cancer given 0.1 mg FUDR/kg daily for 14 days and 75 mg oral DP 5-times daily for 14 days starting on the 3rd day of continuous i.v. FUDR infusion. The pharmacokinetics of DP showed that 98% of total serum DP was protein-bound and that free DP levels were lower than the concns. necessary for the expected in vitro DP/FUDR modulation. The treatment was well tolerated. The relatively low clin. response rate (15%) was similar to that achieved with FUDR alone and may be explained by the low steady-state plasma concns. of free DP. Other means of DP administration may be required to achieve free DP concns. necessary for successful biochem. modulation of FUDR activity in patients.

AN 1991:156699 CAPLUS <<LOGINID::20080324>>
DN 114:156699
TI Effect of dipyridamole on fluorodeoxyuridine cytotoxicity in vitro and in cancer patients
AU Buzaid, Antonio C.; Alberts, David S.; Einspahr, Janine; Mosley, Kurt; Peng, Yei Mei; Tutsch, Kendra; Spears, Collin P.; Garewal, Harinder S.
CS Sch. Med., Yale Univ., New Haven, CT, 06510, USA
SO Cancer Chemotherapy and Pharmacology (1989), 25(2), 124-30
CODEN: CCPHDZ; ISSN: 0344-5704
DT Journal
LA English

L28 ANSWER 7 OF 11 CAPLUS COPYRIGHT 2008 ACS on STN
TI 5-Hexyl-2'-deoxyuridine blocks the cytotoxic effects of 5-fluorodeoxyuridine or deoxyadenosine in leukemia L1210 cells in culture
AB Antitumor agents which block the de novo synthesis of nucleotides can be circumvented by the presence of salvage pathways for the reutilization of nucleobases and nucleosides. Studies have been carried out which show that 5-hexyl-2'-deoxyuridine (HdUrd) effectively blocks the cytotoxic effects of deoxyadenosine and fluorodeoxyuridine in L1210 cells. Although HdUrd (500 μM) had essentially no effect on the growth of L1210 cells in culture, the total uptake of [14C]cytidine into these cells was inhibited 99% by this concentration of HdUrd. The inhibitory effects of fluorodeoxyuridine (FdUrd) and deoxyadenosine could be completely prevented by the presence of HdUrd (200 μM). The growth inhibitory effects of fluorouracil were not prevented by HdUrd. Dipyridamole prevented the inhibition of L1210 cell growth by FdUrd but not by deoxyadenosine or fluorouracil. 5-Isopropyl-, 5-pentyl-, and 5-octyldeoxyuridine were not effective in preventing the cytotoxic effects of deoxyadenosine. The data suggest that HdUrd might be useful in blocking the salvage of nucleosides, thereby potentiating the effects of inhibitors of de novo nucleotide synthesis.
AN 1990:544979 CAPLUS <<LOGINID::20080324>>
DN 113:144979
TI 5-Hexyl-2'-deoxyuridine blocks the cytotoxic effects of 5-fluorodeoxyuridine or deoxyadenosine in leukemia L1210 cells in culture
AU Cory, Joseph G.; Halley, Mary C.; Jeney, Andras; Lapis, Karoly
CS Coll. Med., Univ. South Florida, Tampa, FL, 33612, USA
SO Cancer Research (1990), 50(15), 4552-6
CODEN: CNREA8; ISSN: 0008-5472
DT Journal
LA English

L28 ANSWER 8 OF 11 CAPLUS COPYRIGHT 2008 ACS on STN
TI DNA formation inhibitors for treating cutaneous hyperproliferative disorders
AB Synergistic topical drugs for the treatment of psoriasis and other

hyperproliferative skin diseases comprise inhibitor(s) of the de novo pathway of DNA synthesis and inhibitor(s) of the salvage pathway of DNA synthesis. A composition comprising 0.5 μm 5-fluorouracil and 1 μm dipyramidole synergistically inhibited cell proliferation in a culture of human neonatal foreskin keratinocytes.

AN 1990:526628 CAPLUS <<LOGINID::20080324>>
DN 113:126628
TI DNA formation inhibitors for treating cutaneous hyperproliferative disorders
IN Milstone, Leonard M.; Schwartz, Pauline M.
PA Yale University, USA
SO PCT Int. Appl., 21 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 8910122	A1	19891102	WO 1989-US1767	19890426 <--
	W: AU, JP, KR				
	RW: AT, BE, CH, DE, FR, GB, IT, LU, NL, SE				
	AU 8935540	A	19891124	AU 1989-35540	19890426 <--
	US 5242921	A	19930907	US 1991-783560	19911128 <--
	US 5326764	A	19940705	US 1993-77152	19930616 <--
PRAI	US 1988-187489	A	19880427	<--	
	WO 1989-US1767	A	19890426	<--	
	US 1990-551053	B1	19900712	<--	
	US 1991-783560	A3	19911128	<--	

L28 ANSWER 9 OF 11 CAPLUS COPYRIGHT 2008 ACS on STN
TI Potentiation by dipyridamole of 5-fluorouridine antitumor activity against a rat adenocarcinoma in vivo
AB Rats were inoculated s.c. into both flanks with a transplantable adenocarcinoma of the colon. They were treated i.v. with either 5-fluorouridine (I) or 5-fluoro-2'-deoxyuridine (II) with or without addition of dipyridamole 20 and 30 min later, resp., for 3 consecutive days. Dipyridamole improved the antitumor activity of I but decreased that of II.
AN 1990:470813 CAPLUS <<LOGINID::20080324>>
DN 113:70813
TI Potentiation by dipyridamole of 5-fluorouridine antitumor activity against a rat adenocarcinoma in vivo
AU El Hag, Imad Abdien; Roos, Gunnel; Joensson, Per Ebbe; Stenram, Unne
CS Dep. Pathol., Univ. Hosp., Lund, S-221 85, Swed.
SO Anticancer Research (1990), 10(1), 29-32
CODEN: ANTRD4; ISSN: 0250-7005
DT Journal
LA English

L28 ANSWER 10 OF 11 CAPLUS COPYRIGHT 2008 ACS on STN
TI 5-Fluoropyrimidine-induced DNA damage in human colon adenocarcinoma and its augmentation by the nucleoside transport inhibitor dipyridamole
AB 5-Fluorouracil and 5-fluorodeoxyuridine induce DNA lesions via 2 different mechanisms, one involving and the other not involving the incorporation of drug into DNA. With use of the title cells, it is shown here that dipyridamole augments the levels of DNA fragmentation when the lesions are induced by the mechanism not involving the incorporation of drug. In parallel, cytotoxicity is increased.
AN 1989:128224 CAPLUS <<LOGINID::20080324>>
DN 110:128224
TI 5-Fluoropyrimidine-induced DNA damage in human colon adenocarcinoma and

its augmentation by the nucleoside transport inhibitor dipyridamole
AU Loenn, Ulf; Loenn, Sigrid; Nylen, Urban; Winblad, Gerard
CS Radiumhemmet, Karolinska Hosp., Stockholm, S-104 01, Swed.
SO Cancer Research (1989), 49(5), 1085-9
CODEN: CNREA8; ISSN: 0008-5472
DT Journal
LA English

L28 ANSWER 11 OF 11 CAPLUS COPYRIGHT 2008 ACS on STN
TI Alteration of fluorouracil metabolism in human colon cancer cells by dipyridamole with a selective increase in fluorodeoxyuridine monophosphate levels
AB The nucleoside transport inhibitor dipyridamole [58-32-2] can increase the cytotoxicity of 5-fluorouracil in a human colon cancer cell line (HCT 116) without affecting the total amount of fluorouracil incorporated into the acid soluble and insol. fractions. Dipyridamole altered the pattern of fluorouracil [51-21-8] metabolism and provided a selective increase in intracellular fluorodeoxyuridine monophosphate (FdUMP) [134-46-3] levels. At 2 and 4 h after exposure to fluorouracil and dipyridamole, FdUMP levels were approx. 5-fold higher in the presence of dipyridamole. The ratio of FdUMP to fluorouridine triphosphate [3828-96-4] at 4 h was substantially increased in the presence of dipyridamole compared to fluorouracil alone. In cells preloaded with fluorodeoxyuridine (FdUrd) [50-91-9], dipyridamole potently inhibited the efflux of FdUrd, leading to an increased retention of intracellular FdUMP. One h following removal of [6-3H]FdUrd, the FdUMP levels were increased 8-fold in the presence of dipyridamole, and the half-life of intracellular FdUMP was increased from 24 to 78 min. It was previously shown that the addition of sufficient thymidine (25 μM) can prevent the augmentation of fluorouracil toxicity produced by dipyridamole. In these studies, the addition of 25 μM thymidine reduced the FdUMP levels to less than half of those measured in the presence of fluorouracil plus dipyridamole for the first 8 h of exposure, and reduced the FdUMP levels to 6% of the FdUMP levels seen with fluorouracil and dipyridamole after 24 h of exposure. Thymidine prevented the enhanced intracellular retention of FdUMP produced by dipyridamole in cells preloaded with FdUrd. In addition, thymidine inhibited the accumulation of FdUMP in cells exposed to FUrd. In cancer cells which significantly catabolize FdUMP, the ability of dipyridamole to block the efflux of FdUrd may provide an effective means of selectively increasing FdUMP levels and enhancing the toxicity of fluorouracil. Furthermore, dipyridamole blocked the efflux of deoxyuridine and prolonged the intracellular half-life of deoxyuridine monophosphate. In cells prelabeled with [2'-3H]dUrd, transfer of tritium to FdUrd and FdUMP occurred in cells exposed to fluorouracil and dipyridamole. These data suggest that blockade of nucleoside efflux can enhance the availability of deoxyribose-1-phosphate donors for the synthesis of FdUrd. Thus, dipyridamole's ability to inhibit nucleoside transport can perturb the metabolism of a nucleobase, fluorouracil.
AN 1987:43581 CAPLUS <<LOGINID::20080324>>
DN 106:43581
OREF 106:7097a,7100a
TI Alteration of fluorouracil metabolism in human colon cancer cells by dipyridamole with a selective increase in fluorodeoxyuridine monophosphate levels
AU Grem, Jean L.; Fischer, Paul H.
CS Clin. Cancer Cent., Univ. Wisconsin, Madison, WI, 53792, USA
SO Cancer Research (1986), 46(12, Pt. 1), 6191-9
CODEN: CNREA8; ISSN: 0008-5472
DT Journal
LA English

http://www.cas.org/support/stngen/stndoc/properties.html

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=> exp AZT/cn
E1            1     AZSF/CN
E2            1     AZSL/CN
E3            2 --> AZT/CN
E4            1     AZT (PHARMACEUTICAL)/CN
E5            1     AZT 5'-GLUCURONIDE/CN
E6            1     AZT 5'-MONOPHOSPHATE/CN
E7            1     AZT 80/CN
E8            1     AZT DIPHOSPHATE/CN
E9            1     AZT MONOPHOSPHATE/CN
E10           1     AZT TRIPHOSPHATE/CN
E11           1     AZT-MP/CN
E12           1     AZTEC/CN

=> s E4
L29           1 "AZT (PHARMACEUTICAL)"/CN

=> d 129

L29  ANSWER 1 OF 1  REGISTRY  COPYRIGHT 2008 ACS on STN
RN   30516-87-1  REGISTRY
ED   Entered STN:  16 Nov 1984
CN   Thymidine, 3'-azido-3'-deoxy-  (CA INDEX NAME)
OTHER NAMES:
CN   3'-Azido-3'-deoxythymidine
CN   3'-Azidothymidine
CN   3'-Deoxy-3'-azidothymidine
CN   3-Azido-3-deoxythymidine
CN   Azidothymidine
CN   Azitidin
CN   AZT
CN   AZT (pharmaceutical)
CN   BW-A 509U
CN   Compound S
CN   NSC 602670
CN   Retrovir
CN   Retrovir IV
CN   Timazid
CN   Viro-Z
CN   ZDV
CN   Zido-H
CN   Zidovudine
CN   ZVD
FS   STEREOSEARCH
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MF   C10 H13 N5 O4
CI   COM
LC   STN Files:   ADISNEWS, AGRICOLA, ANABSTR, BEILSTEIN*, BIOSIS, BIOTECHNO,
       CA, CABA, CAOLD, CAPLUS, CASREACT, CBNB, CHEMCATS, CHEMINFORMRX,
       CHEMLIST, CIN, CSCHEM, CSNB, DDFU, DRUGU, EMBASE, HSDB*, IFICDB, IFIPAT,
       IFIUDB, IMSCOSEARCH, IMSDRUGNEWS, IMSPATENTS, IMSPRODUCT, IMSRESEARCH,
       IPA, MEDLINE, MRCK*, MSDS-OHS, PATDPASPC, PHAR, PIRA, PROMT, PROUSDDR,
       PS, RTECS*, SCISEARCH, SPECINFO, SYNTHLINE, TOXCENTER, ULIDAT, USAN,
       USPAT2, USPATFULL, VETU
         (*File contains numerically searchable property data)
     Other Sources:   DSL**, WHO
        (**Enter CHEMLIST File for up-to-date regulatory information)
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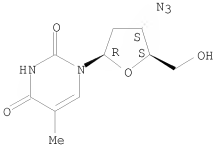
Absolute stereochemistry. Rotation (+).



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

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           6573 REFERENCES IN FILE CA (1907 TO DATE)
            208 REFERENCES TO NON-SPECIFIC DERIVATIVES IN FILE CA
           6582 REFERENCES IN FILE CAPLUS (1907 TO DATE)
              1 REFERENCES IN FILE CAOLD (PRIOR TO 1967)


=> exp dideoxycytidine
E1            1     DIDEOXYCYCLOMALTOHEPTAOSE/BI
E2            5     DIDEOXYCYTIDIN/BI
E3           67 --> DIDEOXYCYTIDINE/BI
E4            1     DIDEOXYCYTIDINENE/BI
E5            1     DIDEOXYCYTIDYL/BI
E6            1     DIDEOXYCYTIDYLCOBAL/BI
E7            1     DIDEOXYCYTIDYLCOBALAMIN/BI
E8          177     DIDEOXYCYTIDYLYL/BI
E9            3     DIDEOXYCYTIDYLYLIMINO/BI
E10           2     DIDEOXYCYTIDYLYLOXY/BI
E11           1     DIDEOXYCYTIDYLYLOXYPHOSPHINICO/BI
E12           1     DIDEOXYCYTIDYLYLOXYPHOSPHINICOOXY/BI

=> exp dideoxycytidine/cn
E1            1     DIDEOXYCOELENTERAZINE/CN
E2            1     DIDEOXYCTP/CN
E3            1 --> DIDEOXYCYTIDINE/CN
E4            1     DIDEOXYCYTIDINENE/CN
E5            1     DIDEOXYDIHYDROMORPHINE/CN
E6            1     DIDEOXYDIHYDROMORPHINE HYDROCHLORIDE/CN
E7            1     DIDEOXYGUANOSINE/CN
E8            1     DIDEOXYHARRINGTONINE/CN
E9            1     DIDEOXYHEXOTRIULOSE/CN
E10           1     DIDEOXYINOSINE/CN
E11           1     DIDEOXYKANAMYCIN B/CN
E12           1     DIDEOXYPETROSYNOL A/CN

=> s E3
L30           1 DIDEOXYCYTIDINE/CN

=> exp 5-ethyl-2-deoxyuridine/cn
E1            1     5-ETHYL-2-CYANOPYRIDINE/CN
E2            1     5-ETHYL-2-CYCLOHEXEN-1-ONE/CN
E3            0 --> 5-ETHYL-2-DEOXYURIDINE/CN
E4            1     5-ETHYL-2-FLUOROPHENOL/CN
E5            1     5-ETHYL-2-FLUOROPYRIDINE/CN
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E6            1     5-ETHYL-2-FLUOROPYRIDINE-3-CARBOXALDEHYDE/CN
E7            1     5-ETHYL-2-FORMYL-1H-PYRROLE-3-CARBONITRILE/CN
E8            1     5-ETHYL-2-FURALDEHYDE/CN
E9            1     5-ETHYL-2-FURANACETIC ACID/CN
E10           1     5-ETHYL-2-FURANCARBOXALDEHYDE/CN
E11           1     5-ETHYL-2-FURANCARBOXAMIDE/CN
E12           1     5-ETHYL-2-FURFURAL/CN

=> exp 5-ethyl-3/cn
E1            1     5-ETHYL-2H-(1,2,3)TRIAZOLE-4-CARBOXYLIC ACID ETHYL ESTER/CN
E2            1     5-ETHYL-2H-PYRAZOLE-3-CARBOXALDEHYDE/CN
E3            0 --> 5-ETHYL-3/CN
E4            1     5-ETHYL-3'-AZIDO-2',3'-DIDEOXYURIDINE/CN
E5            1     5-ETHYL-3,3-DIMETHYL-10B-PHENYL-2,3-DIHYDRO-10BH-(1,3)OXAZOL
                    O(2,3-A)ISOQUINOLINE/CN
E6            1     5-ETHYL-3,4,5,6-TETRAHYDRO-1-METHYL-2-PICOLINIUM PERCHLORATE
                    /CN
E7            1     5-ETHYL-3,4,5,6-TETRAHYDRO-5-(1-METHYLBUTYL)-4,6-DIOXOPYRIMI
                    DINE-2-THIOL SODIUM SALT/CN
E8            1     5-ETHYL-3,4,5,6-TETRAMETHYL-2-CYCLOHEXEN-1-ONE/CN
E9            1     5-ETHYL-3,4-DIHYDRO-2H-1,4-THIAZIN-3-ONE/CN
E10           1     5-ETHYL-3,4-DIHYDRO-2H-PYRROLE/CN
E11           1     5-ETHYL-3,4-DIHYDROPYRIDINE/CN
E12           1     5-ETHYL-3,4-DIMETHYL-2(5H)-FURANONE/CN

=> exp 5-ethyl-2'/cn
MISMATCHED QUOTE IN EXPAND TERM
Quotation marks (or apostrophes) must be used in pairs,
one before and one after the expression you are setting
off or masking.

=> s dideoxyuridine/cn
L31           0 DIDEOXYURIDINE/CN

=> exp dideoxyuridine/cn
E1            1     DIDEOXYRIBOSYLTHYMINE 5'-DIPHOSPHATE/CN
E2            1     DIDEOXYSERRATININE/CN
E3            0 --> DIDEOXYURIDINE/CN
E4            1     DIDEOXYURIDINE TRIPHOSPHATE/CN
E5            1     DIDEOXYZEARALANE/CN
E6            1     DIDEPIL/CN
E7            1     DIDERROSIDE/CN
E8            1     DIDESAMIDINODIHYDROSTREPTOMYCIN/CN
E9            1     DIDESETHYLFLURAZEPAM/CN
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E11           1     DIDESGALLOYLOOLONGHOMOBISFLAVAN A/CN
E12           1     DIDESIPRAMINE/CN

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COST IN U.S. DOLLARS                            SINCE FILE      TOTAL
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FULL ESTIMATED COST                                19.29     481.11

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)      SINCE FILE      TOTAL
                                                   ENTRY    SESSION
CA SUBSCRIBER PRICE                                 0.00     -56.80

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FILE CONTAINS CURRENT INFORMATION.
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=> file hcaplus
COST IN U.S. DOLLARS                                    SINCE FILE      TOTAL
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FULL ESTIMATED COST                                        0.12     481.23

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)              SINCE FILE      TOTAL
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CA SUBSCRIBER PRICE                                        0.00     -56.80

FILE 'HCAPLUS' ENTERED AT 13:37:33 ON 24 MAR 2008
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FILE LAST UPDATED: 23 Mar 2008  (20080323/ED)

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 substance identification.

=> s l29 or l30 or dideoxyuridine

          6582 L29
          1995 L30
           360 DIDEOXYURIDINE
L32       7325 L29 OR L30 OR DIDEOXYURIDINE

=> s l32 and (L1 or L2 or L5)

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L33         23 L32 AND (L1 OR L2 OR L5)

=> s l33 and (PY<1991 or AY<1991 or PRY<1991)

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L34          9 L33 AND (PY<1991 OR AY<1991 OR PRY<1991)

=> file stnguide

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FILE 'STNGUIDE' ENTERED AT 13:37:39 ON 24 MAR 2008
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FILE CONTAINS CURRENT INFORMATION.
LAST RELOADED: Mar 21, 2008 (20080321/UP).

=> d l34 1-9 ti abs bib
YOU HAVE REQUESTED DATA FROM FILE 'HCAPLUS' - CONTINUE? (Y)/N:y



L34  ANSWER 1 OF 9  HCAPLUS  COPYRIGHT 2008 ACS on STN
TI   Treatment of chemotherapeutic agent and antiviral agent toxicity with
     acylated pyrimidine nucleosides
AB   Compds., compns., and methods are disclosed for treatment and prevention
     of toxicity due to chemotherapeutic agents and antiviral agents.
     Disclosed are acylated derivs. of nonmethylated pyrimidine nucleosides.
     These compds. are capable of attenuating damage to the hematopoietic
     system in animals receiving antiviral or antineoplastic chemotherapy.
AN   1999:670113  HCAPLUS <<LOGINID::20080324>>
DN   131:281604
TI   Treatment of chemotherapeutic agent and antiviral agent toxicity with
     acylated pyrimidine nucleosides
IN   Von Borstel, Reid; Bamat, Michael K.
PA   Pro-Neuron, Inc., USA
SO   U.S., 31 pp., Cont.-in-part U. S. Ser. 176,485.
     CODEN: USXXAM
DT   Patent
LA   English
FAN.CNT 13
     PATENT NO.          KIND   DATE        APPLICATION NO.        DATE
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PI   US 5968914          A      19991019    US 1995-472210         19950607 <--
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     JP 3474073          B2     20031208
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             SE, SG
         RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR,
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     AU 9661114            A     19961230    AU 1996-61114           19960606
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     CN 1192149            A     19980902    CN 1996-195929          19960606
     JP 10511689           T     19981110    JP 1997-502184          19960606
     JP 2003201240         A     20030718    JP 2003-721             19960606
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     PT 1491201            T     20060831    PT 2004-23557           19960606
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     AU 2002320811         A1    20030403    AU 2002-320811          20021223
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PRAI US 1987-115923        B2    19871028  <--
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     US 1989-438493        B2    19890627  <--
     US 1990-487984        B2    19900205  <--
     US 1991-724340        B2    19910705
     US 1992-903107        B2    19920625
     US 1993-61381         B2    19930514
     US 1993-176485        A2    19931230
     US 1988-186031        B2    19880425  <--
     EP 1988-910239        A3    19881027  <--
     JP 1988-509176        A3    19881027  <--
     JP 1994-303877        A3    19881027  <--
     JP 2000-379524        A3    19881027  <--
     US 1989-341925        B1    19890421  <--
     US 1990-533933        B1    19900605  <--
     US 1990-438493        B2    19900626  <--
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US	1991-653882	B2	19910208
US	1991-737913	B3	19910729
CA	1992-2111571	A3	19920625
IN	1992-CA473	A1	19920706
US	1992-911379	A3	19920713
US	1992-925931	B2	19920807
US	1992-958598	B3	19921007
US	1992-987730	B2	19921208
US	1992-997657	A3	19921230
US	1993-96407	B1	19930726
US	1993-98884	B1	19930729
US	1993-153163	A1	19931117
US	1993-158799	B2	19931201
US	1994-266897	B3	19940701
US	1994-289214	A3	19940812
US	1995-419767	A3	19950410
US	1995-463740	A1	19950605
US	1995-472210	A	19950607
AU	1995-29150	A3	19950630
EP	1996-918461	A3	19960606
JP	1997-502184	A3	19960606
WO	1996-US10067	W	19960606
HK	1998-111095	A3	19981003
AU	1999-52624	A3	19991001
US	2000-494242	A3	20000131
AU	2002-320811	A3	20021223
JP	2005-380457	A3	20051228

RE.CNT 30 THERE ARE 30 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L34 ANSWER 2 OF 9 HCAPLUS COPYRIGHT 2008 ACS on STN
TI Compositions of chemotherapeutic agent or antiviral agent with acylated pyrimidine nucleosides
AB The subject invention discloses compds., compns. and methods for treatment and prevention of toxicity due to chemotherapeutic agents and antiviral agents. Disclosed are acylated derivs. of non-methylated pyrimidine nucleosides. These compds. are capable of attenuating damage to the hematopoietic system in animals receiving antiviral or antineoplastic chemotherapy. Thus, biol activity of 5-fluorouracil is reported.
AN 1998:236253 HCAPLUS <<LOGINID::20080324>>
DN 128:266247
TI Compositions of chemotherapeutic agent or antiviral agent with acylated pyrimidine nucleosides
IN Von Borstel, Reid W.; Bamat, Michael K.
PA Pro-Neuron, Inc., USA
SO U.S., 26 pp., Cont.-in-part of U.S. Ser. No. 61,381, abandoned.
CODEN: USXXAM
DT Patent
LA English
FAN.CNT 13

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI US 5736531	A	19980407	US 1993-176485	19931230 <--
EP 712629	A1	19960522	EP 1995-203050	19881027 <--
EP 712629	B1	20030618		
R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE				
JP 10001436	A	19980106	JP 1997-36734	19881027 <--
JP 3474073	B2	20031208		
JP 2001192335	A	20010717	JP 2000-379524	19881027 <--
CA 2111571	A1	19930121	CA 1992-2111571	19920625
CA 2111571	C	20050823		

	CA 2504078	A1	19930121	CA 1992-2504078	19920625	
	CA 2504078	C	20070828			
	ES 2160579	T3	20011116	ES 1992-914215	19920625	
	ZA 9204975	A	19930428	ZA 1992-4975	19920703	
	IN 175688	A1	19950812	IN 1992-CA473	19920706	
	US 5246708	A	19930921	US 1992-911379	19920713	<--
	US 5470838	A	19951128	US 1992-997657	19921230	<--
	US 5583117	A	19961210	US 1993-140475	19931025	<--
	US 6020320	A	20000201	US 1993-153163	19931117	<--
	IN 177670	A1	19970215	IN 1994-CA701	19940902	
	US 5770582	A	19980623	US 1995-419767	19950410	<--
	US 5691320	A	19971125	US 1995-465454	19950605	<--
	US 6054441	A	20000425	US 1995-463790	19950605	<--
	US 6060459	A	20000509	US 1995-465016	19950605	<--
	US 7307166	B1	20071211	US 1995-463771	19950605	<--
	US 6258795	B1	20010710	US 1995-466145	19950606	<--
	US 6316426	B1	20011113	US 1995-466144	19950606	<--
	US 5968914	A	19991019	US 1995-472210	19950607	<--
	US 6232298	B1	20010515	US 1995-479519	19950607	<--
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	US 2001025032	A1	20010927	US 1999-249790	19990216	<--
	US 6344447	B2	20020205			
	AU 9952624	A	19991202	AU 1999-52624	19991001	
	US 6743782	B1	20040601	US 2000-494242	20000131	<--
	AU 2002320811	A1	20030403	AU 2002-320811	20021223	
	US 2004033981	A1	20040219	US 2003-601863	20030624	<--
	US 2004192635	A1	20040930	US 2004-824501	20040415	<--
	US 2004220134	A1	20041104	US 2004-855835	20040528	<--
	AU 2005232288	A1	20051201	AU 2005-232288	20051110	
	JP 2006137772	A	20060601	JP 2005-380457	20051228	<--
	JP 2008019268	A	20080131	JP 2007-233452	20070907	<--
PRAI	US 1987-115923	B2	19871028	<--		
	US 1987-115929	B2	19871028	<--		
	US 1989-438493	B2	19890627	<--		
	US 1990-487984	B2	19900205	<--		
	US 1991-724340	B2	19910705			
	US 1992-903107	B2	19920625			
	US 1993-61381	B2	19930514			
	US 1988-186031	B2	19880425	<--		
	EP 1988-910239	A3	19881027	<--		
	JP 1988-509176	A3	19881027	<--		
	JP 1994-303877	A3	19881027	<--		
	JP 2000-379524	A3	19881027	<--		
	US 1989-341925	B1	19890421	<--		
	US 1990-533933	B1	19900605	<--		
	US 1990-438493	B2	19900626	<--		
	US 1991-653882	B2	19910208			
	US 1991-737913	B3	19910729			
	CA 1992-2111571	A3	19920625			
	IN 1992-CA473	A1	19920706			
	US 1992-911379	A3	19920713			
	US 1992-925931	B2	19920807			
	US 1992-958598	B3	19921007			
	US 1992-987730	B2	19921208			
	US 1992-997657	A3	19921230			
	US 1993-96407	B1	19930726			
	US 1993-98884	B1	19930729			
	US 1993-153163	A1	19931117			

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     US 1993-158799       B2    19931201
     US 1993-176485       A2    19931230
     US 1994-266897       B3    19940701
     US 1994-289214       A3    19940812
     US 1995-419767       A3    19950410
     US 1995-463740       A1    19950605
     US 1995-472210       A1    19950607
     AU 1995-29150        A3    19950630
     AU 1999-52624        A3    19991001
     US 2000-494242       A3    20000131
     AU 2002-320811       A3    20021223
     JP 2005-380457       A3    20051228
OS   MARPAT 128:266247
RE.CNT  34    THERE ARE 34 CITED REFERENCES AVAILABLE FOR THIS RECORD
              ALL CITATIONS AVAILABLE IN THE RE FORMAT

L34  ANSWER 3 OF 9  HCAPLUS  COPYRIGHT 2008 ACS on STN
TI   Methods of reducing toxicity of chemotherapeutic and antiviral agents with
     acylated non-methylated pyrimidine nucleosides
AB   Compds., compns. and methods are disclosed for the treatment and
     prevention of toxicity due to chemotherapeutic agents and antiviral
     agents.  Disclosed are acylated derivs. of non-methylated pyrimidine
     nucleosides.  These compds. are capable of attenuating damage to the
     hematopoietic system in animals receiving antiviral or antineoplastic
     chemotherapy.  Oral administration of triacetyluridine ameliorated the
     hematol. toxicity of 5-fluorouracil.  Triacetyluridine and uridine
     increased the therapeutic index of 5-fluorouracil in tumor-bearing mice.
     Amelioration of the adverse effects of e.g. AZT is also described.
AN   1997:141015  HCAPLUS <<LOGINID::20080324>>
DN   126:139905
TI   Methods of reducing toxicity of chemotherapeutic and antiviral agents with
     acylated non-methylated pyrimidine nucleosides
IN   Vonborstel, Reid W.; Bamat, Michael K.
PA   Pro-Neuron, Inc., USA
SO   PCT Int. Appl., 142 pp.
     CODEN: PIXXD2
DT   Patent
LA   English
FAN.CNT 13
     PATENT NO.           KIND   DATE        APPLICATION NO.        DATE
     --------------       ----   --------    -------------------    --------
PI   WO 9640165            A1    19961219    WO 1996-US10067        19960606
         W:  AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE,
             ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS,
             LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD,
             SE, SG
         RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR,
             IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN
     IN 177670              A1    19970215    IN 1994-CA701          19940902
     US 5968914             A     19991019    US 1995-472210         19950607 <--
     AU 9661114             A     19961230    AU 1996-61114          19960606
     AU 724805              B2    20000928
     EP 831849              A1    19980401    EP 1996-918461         19960606
         R:  AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
             IE, SI, LT, LV, FI
     JP 10511689            T     19981110    JP 1997-502184         19960606
     AU 9952624             A     19991202    AU 1999-52624          19991001
     AU 2002320811          A1    20030403    AU 2002-320811         20021223
     AU 2005232288          A1    20051201    AU 2005-232288         20051110
PRAI US 1995-472210         A     19950607
     US 1987-115923         B2    19871028  <--
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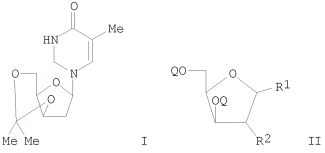
	US 1987-115929	B2	19871028	<--
	US 1989-438493	B2	19890627	<--
	US 1990-487984	B2	19900205	<--
	US 1991-724340	B2	19910705	
	US 1992-903107	B2	19920625	
	IN 1992-CA473	A1	19920706	
	US 1993-61381	B2	19930514	
	US 1993-176485	A2	19931230	
	AU 1995-29150	A3	19950630	
	WO 1996-US10067	W	19960606	
	AU 1999-52624	A3	19991001	
	AU 2002-320811	A3	20021223	

L34 ANSWER 4 OF 9 HCAPLUS COPYRIGHT 2008 ACS on STN
TI 1-(β-D-xylofuranosyl)thymine derivatives as intermediates for AZT
GI

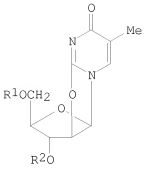


AB The title compds., e.g., I, useful as intermediates for the synthesis of antiviral nucleosides, e.g., zidovudine, a HIV inhibitor and useful for the treatment of AIDS (no data), were prepared via xylofuranoses II [Q = pivaloyl; R1 = R2 = OH, or R1R2 = cyclic sulfite]. 2,4-Bis-O-(trimethylsilyl)thymine (preparation given) was fused with II [R1 = R2 = OH] (preparation given) to give, after deprotection, 1-(β-D-xylofuranosyl)thymine. The conversion of I to zidovudine is demonstrated.
AN 1991:7088 HCAPLUS <<LOGINID::20080324>>
DN 114:7088
TI 1-(β-D-xylofuranosyl)thymine derivatives as intermediates for AZT
IN Almond, Merrick R.; Wilson, Jeffrey D.; Rideout, Janet L.
PA USA
SO U.S., 9 pp.
CODEN: USXXAM
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI US 4916218	A	19900410	US 1988-204692	19880609 <--
PRAI US 1988-204692		19880609	<--	

OS CASREACT 114:7088; MARPAT 114:7088

L34 ANSWER 5 OF 9 HCAPLUS COPYRIGHT 2008 ACS on STN
TI Preparation of O2, 2'-anhydro-1-(β-D-arabinofuranosyl)thymine derivatives as intermediates for 3'-azido-3'-deoxythymidine (AZT)
GI



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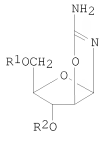


II

AB The title nucleosides (I; R1 = H, Ph3C, silyl trisubstituted by alkyl, Ph, or their combinations; R2 = H, silyl trisubstituted by alkyl, Ph, or their combinations) are prepared by cyclocondensation of 2-amino-β-D-arabinofurano[1',2':4, 5]oxazoline derivs. (II) with methacrylic acid derivs. R3O2CCMe:CHX (R3 = C1-4 alkyl; X = halo, OH, C1-4 alkoxy, PhO). Thus, a suspension of 0.5 mmol II (R1 = R2 = H), 0.5 mmol MeO2CCMe:CHBr (preparation given), 4-dimethylaminopyridine, and Et3N was heated 4 days at 80° to give 3 mg I (R1 = R2 = H). This was treated with HBr in CF3CO2H to give 40% 2'-bromothymidine, which was refluxed with Bu3SnH and azobisisobutylronitrile in benzene to give 95% thymidine, useful as an intermediate for AZT.

AN 1990:441229 HCAPLUS <<LOGINID::20080324>>

DN 113:41229

TI Preparation of O2, 2'-anhydro-1-(β-D-arabinofuranosyl)thymine derivatives as intermediates for 3'-azido-3'-deoxythymidine (AZT)

IN Murtiashaw, Charles William

PA Pfizer Inc., USA

SO Eur. Pat. Appl., 13 pp.
CODEN: EPXXDW

DT Patent

LA English

FAN.CNT 1

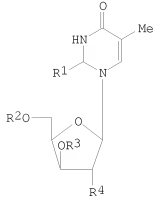
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 351126	A2	19900117	EP 1989-306820	19890705 <--
	EP 351126	A3	19901024		
	EP 351126	B1	19950118		
	R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE				
	US 5008384	A	19910416	US 1988-217906	19880712 <--
	ES 2066853	T3	19950316	ES 1989-306820	19890705 <--
	NO 8902821	A	19900115	NO 1989-2821	19890707 <--
	CN 1039423	A	19900207	CN 1989-104789	19890710 <--
	JP 02059598	A	19900228	JP 1989-177876	19890710 <--
	JP 07005626	B	19950125		
	CA 1315776	C	19930406	CA 1989-605243	19890710 <--
	FI 8903364	A	19900113	FI 1989-3364	19890711 <--
	DK 8903421	A	19900115	DK 1989-3421	19890711 <--
	HU 50843	A2	19900328	HU 1989-3491	19890711 <--
	AU 8938020	A	19900426	AU 1989-38020	19890711 <--
	AU 603042	B2	19901101		
	DD 284024	A5	19901031	DD 1989-330684	19890711 <--
	ZA 8905259	A	19910227	ZA 1989-5259	19890711 <--
	DD 292003	A5	19910718	DD 1989-337873	19890711 <--

PRAI US 1988-217906 A 19880712 <--
OS MARPAT 113:41229

L34 ANSWER 6 OF 9 HCAPLUS COPYRIGHT 2008 ACS on STN
TI 1-(2'-Deoxy-3',5'-O-isopropylidene-β-D-xylofuranosyl)thymine and its phenoxythiocarboxy derivative as intermediate for 3'-azido-3'-deoxythymidine
AB The title compound I and its 2'-phenoxythiocarboxy derivative II are prepared
A
ClCH2CH2Cl solution of SnCl4 was added dropwise to a ClCH2CH2Cl solution of teraacetylxylofuranose and bis(trimethylsilyl)thymine and the reaction mixture was stirred at 22° for 5 h to give 99% tri-O-acety-β-D-xylofuranosylthymine, which was refluxed 1 h with NaOMe in MeOH to give 98% 1-β-D-xylofuranosylthymine (III). A mixture of III, acetone, and p-MeC6H4SO3H was stirred at room temperature for 2 h to give 93% 1-(3',5'-O-isopropylidene-β-D-xylofuranosyl)thymine, which in MeCN was treated with PhOCSCl and 4-dimethylaminopyridine at room temperature for 2 h
to give II. Further treatment of II with Bu3SnH and NCCMe2N:NCMe2CN in toluene under reflux at 75° for 20 min gave 91% I.
AN 1990:77872 HCAPLUS <<LOGINID::20080324>>
DN 112:77872
TI 1-(2'-Deoxy-3',5'-O-isopropylidene-β-D-xylofuranosyl)thymine and its phenoxythiocarboxy derivative as intermediate for 3'-azido-3'-deoxythymidine
IN Meguro, Hiromu; Orui, Hiroshi; Fujita, Akira
PA Hasegawa, T., Co., Ltd., Japan
SO Jpn. Kokai Tokkyo Koho, 7 pp.
CODEN: JKXXAF
DT Patent
LA Japanese
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI JP 01203399	A	19890816	JP 1988-27594	19880210 <--
JP 07116210	B	19951213		
PRAI JP 1988-27594		19880210 <--		

L34 ANSWER 7 OF 9 HCAPLUS COPYRIGHT 2008 ACS on STN
TI Preparation of zidovudine by improved processes not requiring thymidine
GI



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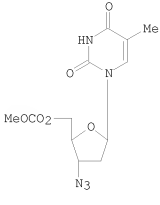


II

AB 1-(β-Xylofuranosyl)thymine derivs. (I; R1 = O; R2 = MeO2C; R3 = MeSO2; R4 = H, OH, OH blocking group, reduceable group; R1R4 = O; or R2R3 = 3',5'-dihydroxy blocking group; or R1 = O, R4 = H, photochem. reduceable group) and protected AZT derivative II, were prepared as intermediates for AZT. 1,2-Di-O-acetyl-3-O-mesyl-5-O-methoxycarbonyl-D-xylofuranose and 2,4-bis(trimethylsilyl)thymine in CH2Cl2 were treated dropwise with SnCl4 in CH2Cl2 and the mixture was stirred 18 h at room temperature to give 65.8% 2'-O-acetyl-3'-O-mesyl-5'-O-methoxycarbonyl)-1β-D-xylofuranosylthymine. The latter was converted to AZT in 6 steps via 2,2'-anhydro-3'-O-mesyl-5'-O-(methoxycarbonyl)-1β-D-lyxofuranosylthymine.

AN 1989:423914 HCAPLUS <<LOGINID::20080324>>

DN 111:23914

TI Preparation of zidovudine by improved processes not requiring thymidine

IN Wilson, Jeffrey Douglas; Almond, Merrick Richard; Rideout, Janet Litster

PA Wellcome Foundation Ltd., UK

SO Eur. Pat. Appl., 23 pp.
CODEN: EPXXDW

DT Patent

LA English

FAN.CNT 1

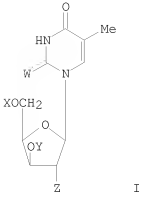
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE	
PI	EP 295090	A2	19881214	EP 1988-305250	19880609	<--
	EP 295090	A3	19900131			
	R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE					
	DK 8803129	A	19881211	DK 1988-3129	19880609	<--
	FI 8802744	A	19881211	FI 1988-2744	19880609	<--
	JP 01009995	A	19890113	JP 1988-142741	19880609	<--
	HU 49626	A2	19891030	HU 1988-2991	19880609	<--
PRAI	GB 1987-13579	A	19870610	<--		
	GB 1987-16233	A	19870710	<--		

OS MARPAT 111:23914

L34 ANSWER 8 OF 9 HCAPLUS COPYRIGHT 2008 ACS on STN

TI Preparation of zidovudine from xylose.

GI



I

AB Zidovudine (3'-azido-3'-deoxythymidine), an antiviral agent (no data) is prepared via new pentofuranosylthymine intermediates I [X, Y = protecting

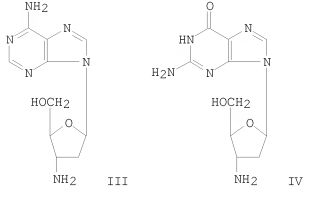
group or XY = a bivalent protecting group; W = O; Z = halo, or WZ = O; or Z = mesyloxy, W = O; X = Y = Bz; Z = H, W = O, Y = mesyl, X = Bz]. I (Z = mesyloxy, W = O, X = Y = Bz), prepared in 6 steps from D-xylose and a thymine derivative, was heated with HBr in pyridine to give I (Z = Br, W = O, X = Y = Bz), which was reduced with HONH2.HCl to give I (Z = Br, W = O, X = Bz, Y = H), whose hydrogenolysis over Pd/C gave 1-(5'-O-benzoyl-2'-deoxy-β-D-threo-pentofuranosyl)thymine, which was treated with mesyl chloride in pyridine containing Et3N to give 1-(5'-O-benzoyl-3'-O-2'-deoxy-β-D-threo-pentofuranosyl)thymine. This was treated with NaN3 in DMF at 90° for 4 h to give 1-(3'-azido-5'-O-benzoyl-2',3'-dodeoxy-β-D-erythro-pentofuranosyl)thymine, which was then refluxed with NaHCO3 in MeOH for 3 h to give 58% zidovudine.

AN 1989:407758 HCAPLUS <<LOGINID::20080324>>
DN 111:7758
TI Preparation of zidovudine from xylose.
PA Wellcome Foundation Ltd., UK
SO Jpn. Kokai Tokkyo Koho, 16 pp.
CODEN: JKXXAF
DT Patent
LA Japanese
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 63255295	A	19881021	JP 1988-71709	19880325 <--
DK 8801617	A	19880926	DK 1988-1617	19880324 <--
FI 8801413	A	19880926	FI 1988-1413	19880324 <--
EP 292101	A2	19881123	EP 1988-302613	19880324 <--
EP 292101	A3	19900131		
R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE				
HU 47593	A2	19890328	HU 1988-1506	19880324 <--
HU 199154	B	19900129		
PRAI GB 1987-7101	A	19870325	<--	
GB 1987-12299	A	19870523	<--	

OS MARPAT 111:7758

L34 ANSWER 9 OF 9 HCAPLUS COPYRIGHT 2008 ACS on STN
TI Synthesis of 3'-azido-2',3'-dideoxyribofuranosylpurines
GI



AB Transglycosylation of 3'-azido-3'-deoxy-5'-O-acetylthymidine, which is readily available from thymidine, with silylated N6-octanoyladenine using

CF3SO3SiMe3 as a catalyst gave a mixture of α and β (I) anomers of 3'-azido-2',3'-dideoxyadenosine, which is separable on a silica gel column. Replacement of silylated N6-octanoyladenine by silylated N2-palmitoylguanine gave a mixture from which α and β (II) anomers of 9-(3-azido-2,3-dideoxy-D-ribofuranosyl)guanine was isolated. The N-7 isomers also are obtained, but could not be separated Treatment of I and II with Ph3P and subsequent hydrolysis gave aminodideoxy nucleosides III and IV. A further simplification of this transglycosylation and its applicability to preparation of ribonucleosides are demonstrated.

AN 1978:475431 HCAPLUS <<LOGINID::20080324>>
DN 89:75431
OREF 89:11595a,11598a
TI Synthesis of 3'-azido-2',3'-dideoxyribofuranosylpurines
AU Imazawa, M.; Eckstein, F.
CS Abt. Chem., Max-Planck-Inst. Exp. Med., Goettingen, Fed. Rep. Ger.
SO Journal of Organic Chemistry (1978), 43(15), 3044-8
CODEN: JOCEAH; ISSN: 0022-3263
DT Journal
LA English

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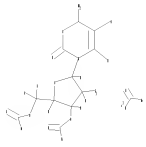

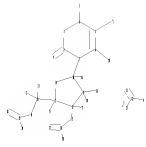

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ring bonds :
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exact/norm bonds :
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G1:H,[*1]

Match level :
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12:Atom 13:Atom 14:Atom 15:CLASS 16:CLASS 17:CLASS 18:CLASS 19:CLASS
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L35 STRUCTURE UPLOADED

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100.0% PROCESSED 96 ITERATIONS 1 ANSWERS
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BATCH **COMPLETE**
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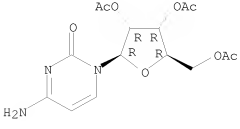
PROJECTED ANSWERS: 1 TO 80

L36 1 SEA SSS SAM L35

=> d l36 scan

L36 1 ANSWERS REGISTRY COPYRIGHT 2008 ACS on STN
IN Cytidine, 2',3',5'-triacetate, monohydrochloride (9CI)
MF C15 H19 N3 O8 . Cl H

Absolute stereochemistry.



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ALL ANSWERS HAVE BEEN SCANNED

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FULL ESTIMATED COST                                 179.28     692.20

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)        SINCE FILE      TOTAL
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CA SUBSCRIBER PRICE                                   0.00     -64.00

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FILE LAST UPDATED: 23 Mar 2008  (20080323/ED)

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L41  ANSWER 1 OF 6  CAPLUS  COPYRIGHT 2008 ACS on STN
TI   Preparation of acyl 2'-deoxyribonucleoside derivatives for treating or
     preventing biological damage caused by radiation, mutagens, or sunlight
AB   The invention relates to the preparation of acyl derivs. of 2'-deoxyadenosine,
     2'-deoxyguanosine, 2'-deoxycytidine, and 2'-deoxythymidine.  For example,
     to 2'-deoxythymidine in pyridine is added an acid anhydride (e.g., acetic
     anhydride, lactate anhydride, butyric anhydride, etc.) and the mixture is
     heated to 80-85°C for 1-4 h, cooled and extracted to yield
     3',5'-diacyl-2'-deoxythymidine.  The invention also relates to the use of
     these novel acyl derivs. to treat or prevent radiation, mutagen and
     sunlight-induced biol. damage, and methods for improving wound healing and
     tissue repair, comprising administering the compns. to an animal.  After
     receiving γ-ray irradiation (cobalt 60) at 7.3 Rad/min and total doses
     of 750 Rad, mice administered 5'-O-palmitoyl-2'-deoxyadenosine,
     -deoxyguanosine, -deoxycytidine, and -thymidine at 8μM/0.2μM
     physiol. saline 3 times daily for 4 days i.p. had 100% survival rate at 30
     days vs. 80% and 0% for the corresponding 3',5'-di-O-acetyl-2'-
     deoxyribonucleosides and saline (control).
AN   2000:78901  CAPLUS <<LOGINID::20080324>>
DN   132:93587
TI   Preparation of acyl 2'-deoxyribonucleoside derivatives for treating or
     preventing biological damage caused by radiation, mutagens, or sunlight
IN   Von Borstel, Reid Warren; Bamat, Michael Kevin
PA   Pro-Neuron, Inc., USA
SO   U.S., 23 pp., Cont. of U.S. Ser. No. 149,469, abandoned.
     CODEN: USXXAM
DT   Patent
LA   English
FAN.CNT 13
     PATENT NO.          KIND   DATE        APPLICATION NO.          DATE
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     US 6103701          A      20000815    US 1995-470027           19950606 <--
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     AU 9952624          A      19991202    AU 1999-52624            19991001
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     AU 2002320811       A1     20030403    AU 2002-320811           20021223
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     AU 1999-52624       A3     19991001
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OS   MARPAT 132:93587
RE.CNT  67    THERE ARE 67 CITED REFERENCES AVAILABLE FOR THIS RECORD
              ALL CITATIONS AVAILABLE IN THE RE FORMAT

L41  ANSWER 2 OF 6  CAPLUS  COPYRIGHT 2008 ACS on STN
TI   Treatment of chemotherapeutic agent and antiviral agent toxicity with
     acylated pyrimidine nucleosides
AB   Compds., compns., and methods are disclosed for treatment and prevention
     of toxicity due to chemotherapeutic agents and antiviral agents.
```

Disclosed are acylated derivs. of nonmethylated pyrimidine nucleosides. These compds. are capable of attenuating damage to the hematopoietic system in animals receiving antiviral or antineoplastic chemotherapy.

AN 1999:670113 CAPLUS <<LOGINID::20080324>>

DN 131:281604

TI Treatment of chemotherapeutic agent and antiviral agent toxicity with acylated pyrimidine nucleosides

IN Von Borstel, Reid; Bamat, Michael K.

PA Pro-Neuron, Inc., USA

SO U.S., 31 pp., Cont.-in-part U. S. Ser. 176,485.
CODEN: USXXAM

DT Patent

LA English

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RE.CNT 30 THERE ARE 30 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L41 ANSWER 3 OF 6 CAPLUS COPYRIGHT 2008 ACS on STN
TI Compositions of chemotherapeutic agent or antiviral agent with acylated pyrimidine nucleosides
AB The subject invention discloses compds., compns. and methods for treatment and prevention of toxicity due to chemotherapeutic agents and antiviral agents. Disclosed are acylated derivs. of non-methylated pyrimidine nucleosides. These compds. are capable of attenuating damage to the hematopoietic system in animals receiving antiviral or antineoplastic chemotherapy. Thus, biol activity of 5-fluorouracil is reported.
AN 1998:236253 CAPLUS <<LOGINID::20080324>>
DN 128:266247
TI Compositions of chemotherapeutic agent or antiviral agent with acylated pyrimidine nucleosides
IN Von Borstel, Reid W.; Bamat, Michael K.
PA Pro-Neuron, Inc., USA
SO U.S., 26 pp., Cont.-in-part of U.S. Ser. No. 61,381, abandoned.
CODEN: USXXAM
DT Patent
LA English
FAN.CNT 13

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	JP 2005-380457	A3	20051228			

OS MARPAT 128:266247

RE.CNT 34 THERE ARE 34 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L41 ANSWER 4 OF 6 CAPLUS COPYRIGHT 2008 ACS on STN

TI Methods of reducing toxicity of chemotherapeutic and antiviral agents with acylated non-methylated pyrimidine nucleosides

AB Compds., compns. and methods are disclosed for the treatment and prevention of toxicity due to chemotherapeutic agents and antiviral agents. Disclosed are acylated derivs. of non-methylated pyrimidine nucleosides. These compds. are capable of attenuating damage to the hematopoietic system in animals receiving antiviral or antineoplastic

chemotherapy. Oral administration of triacetyluridine ameliorated the hematol. toxicity of 5-fluorouracil. Triacetyluridine and uridine increased the therapeutic index of 5-fluorouracil in tumor-bearing mice. Amelioration of the adverse effects of e.g. AZT is also described.

AN 1997:141015 CAPLUS <<LOGINID::20080324>>

DN 126:139905

TI Methods of reducing toxicity of chemotherapeutic and antiviral agents with acylated non-methylated pyrimidine nucleosides

IN Vonborstel, Reid W.; Bamat, Michael K.

PA Pro-Neuron, Inc., USA

SO PCT Int. Appl., 142 pp.
CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 13

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9640165	A1	19961219	WO 1996-US10067	19960606
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	RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN				
	IN 177670	A1	19970215	IN 1994-CA701	19940902
	US 5968914	A	19991019	US 1995-472210	19950607 <--
	AU 9661114	A	19961230	AU 1996-61114	19960606
	AU 724805	B2	20000928		
	EP 831849	A1	19980401	EP 1996-918461	19960606
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI				
	JP 10511689	T	19981110	JP 1997-502184	19960606
	AU 9952624	A	19991202	AU 1999-52624	19991001
	AU 2002320811	A1	20030403	AU 2002-320811	20021223
	AU 2005232288	A1	20051201	AU 2005-232288	20051110
PRAI	US 1995-472210	A	19950607		
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	US 1987-115929	B2	19871028	<--	
	US 1989-438493	B2	19890627	<--	
	US 1990-487984	B2	19900205	<--	
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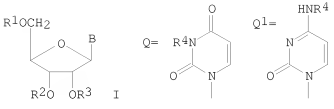
	US 1992-903107	B2	19920625		
	IN 1992-CA473	A1	19920706		
	US 1993-61381	B2	19930514		
	US 1993-176485	A2	19931230		
	AU 1995-29150	A3	19950630		
	WO 1996-US10067	W	19960606		
	AU 1999-52624	A3	19991001		
	AU 2002-320811	A3	20021223		

L41 ANSWER 5 OF 6 CAPLUS COPYRIGHT 2008 ACS on STN

TI Preparation and therapeutic used of acylated uridine and cytidine.

GI



AB Acylated pyrimidine nucleosides [I; B = Q where R4 = H; R1, R2, R3 = acyl residue of C5-22 unbranched fatty acid, amino acids (e.g. glycine, L-alanine, and L-lysine), C3-22 dicarboxylic acids, carboxylic acids (e.g. glycolic acid, pyruvic acid, and lactic acid)] (II) and I (B = Q; R1 - R3 = H, acyl radical of a metabolite; R4 = acyl radical of a metabolite] (III) and therapeutic uses of I (B = Q, Q1), e.g. for treating hepatopathies, diabetes, and heart disease, are described. In general, 2',3',5'-tri-O-acyluridines were prepared by heating a solution of 1 g uridine and 3.1 molar equivalent acid anhydride (e.g., Ac2O or butyric anhydride) in anhydrous pyridine at 80-85° for 2 h. A mixture of 2',3',5'-tri-O-acetylcytidine (IV) and -uridine(V) at 590 mg/kg of each administered to rats immediately after, and 1 and 20 h after aorta constriction and administration of isoproterenol (5 mg/kg) significantly restored myocardial performance.

AN 1989:595338 CAPLUS <<LOGINID::20080324>>

DN 111:195338

TI Preparation and therapeutic used of acylated uridine and cytidine.

IN Von Borstel, Reid Warren; Bamat, Michael Kevin

PA Pro-Neuron, Inc., USA

SO PCT Int. Appl., 69 pp.
CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 13

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 8903837	A1	19890505	WO 1988-US3823	19881027 <--
W: AU, BR, DK, FI, JP, KR, NO, SU, US				
RW: AT, BE, CH, DE, FR, GB, IT, LU, NL, SE				
AU 8927899	A	19890523	AU 1989-27899	19881027 <--
EP 339075	A1	19891102	EP 1988-909932	19881027 <--
EP 339075	B1	19930818		
R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE				
JP 02500372	T	19900208	JP 1988-509176	19881027 <--
JP 2894610	B2	19990524		
CA 1321994	C	19930907	CA 1988-581429	19881027 <--
AT 93236	T	19930915	AT 1988-909932	19881027 <--
JP 10001436	A	19980106	JP 1997-36734	19881027 <--
JP 3474073	B2	20031208		
JP 2001192335	A	20010717	JP 2000-379524	19881027 <--
IN 167680	A1	19901208	IN 1988-MA755	19881028 <--
IL 88208	A	19961016	IL 1988-88208	19881028 <--
ZA 8900232	A	19900627	ZA 1989-232	19890111 <--
US 5583117	A	19961210	US 1993-140475	19931025 <--
IN 177670	A1	19970215	IN 1994-CA701	19940902
JP 07228535	A	19950829	JP 1994-303877	19941207 <--
US 5691320	A	19971125	US 1995-465454	19950605 <--
US 6329350	B1	20011211	US 1995-464939	19950605 <--
US 7173017	B1	20070206	US 1995-465455	19950605 <--
US 6258795	B1	20010710	US 1995-466145	19950606 <--

	US 6316426	B1	20011113	US 1995-466144	19950606	<--
	US 6232298	B1	20010515	US 1995-479519	19950607	<--
	US 6274563	B1	20010814	US 1995-479349	19950607	<--
	AU 9952624	A	19991202	AU 1999-52624	19991001	
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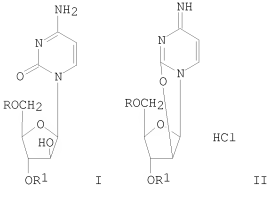
	US 7105498	B2	20060912			
	AU 2002320811	A1	20030403	AU 2002-320811	20021223	
	US 2004033981	A1	20040219	US 2003-601863	20030624	<--
	US 2004220134	A1	20041104	US 2004-855835	20040528	<--
	AU 2005232288	A1	20051201	AU 2005-232288	20051110	
	JP 2006137772	A	20060601	JP 2005-380457	20051228	<--
	JP 2008019268	A	20080131	JP 2007-233452	20070907	<--
PRAI	US 1987-115929	A2	19871028	<--		
	EP 1988-909932	A	19881027	<--		
	JP 1988-509176	A3	19881027	<--		
	JP 1994-303877	A3	19881027	<--		
	JP 2000-379524	A3	19881027	<--		
	WO 1988-US3823	A	19881027	<--		
	US 1989-438493	B2	19890627	<--		
	US 1990-438493	B2	19900626	<--		
	US 1991-737913	B3	19910729			
	IN 1992-CA473	A1	19920706			
	US 1992-987730	B2	19921208			
	US 1992-997657	A3	19921230			
	US 1993-158799	B2	19931201			
	US 1994-266897	B3	19940701			
	US 1995-463740	A1	19950605			
	US 1995-466144	A3	19950606			
	AU 1995-29150	A3	19950630			
	AU 1999-52624	A3	19991001			
	AU 2002-320811	A3	20021223			
	JP 2005-380457	A3	20051228			

OS MARPAT 111:195338

L41 ANSWER 6 OF 6 CAPLUS COPYRIGHT 2008 ACS on STN

TI Reactions of 2-acyloxyisobutyryl halides with nucleosides. 8. Synthesis and biological evaluation of some 3'-acyl and 3',5'-diacyl derivatives of 1-β-D-arabinofuranosylcytosine

GI



AB A series of 37 3'-O-acyl (I; R = H, R1 = acyl) and 3',5'-di-O-acyl (I; R = R1 ; acyl) derivs. of 1-β-D-arabinofuranosylcytosine (I, R = R1 = H)(araC) [147-94-4] with saturated or unsatd. ester groups containing 2-22 C atoms

were prepared by hydrolytic cleavage of the corresponding 2,2'-anhydro derivs. (II). Three 5'-O-acyl derivs. (I; R = acyl, R1 = H) were prepared by reaction of araC-HCl [69-74-9] with the appropriate acyl chloride. All I showed cytotoxicity against HeLa cells comparable to araC with the exception of very long chain saturated and unsatd. esters. The 3'-monoesters were more active against Vaccinia and Herpes viruses than the diesters, with the C8-C12 3'-monoesters having activity comparable to araC. Against L1210 leukemia in mice the long chain mono- and diester derivs. had high activity with many long-term survivors.

AN 1976:144569 CAPLUS <<LOGINID::20080324>>
DN 84:144569
OREF 84:23421a,23424a
TI Reactions of 2-acyloxyisobutyryl halides with nucleosides. 8. Synthesis and biological evaluation of some 3'-acyl and 3',5'-diacyl derivatives of 1-β-D-arabinofuranosylcytosine
AU Hamamura, Ernest K.; Prystasz, Miroslav; Verheyden, Julien P. H.; Moffatt, John G.; Yamaguchi, Kenji; Uchida, Naomi; Sato, Kosaburo; Nomura, Akio; Shiratori, Osamu; et al.
CS Inst. Mol. Biol., Syntex Res., Palo Alto, CA, USA
SO Journal of Medicinal Chemistry (1976), 19(5), 667-74
CODEN: JMCMAR; ISSN: 0022-2623
DT Journal
LA English

=> s l40 and l25
2676 L25
L42 7 L40 AND L25

=> d l42 1-7 ti abs bib

L42 ANSWER 1 OF 7 CAPLUS COPYRIGHT 2008 ACS on STN
TI Treatment of chemotherapeutic agent and antiviral agent toxicity with acylated pyrimidine nucleosides
AB Compds., compns., and methods are disclosed for treatment and prevention of toxicity due to chemotherapeutic agents and antiviral agents. Disclosed are acylated derivs. of nonmethylated pyrimidine nucleosides. These compds. are capable of attenuating damage to the hematopoietic system in animals receiving antiviral or antineoplastic chemotherapy.
AN 1999:670113 CAPLUS <<LOGINID::20080324>>
DN 131:281604
TI Treatment of chemotherapeutic agent and antiviral agent toxicity with acylated pyrimidine nucleosides
IN Von Borstel, Reid; Bamat, Michael K.
PA Pro-Neuron, Inc., USA
SO U.S., 31 pp., Cont.-in-part U. S. Ser. 176,485.
CODEN: USXXAM
DT Patent
LA English
FAN.CNT 13

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI US 5968914	A	19991019	US 1995-472210	19950607 <--
EP 712629	A1	19960522	EP 1995-203050	19881027 <--
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R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE				
JP 10001436	A	19980106	JP 1997-36734	19881027 <--
JP 3474073	B2	20031208		
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CA 2111571	A1	19930121	CA 1992-2111571	19920625
CA 2111571	C	20050823		
CA 2504078	A1	19930121	CA 1992-2504078	19920625

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    ES 2160579           T3    20011116    ES 1992-914215          19920625
    ZA 9204975           A     19930428    ZA 1992-4975            19920703
    IN 175688            A1    19950812    IN 1992-CA473           19920706
    US 5246708           A     19930921    US 1992-911379          19920713 <--
    US 5470838           A     19951128    US 1992-997657          19921230 <--
    US 5583117           A     19961210    US 1993-140475          19931025 <--
    US 6020320           A     20000201    US 1993-153163          19931117 <--
    US 5736531           A     19980407    US 1993-176485          19931230 <--
    IN 177670            A1    19970215    IN 1994-CA701           19940902
    US 5770582           A     19980623    US 1995-419767          19950410 <--
    US 5691320           A     19971125    US 1995-465454          19950605 <--
    US 6054441           A     20000425    US 1995-463790          19950605 <--
    US 6060459           A     20000509    US 1995-465016          19950605 <--
    US 7307166           B1    20071211    US 1995-463771          19950605 <--
    US 6258795           B1    20010710    US 1995-466145          19950606 <--
    US 6316426           B1    20011113    US 1995-466144          19950606 <--
    US 6232298           B1    20010515    US 1995-479519          19950607 <--
    US 6274563           B1    20010814    US 1995-479349          19950607 <--
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    US 6919320           B1    20050719    US 1995-473331          19950607 <--
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           LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD,
           SE, SG
        RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR,
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           IE, SI, LT, LV, FI
    CN 1192149           A     19980902    CN 1996-195929          19960606
    JP 10511689          T     19981110    JP 1997-502184          19960606
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    ES 2257721           T3    20060801    ES 2004-23557           19960606
    PT 1491201           T     20060831    PT 2004-23557           19960606
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    US 6344447           B2    20020205
    AU 9952624           A     19991202    AU 1999-52624           19991001
    US 6743782           B1    20040601    US 2000-494242          20000131 <--
    AU 2002320811        A1    20030403    AU 2002-320811          20021223
    US 2004033981        A1    20040219    US 2003-601863          20030624 <--
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    US 2004220134        A1    20041104    US 2004-855835          20040528 <--
    AU 2005232288        A1    20051201    AU 2005-232288          20051110
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    JP 2008019268        A     20080131    JP 2007-233452          20070907 <--
PRAI US 1987-115923      B2    19871028  <--
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    US 1990-487984       B2    19900205  <--
    US 1991-724340       B2    19910705
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	EP	1988-910239	A3	19881027	<--
	JP	1988-509176	A3	19881027	<--
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	JP	2000-379524	A3	19881027	<--
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	US	1992-911379	A3	19920713	
	US	1992-925931	B2	19920807	
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	JP	1997-502184	A3	19960606	
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	HK	1998-111095	A3	19981003	
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	US	2000-494242	A3	20000131	
	AU	2002-320811	A3	20021223	
	JP	2005-380457	A3	20051228	

RE.CNT 30 THERE ARE 30 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L42 ANSWER 2 OF 7 CAPLUS COPYRIGHT 2008 ACS on STN
TI Compositions of chemotherapeutic agent or antiviral agent with acylated pyrimidine nucleosides
AB The subject invention discloses compds., compns. and methods for treatment and prevention of toxicity due to chemotherapeutic agents and antiviral agents. Disclosed are acylated derivs. of non-methylated pyrimidine nucleosides. These compds. are capable of attenuating damage to the hematopoietic system in animals receiving antiviral or antineoplastic chemotherapy. Thus, biol activity of 5-fluorouracil is reported.
AN 1998:236253 CAPLUS <<LOGINID::20080324>>
DN 128:266247
TI Compositions of chemotherapeutic agent or antiviral agent with acylated pyrimidine nucleosides
IN Von Borstel, Reid W.; Bamat, Michael K.
PA Pro-Neuron, Inc., USA
SO U.S., 26 pp., Cont.-in-part of U.S. Ser. No. 61,381, abandoned.
CODEN: USXXAM
DT Patent
LA English
FAN.CNT 13

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PI	US 5736531	A	19980407	US 1993-176485	19931230	<--
	EP 712629	A1	19960522	EP 1995-203050	19881027	<--
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	US 2001025032	A1	20010927	US 1999-249790	19990216	<--
	US 6344447	B2	20020205			
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	US 6743782	B1	20040601	US 2000-494242	20000131	<--
	AU 2002320811	A1	20030403	AU 2002-320811	20021223	
	US 2004033981	A1	20040219	US 2003-601863	20030624	<--
	US 2004192635	A1	20040930	US 2004-824501	20040415	<--
	US 2004220134	A1	20041104	US 2004-855835	20040528	<--
	AU 2005232288	A1	20051201	AU 2005-232288	20051110	
	JP 2006137772	A	20060601	JP 2005-380457	20051228	<--
	JP 2008019268	A	20080131	JP 2007-233452	20070907	<--
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	US 1987-115929	B2	19871028	<--		
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	US 1990-487984	B2	19900205	<--		
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	JP 1994-303877	A3	19881027	<--		
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	US 1990-533933	B1	19900605	<--		
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	US 1991-653882	B2	19910208			

US	1991-737913	B3	19910729
CA	1992-2111571	A3	19920625
IN	1992-CA473	A1	19920706
US	1992-911379	A3	19920713
US	1992-925931	B2	19920807
US	1992-958598	B3	19921007
US	1992-987730	B2	19921208
US	1992-997657	A3	19921230
US	1993-96407	B1	19930726
US	1993-98884	B1	19930729
US	1993-153163	A1	19931117
US	1993-158799	B2	19931201
US	1993-176485	A2	19931230
US	1994-266897	B3	19940701
US	1994-289214	A3	19940812
US	1995-419767	A3	19950410
US	1995-463740	A1	19950605
US	1995-472210	A1	19950607
AU	1995-29150	A3	19950630
AU	1999-52624	A3	19991001
US	2000-494242	A3	20000131
AU	2002-320811	A3	20021223
JP	2005-380457	A3	20051228

OS MARPAT 128:266247
RE.CNT 34 THERE ARE 34 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L42 ANSWER 3 OF 7 CAPLUS COPYRIGHT 2008 ACS on STN
TI Methods of reducing toxicity of chemotherapeutic and antiviral agents with acylated non-methylated pyrimidine nucleosides
AB Compds., compns. and methods are disclosed for the treatment and prevention of toxicity due to chemotherapeutic agents and antiviral agents. Disclosed are acylated derivs. of non-methylated pyrimidine nucleosides. These compds. are capable of attenuating damage to the hematopoietic system in animals receiving antiviral or antineoplastic chemotherapy. Oral administration of triacetyluridine ameliorated the hematol. toxicity of 5-fluorouracil. Triacetyluridine and uridine increased the therapeutic index of 5-fluorouracil in tumor-bearing mice. Amelioration of the adverse effects of e.g. AZT is also described.
AN 1997:141015 CAPLUS <<LOGINID::20080324>>
DN 126:139905
TI Methods of reducing toxicity of chemotherapeutic and antiviral agents with acylated non-methylated pyrimidine nucleosides
IN Vonborstel, Reid W.; Bamat, Michael K.
PA Pro-Neuron, Inc., USA
SO PCT Int. Appl., 142 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 13

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 9640165	A1	19961219	WO 1996-US10067	19960606
W: AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG				
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN				
IN 177670	A1	19970215	IN 1994-CA701	19940902
US 5968914	A	19991019	US 1995-472210	19950607 <--

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     AU 9661114           A     19961230    AU 1996-61114          19960606
     AU 724805            B2    20000928
     EP 831849            A1    19980401    EP 1996-918461         19960606
          R:  AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
              IE, SI, LT, LV, FI
     JP 10511689          T     19981110    JP 1997-502184         19960606
     AU 9952624           A     19991202    AU 1999-52624          19991001
     AU 2002320811        A1    20030403    AU 2002-320811         20021223
     AU 2005232288        A1    20051201    AU 2005-232288         20051110
PRAI US 1995-472210       A     19950607
     US 1987-115923       B2    19871028  <--
     US 1987-115929       B2    19871028  <--
     US 1989-438493       B2    19890627  <--
     US 1990-487984       B2    19900205  <--
     US 1991-724340       B2    19910705
     US 1992-903107       B2    19920625
     IN 1992-CA473        A1    19920706
     US 1993-61381        B2    19930514
     US 1993-176485       A2    19931230
     AU 1995-29150        A3    19950630
     WO 1996-US10067      W     19960606
     AU 1999-52624        A3    19991001
     AU 2002-320811       A3    20021223

L42  ANSWER 4 OF 7  CAPLUS  COPYRIGHT 2008 ACS on STN
TI   Analogs of nucleosides.  XL.  Inhibition of nucleic acid synthesis in
     L1210 cells by nucleoside analogs
AB   The inhibitory activity of a series of pyrimidine nucleoside analogs on
     DNA and RNA formation was determined in L1210 cells.  The structure-activity
     relations are discussed, especially with regard to the 5-fluorouracil and
     arabinosylcytosine derivs.  The 5'-chloro derivs. appeared to be the most
     potent inhibitors of nucleic acid synthesis.  The use of these assays in
     screening for anticancer agents is discussed.
AN   1985:89680  CAPLUS <<LOGINID::20080324>>
DN   102:89680
OREF 102:13935a,13938a
TI   Analogs of nucleosides.  XL.  Inhibition of nucleic acid synthesis in
     L1210 cells by nucleoside analogs
AU   Beranek, Jiri; Acton, Edward M.
CS   Inst. Org. Chem. Biochem., Czech. Acad. Sci., Prague, 166 10/6, Czech.
SO   Collection of Czechoslovak Chemical Communications (1984),
     49(11), 2551-6
     CODEN: CCCCAK; ISSN: 0366-547X
DT   Journal
LA   English

L42  ANSWER 5 OF 7  CAPLUS  COPYRIGHT 2008 ACS on STN
TI   Analogs of nucleosides.  XXXIX.  Growth inhibition of Escherichia coli B
     by nucleoside analogs
AB   The min. inhibitory concns. (MIC) for E. coli were determined for 6-aza analogs
     of pyrimidine nucleosides and their precursors as well as analogs of
     5-fluorouracil and arabinosylcytosine.  The highest antibacterial
     activities were by the 5-fluorouracil nucleosides.  Two of the most active
     compds. (5-fluoro-2'-deoxyuridine and 5-fluorouridine) were cleaved >30%
     to 5-fluorouracil.  The MICs for the arabinosylcytosine derivs. were in
     all cases >1000 μg/mL.
AN   1983:536770  CAPLUS <<LOGINID::20080324>>
DN   99:136770
OREF 99:20977a,20980a
TI   Analogs of nucleosides.  XXXIX.  Growth inhibition of Escherichia coli B
     by nucleoside analogs
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AU Bartova, Markyta; Ryba, Milos; Jedlickova, Zdena; Novotny, Ladislav; Hrebabecky, Hubert; Beranek, Jiri
CS Inst. Org. Chem. Biochem., Czech. Acad. Sci., Prague, 166 10, Czech.
SO Collection of Czechoslovak Chemical Communications (1983), 48(7), 2088-95
CODEN: CCCCAK; ISSN: 0366-547X
DT Journal
LA English

L42 ANSWER 6 OF 7 CAPLUS COPYRIGHT 2008 ACS on STN
TI 5-Fluorouracil derivatives
GI

I

AB Cytosine derivs. I (R = H, sugar residue; R1 = H) were treated with FOSO2F to give I (R = H, sugar, R1 = F). Thus, FOSO2F was added to an aqueous solution of 1.11 g cytosine for 75 min and the reaction mixture adjusted to pH 8.0 and then heated at 80° for 3 h to give 1.14 g I (R = H, R1 = F). Six more I (R1 = F) were prepared similarly.
AN 1978:121665 CAPLUS <<LOGINID::20080324>>
DN 88:121665
OREF 88:19113a,19116a
TI 5-Fluorouracil derivatives
IN Suzuki, Nobuyuki; Wakabayashi, Mikio; Sowa, Tsuneo; Misaki, Susumu; Ishii, Sadame
PA Asahi Chemical Industry Co., Ltd., Japan; Daikin Kogyo Co., Ltd.
SO Jpn. Kokai Tokkyo Koho, 8 pp.
CODEN: JKXXAF
DT Patent
LA Japanese
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI JP 52108990	A	19770912	JP 1976-26329	19760311 <--
JP 54022990	B	19790810		
PRAI JP 1976-26329	A	19760311 <--		

L42 ANSWER 7 OF 7 CAPLUS COPYRIGHT 2008 ACS on STN
TI In vitro effect of a variety of biologically active compounds on human cytomegalovirus
AB In anticytomegalovirus expts. carried out on 38 classes of compds. containing 320 materials of known or potential biol. activity, 30 compds. were markedly active against the virus. These were the amino acid antagonists aminopterin [54-62-6] and N-[3,5-dichloro-4-(2,4-diamino-6-pteridinylmethylmethylamino)benzoyl]glutamic acid [528-74-5]; the unsubstituted lactone, camptothecin [7689-03-4]; 10 purine analogs, including 8 thiopurines, 9-β-D-arabinofuranosyladenine [5536-17-4], and purine-6-carboxaldehyde thiosemicarbazone [6824-10-8]; 13 pyrimidine analogs; and 4 aldehyde thiosemicarbazones. All expts. were carried out in tubes using WI-38 cells with the test compds. added within minutes

after the virus and then at addnl. times in medium changes 2 and 4 days later. Antiviral activity was determined by microscopic demonstration of inhibition of viral cytopathogenic effects.
AN 1972:443717 CAPLUS <<LOGINID::20080324>>
DN 77:43717
OREF 77:7223a,7226a
TI In vitro effect of a variety of biologically active compounds on human cytomegalovirus
AU Sidwell, R. W.; Arnett, G.; Schabel, F. M., Jr.
CS South Res. Inst., Birmingham, AL, USA
SO Chemotherapy (Basel, Switzerland) (1972), 17(4), 259-82
CODEN: CHTHBK; ISSN: 0009-3157
DT Journal
LA English

=> log hold

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	53.15	745.35

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	-10.40	-74.40

SESSION WILL BE HELD FOR 120 MINUTES
STN INTERNATIONAL SESSION SUSPENDED AT 14:00:04 ON 24 MAR 2008

Connecting via Winsock to STN

Welcome to STN International! Enter x:x

LOGINID:SSPTAEXO1623

PASSWORD:
* * * * * * RECONNECTED TO STN INTERNATIONAL * * * * * *
SESSION RESUMED IN FILE 'CAPLUS' AT 14:02:50 ON 24 MAR 2008
FILE 'CAPLUS' ENTERED AT 14:02:50 ON 24 MAR 2008
COPYRIGHT (C) 2008 AMERICAN CHEMICAL SOCIETY (ACS)

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	53.63	745.83

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	-10.40	-74.40

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=> s l40 and l21
       643930 SIDE
      4884484 EFFECT
        14012 SIDE EFFECT
              (SIDE(W)EFFECT)
        98954 ADVERSE
      4884484 EFFECT
        17741 ADVERSE EFFECT
              (ADVERSE(W)EFFECT)
       360364 TOXICITY
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L43          6 L40 AND L21

=> d 143 1-6 ti abs bib

L43  ANSWER 1 OF 6  CAPLUS  COPYRIGHT 2008 ACS on STN
TI   Treatment of chemotherapeutic agent and antiviral agent toxicity
     with acylated pyrimidine nucleosides
AB   Compds., compns., and methods are disclosed for treatment and prevention
     of toxicity due to chemotherapeutic agents and antiviral agents.
     Disclosed are acylated derivs. of nonmethylated pyrimidine nucleosides.
     These compds. are capable of attenuating damage to the hematopoietic
     system in animals receiving antiviral or antineoplastic chemotherapy.
AN   1999:670113  CAPLUS <<LOGINID::20080324>>
DN   131:281604
TI   Treatment of chemotherapeutic agent and antiviral agent toxicity
     with acylated pyrimidine nucleosides
IN   Von Borstel, Reid; Bamat, Michael K.
PA   Pro-Neuron, Inc., USA
SO   U.S., 31 pp., Cont.-in-part U. S. Ser. 176,485.
     CODEN: USXXAM
DT   Patent
LA   English
FAN.CNT 13
     PATENT NO.          KIND   DATE        APPLICATION NO.        DATE
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PI   US 5968914          A      19991019    US 1995-472210         19950607 <--
     EP 712629           A1     19960522    EP 1995-203050         19881027 <--
     EP 712629           B1     20030618
        R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE
     JP 10001436         A      19980106    JP 1997-36734          19881027 <--
     JP 3474073          B2     20031208
     JP 2001192335       A      20010717    JP 2000-379524         19881027 <--
     CA 2111571          A1     19930121    CA 1992-2111571        19920625
     CA 2111571          C      20050823
     CA 2504078          A1     19930121    CA 1992-2504078        19920625
     CA 2504078          C      20070828
     ES 2160579          T3     20011116    ES 1992-914215         19920625
     ZA 9204975          A      19930428    ZA 1992-4975           19920703
     IN 175688           A1     19950812    IN 1992-CA473          19920706
     US 5246708          A      19930921    US 1992-911379         19920713 <--
     US 5470838          A      19951128    US 1992-997657         19921230 <--
     US 5583117          A      19961210    US 1993-140475         19931025 <--
     US 6020320          A      20000201    US 1993-153163         19931117 <--
     US 5736531          A      19980407    US 1993-176485         19931230 <--
     IN 177670           A1     19970215    IN 1994-CA701          19940902
     US 5770582          A      19980623    US 1995-419767         19950410 <--
     US 5691320          A      19971125    US 1995-465454         19950605 <--
     US 6054441          A      20000425    US 1995-463790         19950605 <--
     US 6060459          A      20000509    US 1995-465016         19950605 <--
     US 7307166          B1     20071211    US 1995-463771         19950605 <--
     US 6258795          B1     20010710    US 1995-466145         19950606 <--
     US 6316426          B1     20011113    US 1995-466144         19950606 <--
     US 6232298          B1     20010515    US 1995-479519         19950607 <--
     US 6274563          B1     20010814    US 1995-479349         19950607 <--
     US 6348451          B1     20020219    US 1995-478736         19950607 <--
     US 6919320          B1     20050719    US 1995-473331         19950607 <--
     CA 2223640          A1     19961219    CA 1996-2223640        19960606
     WO 9640165          A1     19961219    WO 1996-US10067        19960606
        W:  AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE,
            ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS,
            LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD,
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            IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN
    AU 9661114          A     19961230    AU 1996-61114          19960606
    AU 724805           B2    20000928
    EP 831849           A1    19980401    EP 1996-918461         19960606
        R:  AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
            IE, SI, LT, LV, FI
    CN 1192149          A     19980902    CN 1996-195929         19960606
    JP 10511689         T     19981110    JP 1997-502184         19960606
    JP 2003201240       A     20030718    JP 2003-721            19960606
    EP 1491201          A1    20041229    EP 2004-23557          19960606
    EP 1491201          B1    20060322
        R:  AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
            IE, SI, LT, LV, FI, AL
    AT 320813           T     20060415    AT 2004-23557          19960606
    ES 2257721          T3    20060801    ES 2004-23557          19960606
    PT 1491201          T     20060831    PT 2004-23557          19960606
    HK 1072897          A1    20060512    HK 2005-105421         19981003
    US 2001025032       A1    20010927    US 1999-249790         19990216 <--
    US 6344447          B2    20020205
    AU 9952624          A     19991202    AU 1999-52624          19991001
    US 6743782          B1    20040601    US 2000-494242         20000131 <--
    AU 2002320811       A1    20030403    AU 2002-320811         20021223
    US 2004033981       A1    20040219    US 2003-601863         20030624 <--
    US 2004192635       A1    20040930    US 2004-824501         20040415 <--
    US 2004220134       A1    20041104    US 2004-855835         20040528 <--
    AU 2005232288       A1    20051201    AU 2005-232288         20051110
    JP 2006137772       A     20060601    JP 2005-380457         20051228 <--
    JP 2008019268       A     20080131    JP 2007-233452         20070907 <--
PRAI US 1987-115923     B2    19871028  <--
    US 1987-115929      B2    19871028  <--
    US 1989-438493      B2    19890627  <--
    US 1990-487984      B2    19900205  <--
    US 1991-724340      B2    19910705
    US 1992-903107      B2    19920625
    US 1993-61381       B2    19930514
    US 1993-176485      A2    19931230
    US 1988-186031      B2    19880425  <--
    EP 1988-910239      A3    19881027  <--
    JP 1988-509176      A3    19881027  <--
    JP 1994-303877      A3    19881027  <--
    JP 2000-379524      A3    19881027  <--
    US 1989-341925      B1    19890421  <--
    US 1990-533933      B1    19900605  <--
    US 1990-438493      B2    19900626  <--
    US 1991-653882      B2    19910208
    US 1991-737913      B3    19910729
    CA 1992-2111571     A3    19920625
    IN 1992-CA473       A1    19920706
    US 1992-911379      A3    19920713
    US 1992-925931      B2    19920807
    US 1992-958598      B3    19921007
    US 1992-987730      B2    19921208
    US 1992-997657      A3    19921230
    US 1993-96407       B1    19930726
    US 1993-98884       B1    19930729
    US 1993-153163      A1    19931117
    US 1993-158799      B2    19931201
    US 1994-266897      B3    19940701
    US 1994-289214      A3    19940812
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US	1995-419767	A3	19950410
US	1995-463740	A1	19950605
US	1995-472210	A	19950607
AU	1995-29150	A3	19950630
EP	1996-918461	A3	19960606
JP	1997-502184	A3	19960606
WO	1996-US10067	W	19960606
HK	1998-111095	A3	19981003
AU	1999-52624	A3	19991001
US	2000-494242	A3	20000131
AU	2002-320811	A3	20021223
JP	2005-380457	A3	20051228

RE.CNT 30 THERE ARE 30 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L43 ANSWER 2 OF 6 CAPLUS COPYRIGHT 2008 ACS on STN
TI Compositions of chemotherapeutic agent or antiviral agent with acylated pyrimidine nucleosides
AB The subject invention discloses compds., compns. and methods for treatment and prevention of toxicity due to chemotherapeutic agents and antiviral agents. Disclosed are acylated derivs. of non-methylated pyrimidine nucleosides. These compds. are capable of attenuating damage to the hematopoietic system in animals receiving antiviral or antineoplastic chemotherapy. Thus, biol activity of 5-fluorouracil is reported.
AN 1998:236253 CAPLUS <<LOGINID::20080324>>
DN 128:266247
TI Compositions of chemotherapeutic agent or antiviral agent with acylated pyrimidine nucleosides
IN Von Borstel, Reid W.; Bamat, Michael K.
PA Pro-Neuron, Inc., USA
SO U.S., 26 pp., Cont.-in-part of U.S. Ser. No. 61,381, abandoned.
CODEN: USXXAM
DT Patent
LA English
FAN.CNT 13

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 5736531	A	19980407	US 1993-176485	19931230 <--
	EP 712629	A1	19960522	EP 1995-203050	19881027 <--
	EP 712629	B1	20030618		
	R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE				
	JP 10001436	A	19980106	JP 1997-36734	19881027 <--
	JP 3474073	B2	20031208		
	JP 2001192335	A	20010717	JP 2000-379524	19881027 <--
	CA 2111571	A1	19930121	CA 1992-2111571	19920625
	CA 2111571	C	20050823		
	CA 2504078	A1	19930121	CA 1992-2504078	19920625
	CA 2504078	C	20070828		
	ES 2160579	T3	20011116	ES 1992-914215	19920625
	ZA 9204975	A	19930428	ZA 1992-4975	19920703
	IN 175688	A1	19950812	IN 1992-CA473	19920706
	US 5246708	A	19930921	US 1992-911379	19920713 <--
	US 5470838	A	19951128	US 1992-997657	19921230 <--
	US 5583117	A	19961210	US 1993-140475	19931025 <--
	US 6020320	A	20000201	US 1993-153163	19931117 <--
	IN 177670	A1	19970215	IN 1994-CA701	19940902
	US 5770582	A	19980623	US 1995-419767	19950410 <--
	US 5691320	A	19971125	US 1995-465454	19950605 <--
	US 6054441	A	20000425	US 1995-463790	19950605 <--
	US 6060459	A	20000509	US 1995-465016	19950605 <--

	US 7307166	B1	20071211	US 1995-463771	19950605	<--
	US 6258795	B1	20010710	US 1995-466145	19950606	<--
	US 6316426	B1	20011113	US 1995-466144	19950606	<--
	US 5968914	A	19991019	US 1995-472210	19950607	<--
	US 6232298	B1	20010515	US 1995-479519	19950607	<--
	US 6274563	B1	20010814	US 1995-479349	19950607	<--
	US 6348451	B1	20020219	US 1995-478736	19950607	<--
	US 6919320	B1	20050719	US 1995-473331	19950607	<--
	US 7166581	B1	20070123	US 1995-473330	19950607	<--
	US 2001025032	A1	20010927	US 1999-249790	19990216	<--
	US 6344447	B2	20020205			
	AU 9952624	A	19991202	AU 1999-52624	19991001	
	US 6743782	B1	20040601	US 2000-494242	20000131	<--
	AU 2002320811	A1	20030403	AU 2002-320811	20021223	
	US 2004033981	A1	20040219	US 2003-601863	20030624	<--
	US 2004192635	A1	20040930	US 2004-824501	20040415	<--
	US 2004220134	A1	20041104	US 2004-855835	20040528	<--
	AU 2005232288	A1	20051201	AU 2005-232288	20051110	
	JP 2006137772	A	20060601	JP 2005-380457	20051228	<--
	JP 2008019268	A	20080131	JP 2007-233452	20070907	<--
PRAI	US 1987-115923	B2	19871028	<--		
	US 1987-115929	B2	19871028	<--		
	US 1989-438493	B2	19890627	<--		
	US 1990-487984	B2	19900205	<--		
	US 1991-724340	B2	19910705			
	US 1992-903107	B2	19920625			
	US 1993-61381	B2	19930514			
	US 1988-186031	B2	19880425	<--		
	EP 1988-910239	A3	19881027	<--		
	JP 1988-509176	A3	19881027	<--		
	JP 1994-303877	A3	19881027	<--		
	JP 2000-379524	A3	19881027	<--		
	US 1989-341925	B1	19890421	<--		
	US 1990-533933	B1	19900605	<--		
	US 1990-438493	B2	19900626	<--		
	US 1991-653882	B2	19910208			
	US 1991-737913	B3	19910729			
	CA 1992-2111571	A3	19920625			
	IN 1992-CA473	A1	19920706			
	US 1992-911379	A3	19920713			
	US 1992-925931	B2	19920807			
	US 1992-958598	B3	19921007			
	US 1992-987730	B2	19921208			
	US 1992-997657	A3	19921230			
	US 1993-96407	B1	19930726			
	US 1993-98884	B1	19930729			
	US 1993-153163	A1	19931117			
	US 1993-158799	B2	19931201			
	US 1993-176485	A2	19931230			
	US 1994-266897	B3	19940701			
	US 1994-289214	A3	19940812			
	US 1995-419767	A3	19950410			
	US 1995-463740	A1	19950605			
	US 1995-472210	A1	19950607			
	AU 1995-29150	A3	19950630			
	AU 1999-52624	A3	19991001			
	US 2000-494242	A3	20000131			
	AU 2002-320811	A3	20021223			
	JP 2005-380457	A3	20051228			

OS MARPAT 128:266247

RE.CNT 34 THERE ARE 34 CITED REFERENCES AVAILABLE FOR THIS RECORD

ALL CITATIONS AVAILABLE IN THE RE FORMAT

L43 ANSWER 3 OF 6 CAPLUS COPYRIGHT 2008 ACS on STN
TI Methods of reducing toxicity of chemotherapeutic and antiviral agents with acylated non-methylated pyrimidine nucleosides
AB Compds., compns. and methods are disclosed for the treatment and prevention of toxicity due to chemotherapeutic agents and antiviral agents. Disclosed are acylated derivs. of non-methylated pyrimidine nucleosides. These compds. are capable of attenuating damage to the hematopoietic system in animals receiving antiviral or antineoplastic chemotherapy. Oral administration of triacetyluridine ameliorated the hematol. toxicity of 5-fluorouracil. Triacetyluridine and uridine increased the therapeutic index of 5-fluorouracil in tumor-bearing mice. Amelioration of the adverse effects of e.g. AZT is also described.
AN 1997:141015 CAPLUS <<LOGINID::20080324>>
DN 126:139905
TI Methods of reducing toxicity of chemotherapeutic and antiviral agents with acylated non-methylated pyrimidine nucleosides
IN Vonborstel, Reid W.; Bamat, Michael K.
PA Pro-Neuron, Inc., USA
SO PCT Int. Appl., 142 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 13

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9640165	A1	19961219	WO 1996-US10067	19960606
	W: AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG				
	RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN				
	IN 177670	A1	19970215	IN 1994-CA701	19940902
	US 5968914	A	19991019	US 1995-472210	19950607 <--
	AU 9661114	A	19961230	AU 1996-61114	19960606
	AU 724805	B2	20000928		
	EP 831849	A1	19980401	EP 1996-918461	19960606
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI				
	JP 10511689	T	19981110	JP 1997-502184	19960606
	AU 9952624	A	19991202	AU 1999-52624	19991001
	AU 2002320811	A1	20030403	AU 2002-320811	20021223
	AU 2005232288	A1	20051201	AU 2005-232288	20051110
PRAI	US 1995-472210	A	19950607		
	US 1987-115923	B2	19871028	<--	
	US 1987-115929	B2	19871028	<--	
	US 1989-438493	B2	19890627	<--	
	US 1990-487984	B2	19900205	<--	
	US 1991-724340	B2	19910705		
	US 1992-903107	B2	19920625		
	IN 1992-CA473	A1	19920706		
	US 1993-61381	B2	19930514		
	US 1993-176485	A2	19931230		
	AU 1995-29150	A3	19950630		
	WO 1996-US10067	W	19960606		
	AU 1999-52624	A3	19991001		
	AU 2002-320811	A3	20021223		

L43 ANSWER 4 OF 6 CAPLUS COPYRIGHT 2008 ACS on STN
TI Intravenous infusion to male and female dogs - cytosine arabinoside hydrochloride (Ara-C, cytostine arabinoside triacetate (Ara-C triacetate), and uracil arabinoside hydrochloride (Ara-U)
AB An investigation was undertaken to develop information as to the optimum i.v. dose scheduling for Ara-C. The principal study involved the continuous i.v. administration of Ara-C to dogs to evaluate the toxicity when the total dose and duration of dose were varied. In addition the toxicity of Ara-C was investigated following split doses or repeated i.v. adminstration. For comparative purposes the toxicity of cytosine arabinoside triacetate and uracil arabinoside hydrochloride (Ara-C triacetate and Ara-U, resp.) were investigated in limited studies following a single continuous i.v. infusion. In each investigation the criteria of effect evaluated were: appearance, behavior, body weight, survival, hematologic and biochem. parameters, and gross and microscopic pathology.
AN 1969:500275 CAPLUS <<LOGINID::20080324>>
DN 71:100275
OREF 71:18671a,18674a
TI Intravenous infusion to male and female dogs - cytosine arabinoside hydrochloride (Ara-C, cytostine arabinoside triacetate (Ara-C triacetate), and uracil arabinoside hydrochloride (Ara-U)
AU Feinman, Howard; Tusing, Thomas W.; Homan, Elton R.; Rall, David P.
CS Hazelton Lab., Inc., Falls Church, VA, USA
SO U.S. Clearinghouse Fed. Sci. Tech. Inform., PB Rep. (1968), PB-184213, 162 pp. Avail.: CFSTI
From: U. S. Govt. Res. Develop. Rep. 1969, 69(15), 57
CODEN: XCCRAO
DT Report
LA English

L43 ANSWER 5 OF 6 CAPLUS COPYRIGHT 2008 ACS on STN
TI Repeated intravenous administration of cytosine arabinoside triacetate to beagle dogs
AB Two beagle dogs, 1 male and 1 female, received daily i.v. doses of 50 mg./kg. of cytosine arabinoside triacetate for 15 consecutive days. Depression, elevation of body temperature, vomiting and (or) diarrhea, and weight loss were observed immediately following completion of the 15-day dose regime. The male dog died 4 days following completion of administration. The results of hemograms of both dogs indicated decreases in cell volume and Hb, and marked decreases in platelet and white blood cell counts. Both dogs showed elevated alkaline phosphatase values. The drug produced severe bone marrow suppression in both dogs, with evidence of recovery of the marrow in the dog that survived.
AN 1969:105039 CAPLUS <<LOGINID::20080324>>
DN 70:105039
OREF 70:19603a,19606a
TI Repeated intravenous administration of cytosine arabinoside triacetate to beagle dogs
AU Feinman, Howard; Tusing, Thomas W.; Homan, Elton R.; Rall, David P.
CS Hazleton Lab., Inc., Falls Church, VA, USA
SO U.S. Clearinghouse Fed. Sci. Tech. Inform., PB Rep. (1967), PB-180019, 16 pp. Avail.: CFSTI
From: U. S. Govt. Res. Develop. Rep. 1969, 69(1), 50
CODEN: XCCRAO
DT Report
LA English

L43 ANSWER 6 OF 6 CAPLUS COPYRIGHT 2008 ACS on STN
TI Acute oral administration of cytosine arabinoside triacetate to male

albino rats and male and female rhesus monkeys
AB The acute toxicity of the title compound (I) was evaluated following single oral administration in male rats and in male and female rhesus monkeys. Me cellulose suspensions of I were prepared at concns. ranging from 400 to 500 mg./ml. and administered by stomach tube. Single oral doses of I to male rats at levels ranging from 1000 to 5010 mg./kg. of body weight produced no signs of toxicity and no deaths occurred. The acute oral LD50 was therefore estimated as >5010 mg./kg. Single oral doses of 500, 1500, 3000, and 4500 mg./kg. were administered to rhesus monkeys using 1 male and 1 female animal/level. Vomiting occurred in each animal at each level during the first 24 hrs. Diarrhea occurred in each animal at the 3 higher dose levels at some interval during the first 3 days following I administration. Except for gastrointestinal effects the animals generally exhibited normal appearance, behavior, appetite, and maintained or gained weight during 6 weeks. Clin. laboratory studies revealed no marked alterations in the hemograms
of the monkeys during the post-dose observation period. Slight to moderate increase for serum glutamic-oxalacetic transaminase occurred in 1 or both monkeys at each dose level during the observation period. Serum glutamic-pyruvic transaminase, fasting blood sugar, blood urea N, and alkaline phosphatase values remained within normal ranges. Gross necropsy of rats and monkeys at termination of the observation period revealed no evidence of gross pathologic changes that could be attributed to I administration.
AN 1967:481007 CAPLUS <<LOGINID::20080324>>
DN 67:81007
OREF 67:15243a,15246a
TI Acute oral administration of cytosine arabinoside triacetate to male albino rats and male and female rhesus monkeys
AU Feinman, Howard; Tusing, Thomas W.; Homan, Elton R.; Rall, David P.
CS Hazleton Labs., Inc., Falls Church, VA, USA
SO U. S. C. F. S. T. I., PB Rep. (1966), 173981, 13 pp. Avail.: CFSTI
From: U.S. Govt. Res. Develop. Rep. 1967, 67(7), 32
CODEN: XCCRAO
DT Report
LA English

=> s triactyluridine or ethoxycarbonyluridine or triacetylcytidine or diasetylceoxycytidine)

UNMATCHED RIGHT PARENTHESIS 'XYCYTIDINE)'
The number of right parentheses in a query must be equal to the number of left parentheses.

=> s fluorouracil

L44 20910 FLUOROURACIL

=> s l44 and l45

L45 NOT FOUND
The L-number entered could not be found. To see the definition of L-numbers, enter DISPLAY HISTORY at an arrow prompt (=>).

=> s l46 and (PY<1991 or AY<1991 or PRY<1991)

L46 NOT FOUND
The L-number entered could not be found. To see the definition of L-numbers, enter DISPLAY HISTORY at an arrow prompt (=>).

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=> file stnguide

COST IN U.S. DOLLARS                                SINCE FILE      TOTAL
                                                       ENTRY    SESSION
FULL ESTIMATED COST                                     2.69     778.02

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)          SINCE FILE      TOTAL
                                                       ENTRY    SESSION
CA SUBSCRIBER PRICE                                     0.00     -79.20

FILE 'STNGUIDE' ENTERED AT 15:01:33 ON 24 MAR 2008
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FILE CONTAINS CURRENT INFORMATION.
LAST RELOADED: Mar 21, 2008 (20080321/UP).

=> file hcaplus
COST IN U.S. DOLLARS                                SINCE FILE      TOTAL
                                                       ENTRY    SESSION
FULL ESTIMATED COST                                     0.06     778.08

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)          SINCE FILE      TOTAL
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CA SUBSCRIBER PRICE                                     0.00     -79.20

FILE 'HCAPLUS' ENTERED AT 15:01:49 ON 24 MAR 2008
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FILE COVERS 1907 - 24 Mar 2008  VOL 148 ISS 13
FILE LAST UPDATED: 23 Mar 2008  (20080323/ED)

New CAS Information Use Policies, enter HELP USAGETERMS for details.

 This file contains CAS Registry Numbers for easy and accurate
 substance identification.

=> s triactyluridine or ethoxycarbonyluridine or triacetylcytidine or
diasetylceoxycytidine

             0 TRIACTYLURIDINE
             3 ETHOXYCARBONYLURIDINE
            13 TRIACETYLCYTIDINE
             0 DIASETYLCEOXYCYTIDINE
L45         16 TRIACTYLURIDINE OR ETHOXYCARBONYLURIDINE OR TRIACETYLCYTIDINE
               OR DIASETYLCEOXYCYTIDINE

=> s l44 and l45
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L46           2 L44 AND L45

=> s 146 and (PY<1991 or AY<1991 or PRY<1991)

      13721593 PY<1991
       2389086 AY<1991
       1831064 PRY<1991
L47           0 L46 AND (PY<1991 OR AY<1991 OR PRY<1991)

=> file stnguide

COST IN U.S. DOLLARS                                SINCE FILE      TOTAL
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FULL ESTIMATED COST                                     2.69     780.77

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CA SUBSCRIBER PRICE                                     0.00     -79.20

FILE 'STNGUIDE' ENTERED AT 15:01:54 ON 24 MAR 2008
USE IS SUBJECT TO THE TERMS OF YOUR CUSTOMER AGREEMENT
COPYRIGHT (C) 2008 AMERICAN CHEMICAL SOCIETY (ACS)

FILE CONTAINS CURRENT INFORMATION.
LAST RELOADED: Mar 21, 2008 (20080321/UP).

=> file hcaplus
COST IN U.S. DOLLARS                                SINCE FILE      TOTAL
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FULL ESTIMATED COST                                     0.06     780.83

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)          SINCE FILE      TOTAL
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CA SUBSCRIBER PRICE                                     0.00     -79.20

FILE 'HCAPLUS' ENTERED AT 15:02:26 ON 24 MAR 2008
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
COPYRIGHT (C) 2008 AMERICAN CHEMICAL SOCIETY (ACS)
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FILE COVERS 1907 - 24 Mar 2008  VOL 148 ISS 13
FILE LAST UPDATED: 23 Mar 2008  (20080323/ED)

New CAS Information Use Policies, enter HELP USAGETERMS for details.

 This file contains CAS Registry Numbers for easy and accurate
 substance identification.

=> s triacetyluridine or ethoxycarbonyluridine or triacetylcytidine or
diacetyldeoxycytidine
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            38 TRIACETYLURIDINE
             3 ETHOXYCARBONYLURIDINE
            13 TRIACETYLCYTIDINE
             5 DIACETYLDEOXYCYTIDINE
L48         56 TRIACETYLURIDINE OR ETHOXYCARBONYLURIDINE OR TRIACETYLCYTIDINE
               OR DIACETYLDEOXYCYTIDINE

=> s l44 and l48

L49         10 L44 AND L48

=> s l49 and (PY<1991 or AY<1991 or PRY<1991)

      13721593 PY<1991
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=> file stnguide

COST IN U.S. DOLLARS                            SINCE FILE      TOTAL
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DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)      SINCE FILE      TOTAL
                                                   ENTRY    SESSION
CA SUBSCRIBER PRICE                                 0.00     -79.20

FILE 'STNGUIDE' ENTERED AT 15:02:31 ON 24 MAR 2008
USE IS SUBJECT TO THE TERMS OF YOUR CUSTOMER AGREEMENT
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FILE CONTAINS CURRENT INFORMATION.
LAST RELOADED: Mar 21, 2008 (20080321/UP).

=> d l50 1-3 ti abs bib
YOU HAVE REQUESTED DATA FROM FILE 'HCAPLUS' - CONTINUE? (Y)/N:y



L50  ANSWER 1 OF 3  HCAPLUS  COPYRIGHT 2008 ACS on STN
TI   Compositions of chemotherapeutic agent or antiviral agent with acylated
     pyrimidine nucleosides
AB   The subject invention discloses compds., compns. and methods for treatment
     and prevention of toxicity due to chemotherapeutic agents and antiviral
     agents.  Disclosed are acylated derivs. of non-methylated pyrimidine
     nucleosides.  These compds. are capable of attenuating damage to the
     hematopoietic system in animals receiving antiviral or antineoplastic
     chemotherapy.  Thus, biol activity of 5-fluorouracil is
     reported.
AN   1998:236253  HCAPLUS <<LOGINID::20080324>>
DN   128:266247
TI   Compositions of chemotherapeutic agent or antiviral agent with acylated
     pyrimidine nucleosides
IN   Von Borstel, Reid W.; Bamat, Michael K.
PA   Pro-Neuron, Inc., USA
SO   U.S., 26 pp., Cont.-in-part of U.S. Ser. No. 61,381, abandoned.
     CODEN: USXXAM
DT   Patent
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LA English
FAN.CNT 13

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	JP 3474073	B2	20031208			
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	ZA 9204975	A	19930428	ZA 1992-4975	19920703	
	IN 175688	A1	19950812	IN 1992-CA473	19920706	
	US 5246708	A	19930921	US 1992-911379	19920713	<--
	US 5470838	A	19951128	US 1992-997657	19921230	<--
	US 5583117	A	19961210	US 1993-140475	19931025	<--
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	US 5691320	A	19971125	US 1995-465454	19950605	<--
	US 6054441	A	20000425	US 1995-463790	19950605	<--
	US 6060459	A	20000509	US 1995-465016	19950605	<--
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	US 1992-903107	B2	19920625			
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	US 1988-186031	B2	19880425	<--		
	EP 1988-910239	A3	19881027	<--		
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	US 1990-533933	B1	19900605	<--		

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     IN 1992-CA473        A1    19920706
     US 1992-911379       A3    19920713
     US 1992-925931       B2    19920807
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     US 1992-987730       B2    19921208
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     US 1993-96407        B1    19930726
     US 1993-98884        B1    19930729
     US 1993-153163       A1    19931117
     US 1993-158799       B2    19931201
     US 1993-176485       A2    19931230
     US 1994-266897       B3    19940701
     US 1994-289214       A3    19940812
     US 1995-419767       A3    19950410
     US 1995-463740       A1    19950605
     US 1995-472210       A1    19950607
     AU 1995-29150        A3    19950630
     AU 1999-52624        A3    19991001
     US 2000-494242       A3    20000131
     AU 2002-320811       A3    20021223
     JP 2005-380457       A3    20051228
OS   MARPAT 128:266247
RE.CNT  34    THERE ARE 34 CITED REFERENCES AVAILABLE FOR THIS RECORD
              ALL CITATIONS AVAILABLE IN THE RE FORMAT

L50  ANSWER 2 OF 3  HCAPLUS  COPYRIGHT 2008 ACS on STN
TI   Methods of reducing toxicity of chemotherapeutic and antiviral agents with
     acylated non-methylated pyrimidine nucleosides
AB   Compds., compns. and methods are disclosed for the treatment and
     prevention of toxicity due to chemotherapeutic agents and antiviral
     agents.  Disclosed are acylated derivs. of non-methylated pyrimidine
     nucleosides.  These compds. are capable of attenuating damage to the
     hematopoietic system in animals receiving antiviral or antineoplastic
     chemotherapy.  Oral administration of triacetyluridine
     ameliorated the hematol. toxicity of 5-fluorouracil.
     Triacetyluridine and uridine increased the therapeutic index of 5-
     fluorouracil in tumor-bearing mice.  Amelioration of the adverse
     effects of e.g. AZT is also described.
AN   1997:141015  HCAPLUS <<LOGINID::20080324>>
DN   126:139905
TI   Methods of reducing toxicity of chemotherapeutic and antiviral agents with
     acylated non-methylated pyrimidine nucleosides
IN   Vonborstel, Reid W.; Bamat, Michael K.
PA   Pro-Neuron, Inc., USA
SO   PCT Int. Appl., 142 pp.
     CODEN: PIXXD2
DT   Patent
LA   English
FAN.CNT 13
     PATENT NO.          KIND   DATE        APPLICATION NO.        DATE
     --------------      ----   --------    -------------------    --------
PI   WO 9640165          A1     19961219    WO 1996-US10067        19960606
         W:  AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE,
             ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS,
             LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD,
             SE, SG
         RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR,
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     IN 177670          A1    19970215    IN 1994-CA701          19940902
     US 5968914         A     19991019    US 1995-472210         19950607 <--
     AU 9661114         A     19961230    AU 1996-61114          19960606
     AU 724805          B2    20000928
     EP 831849          A1    19980401    EP 1996-918461         19960606
          R:  AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
              IE, SI, LT, LV, FI
     JP 10511689        T     19981110    JP 1997-502184         19960606
     AU 9952624         A     19991202    AU 1999-52624          19991001
     AU 2002320811      A1    20030403    AU 2002-320811         20021223
     AU 2005232288      A1    20051201    AU 2005-232288         20051110
PRAI US 1995-472210     A     19950607
     US 1987-115923     B2    19871028  <--
     US 1987-115929     B2    19871028  <--
     US 1989-438493     B2    19890627  <--
     US 1990-487984     B2    19900205  <--
     US 1991-724340     B2    19910705
     US 1992-903107     B2    19920625
     IN 1992-CA473      A1    19920706
     US 1993-61381      B2    19930514
     US 1993-176485     A2    19931230
     AU 1995-29150      A3    19950630
     WO 1996-US10067    W     19960606
     AU 1999-52624      A3    19991001
     AU 2002-320811     A3    20021223

L50  ANSWER 3 OF 3  HCAPLUS  COPYRIGHT 2008 ACS on STN
TI   Preparation of carcinostatic nucleosides of 6-acetoxy-5-fluoro-5,6-
     dihydrouracil
GI
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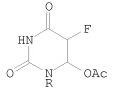

I


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AB   The title compds. (I; R = 2,3,5-tri-O-acetylribosyl, 2,3-di-O-acetyl-5-
     deoxyribosyl, 2,3-di-O-acetyl-5-chloro-5-deoxyribosyl) were prepared as new
     carcinostatics (no data), by a direct fluorination of acetyluracil
     nucleosides with F(g) in AcOH.  Thus, F(g) was introduced over 24 h into a
     solution of 3.7 g triacetyluridine in 200 mL AcOH, to give 4.22 g
     title compound I (R = 2,3,5-tri-O-acetylribosyl).  Deacetylation of the
     latter by MeONa in MeOH gave 2.39 g 5-fluorouridine.
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AN   1991:515021  HCAPLUS <<LOGINID::20080324>>
DN   115:115021
TI   Preparation of carcinostatic nucleosides of 6-acetoxy-5-fluoro-5,6-
     dihydrouracil
IN   Beranek, Jiri; Hrebabecky, Hubert; Brokes, Josef; Novotny, Ladislav
PA   Czech.
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